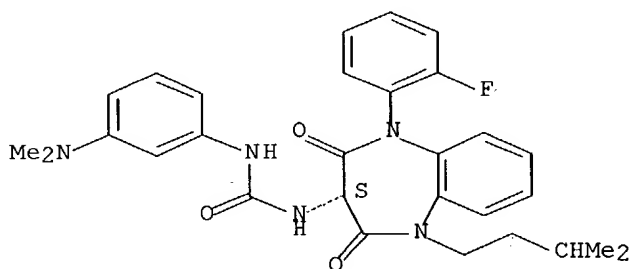


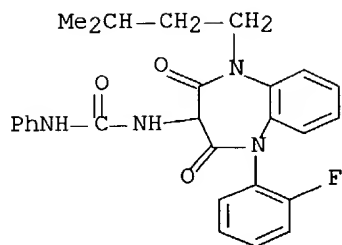
slant note

L7 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:669079 CAPLUS Full-text
DN 138:331172
TI Quantitative structure-Activity relationship studies on
5-phenyl-3-ureido-1,5-benzodiazepine as cholecystokinin-A receptor
antagonists
AU Agrawal, Vijay K.; Sharma, Ruchi; Khadikar, Padmakar V.
CS Department of Chemistry, QSAR and Computer Chemical Laboratories, A. P.
S.
University, Rewa, 486 003, India
SO Bioorganic & Medicinal Chemistry (2002), 10(11), 3571-3581
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB Quant. structure-activity relationship (QSAR) studies on a series of 5-
phenyl-3-ureido-1,5-benzodiazepine-2,4-diones has been carried out using
a pool of distance-based topol. indexes. Step-wise regression anal.
indicated that penta-parametric regression expression containing Sz, B,
Ip1, Ip2 and Ip3 is the most potent and selective for CCK-A affinity.
The predictive potential of the model is discussed on the basis of
cross-validation parameters as well as by estimating root mean square
(RMSR) of the residuals.
IT 151386-78-6 153929-94-3 153929-95-4
153929-96-5 153929-97-6 153929-99-8
153930-18-8 153930-19-9 307967-10-8
308117-01-3
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological
study)
(quant. structure-Activity relationship studies on 5-Ph-3-ureido-1,5-
benzodiazepine as cholecystokinin-A receptor antagonists)
RN 151386-78-6 CAPLUS
CN Urea, N-[3-(dimethylamino)phenyl]-N'-[(3S)-1-(2-fluorophenyl)-2,3,4,5-
tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

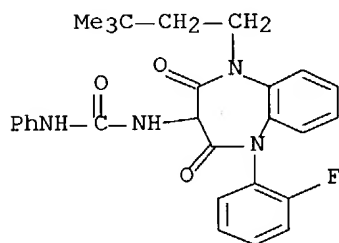


RN 153929-94-3 CAPLUS
CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-
dioxo-
1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



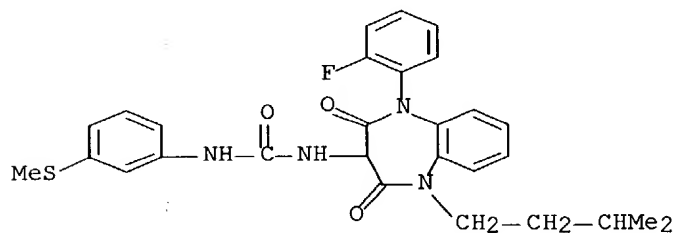
RN 153929-95-4 CAPLUS

CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



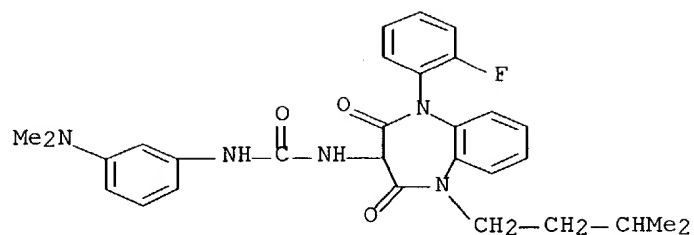
RN 153929-96-5 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



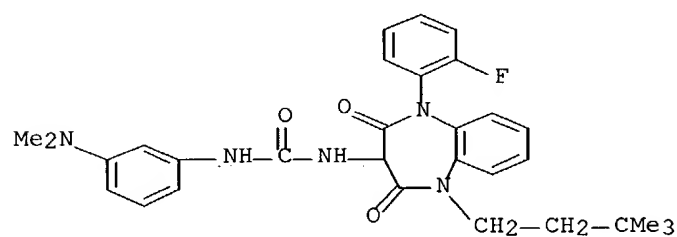
RN 153929-97-6 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



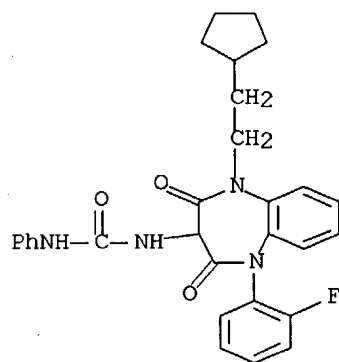
RN 153929-99-8 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



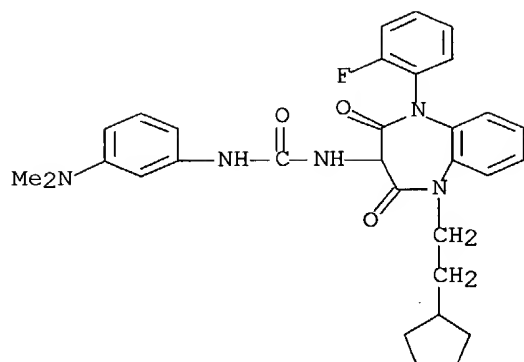
RN 153930-18-8 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

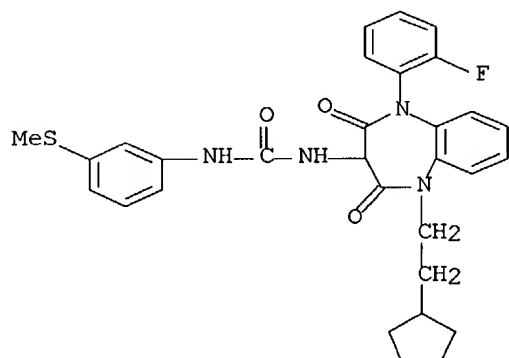


RN 153930-19-9 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)

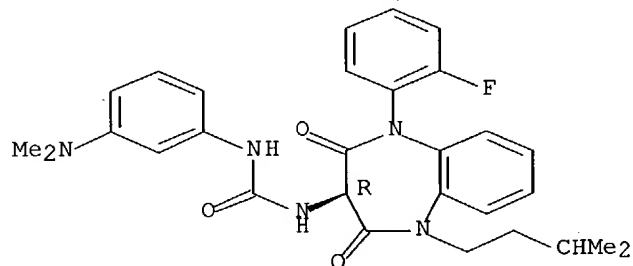


RN 307967-10-8 CAPLUS
 CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



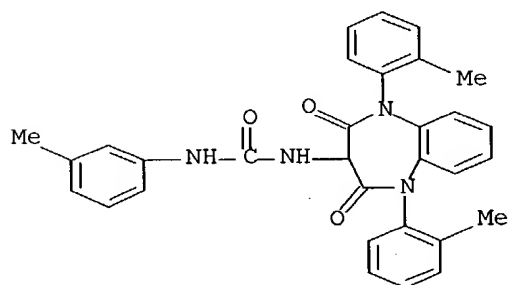
RN 308117-01-3 CAPLUS
 CN Urea, N-[3-(dimethylamino)phenyl]-N'-[(3R)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



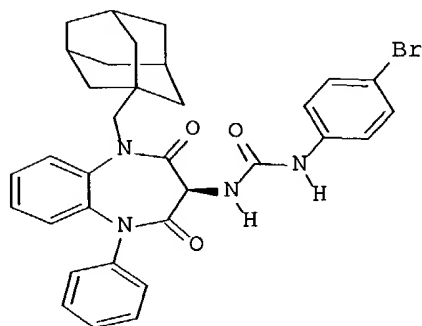
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:846593 CAPLUS Full-text
 DN 136:256724
 TI Peptide/benzodiazepine hybrids as ligands of CCKA and CCKB receptors
 AU Escherich, Achim; Lutz, Jurgen; Escrieut, Chantal; Fourmy, Daniel; Van
 Neuren, A. Stephanie; Muller, Gerhard; Schafferhans, Andrea; Klebe,
 Gerhard; Moroder, Luis
 CS Max-Planck Institute of Biochemistry, Martinsried, 82152, Germany
 SO Biopolymers (2001), Volume Date 2000-2001, 56(2), 55-76
 CODEN: BIPMAA; ISSN: 0006-3525
 PB John Wiley & Sons, Inc.
 DT Journal
 LA English
 AB The (neuro)hormones gastrin and cholecystokinin (CCK) share a common C-
 terminal tetrapeptide amide sequence that has been recognized as the
 message portion while the N-terminal extensions are responsible for the
 CCKA and CCKB receptor subtype selectivity and avidity. 1,4-
 Benzodiazepine derivs. are potent and selective antagonists of these
 receptors, and according to comparative mol. field anal., the structures
 of these nonpeptidic compds. could well mimic the message sequence of
 the peptide agonists at least in terms of spatial array of the aromatic
 residues. Docking of a larger series of low mol. weight nonpeptide
 antagonists to a homol. modeling derived CCKB receptor structure
 revealed a consensus binding mode that is further validated by data from
 site-directed mutagenesis studies of the receptors. Whether this
 putative binding pocket of the nonpeptide antagonists is identical to
 that of the message portion of the peptide agonists, or whether it is
 distinct and spatially separated, or overlapping, but with.
 IT **404391-51-1**
 RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological
 study)
 (peptide/benzodiazepine hybrids as ligands of CCKA and CCKB
 receptors)
 RN 404391-51-1 CAPLUS
 CN Urea, N-(3-methylphenyl)-N'-[2,3,4,5-tetrahydro-1,5-bis(2-methylphenyl)-
 2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



RE.CNT 90 THERE ARE 90 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:653175 CAPLUS Full-text
 DN 134:4921
 TI Synthesis and SAR of New 5-Phenyl-3-ureido-1,5-benzodiazepines as
 Cholecystokinin-B Receptor Antagonists
 AU Ursini, Antonella; Capelli, Anna M.; Carr, Robin A. E.; Cassara, Paolo;
 Corsi, Mauro; Curcuruto, Ornella; Curotto, Giovanni; Dal Cin, Michele;
 Davalli, Silvia; Donati, Daniele; Feriani, Aldo; Finch, Harry; Finizia,
 Gabriella; Gaviraghi, Giovanni; Marien, Marc; Pentassuglia, Giorgio;
 Polinelli, Stefano; Ratti, Emiliangelo; Reggiani, Aldo; Tarzia, Giorgio;
 Tedesco, Giovanna; Tranquillini, Maria E.; Trist, David G.; Van
 Amsterdam, Frank T. M.
 CS Glaxo Wellcome Medicines Research Centre, Verona, 37135, Italy
 SO Journal of Medicinal Chemistry (2000), 43(20), 3596-3613
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 134:4921
 GI



I

AB A series of 5-phenyl-3-ureidobenzodiazepine-2,4-diones, e.g. I, was
 synthesized and evaluated as cholecystokinin-B (CCK-B) receptor
 antagonists. Structure-activity relationship (SAR) studies revealed the
 importance of the N-1 substituent for potent and selective CCK-B
 affinity. Addition of substituents at the urea side chain provided in
 some cases more potent compds. Introduction of bulky substituents such
 as adamantylmethyl at N-1 and resolution of the racemic ureas resulted
 in our lead compound (+)-N-[1-(adamant-1-ylmethyl)-2,4-dioxo-5-phenyl-
 2,3,4,5-tetrahydro-1H-1,5-benzodiazepin-3-yl]-N'-(4-bromophenyl)urea
 (GV150013).
 IT 151386-78-6P 153929-94-3P 153929-95-4P
 153929-96-5P 153929-97-6P 153929-98-7P
 153929-99-8P 153930-18-8P 153930-19-9P
 160752-74-9P 307967-09-5P 307967-10-8P
 308117-01-3P
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)

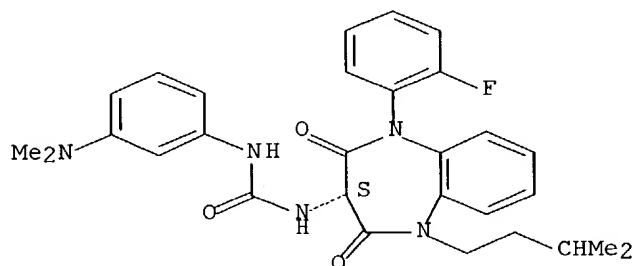
(preparation, biol. activity and structure-activity relationship of phenylureidobenzodiazepines as cholecystokinin-B receptor

antagonists)

RN 151386-78-6 CAPLUS

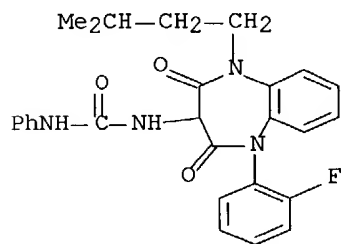
CN Urea, N-[3-(dimethylamino)phenyl]-N'-[(3S)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



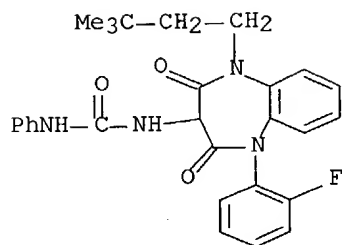
RN 153929-94-3 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

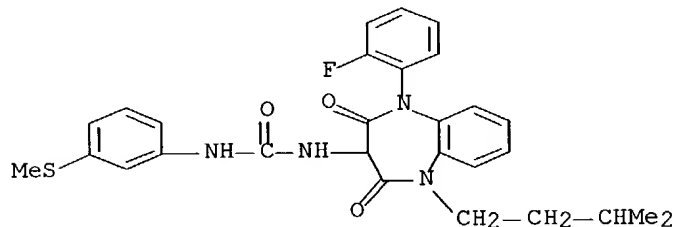


RN 153929-95-4 CAPLUS

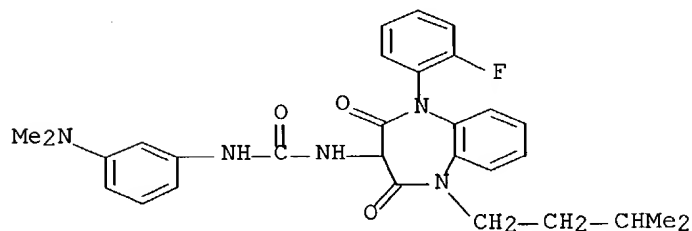
CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



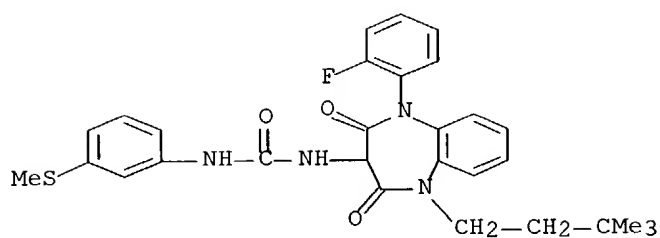
RN 153929-96-5 CAPLUS
 CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-(3-(methylthio)phenyl)- (9CI) (CA INDEX NAME)



RN 153929-97-6 CAPLUS
 CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

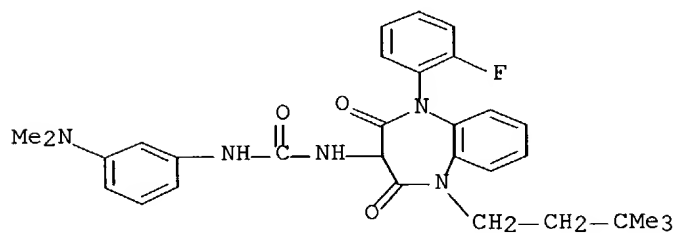


RN 153929-98-7 CAPLUS
 CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-(3-(methylthio)phenyl)- (9CI) (CA INDEX NAME)



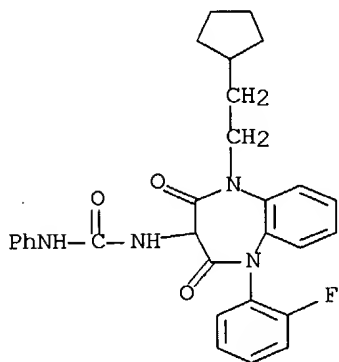
RN 153929-99-8 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



RN 153930-18-8 CAPLUS

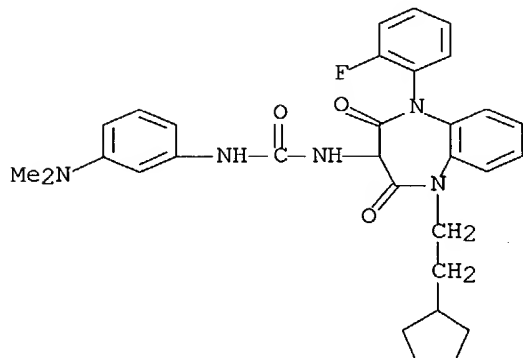
CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



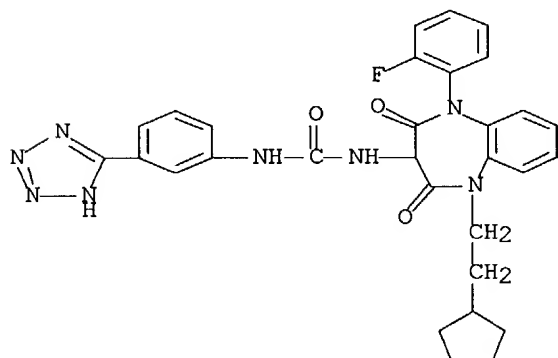
RN 153930-19-9 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-

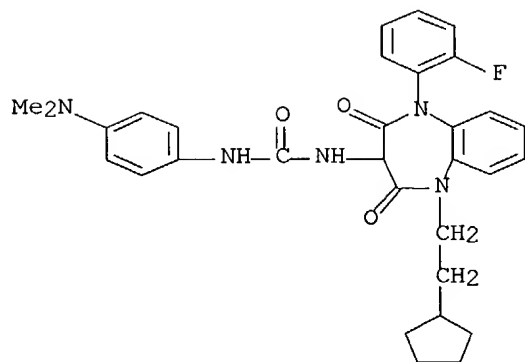
2,4-
 dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(dimethylamino)phenyl]- (9CI)
 (CA
 INDEX NAME)



RN 160752-74-9 CAPLUS
 CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-
 2,4-
 dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(1H-tetrazol-5-yl)phenyl]- (9CI)
 (CA INDEX NAME)

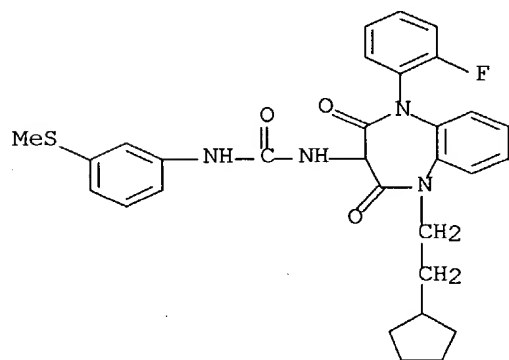


RN 307967-09-5 CAPLUS
 CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-
 2,4-
 dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[4-(dimethylamino)phenyl]- (9CI)
 (CA
 INDEX NAME)



RN 307967-10-8 CAPLUS

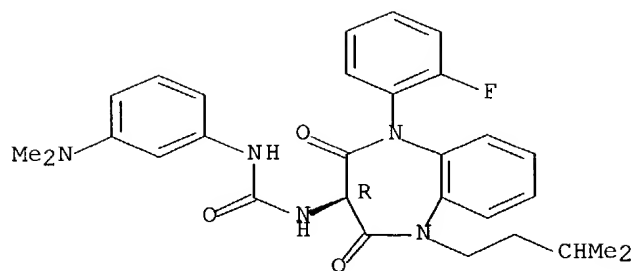
CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-(3-(methylthio)phenyl)- (9CI) (CA INDEX NAME)



RN 308117-01-3 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[(3R)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 151386-23-1P 307967-13-1P

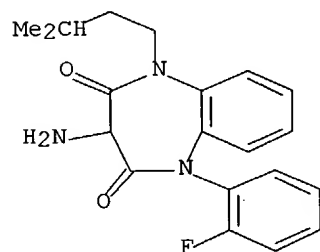
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, biol. activity and structure-activity relationship of phenylureidobenzodiazepines as cholecystokin-B receptor

antagonists)

RN 151386-23-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-, (+)-(9CI) (CA INDEX NAME)

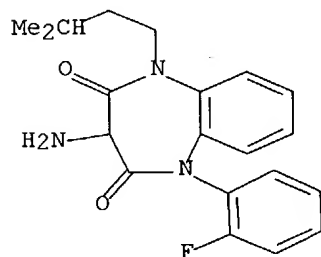
Rotation (+).



RN 307967-13-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).



IT 151385-65-8P 151385-68-1P 151386-29-7P
 151620-15-4P 151620-16-5P 151620-22-3P
 151620-60-9P 151620-61-0P 151620-69-8P
 153930-31-5P 153930-53-1P 307967-14-2P
 307967-16-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

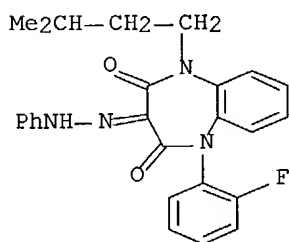
(Reactant or reagent)

(preparation, biol. activity and structure-activity relationship of
 phenylureidobenzodiazepines as cholecystokinin-B receptor

antagonists)

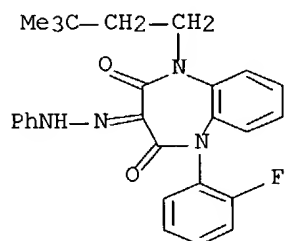
RN 151385-65-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(3-
 methylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



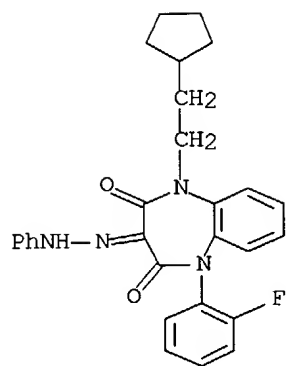
RN 151385-68-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(3,3-dimethylbutyl)-5-(2-
 fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



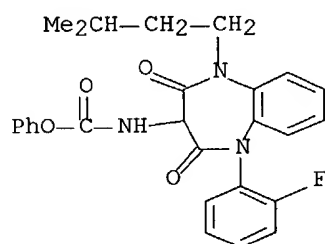
RN 151386-29-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-cyclopentylethyl)-5-(2-
 fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



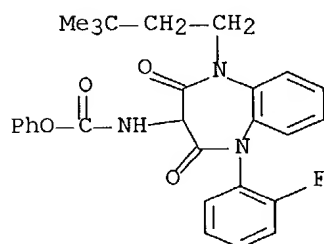
RN 151620-15-4 CAPLUS

CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)



RN 151620-16-5 CAPLUS

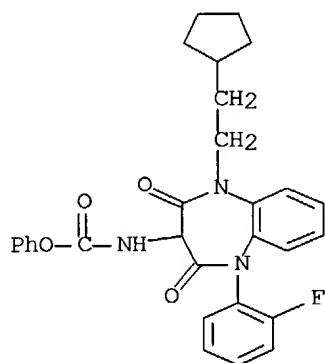
CN Carbamic acid, [1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)



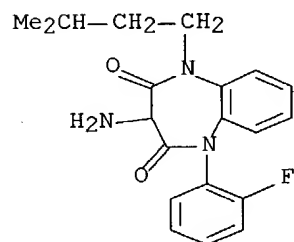
RN 151620-22-3 CAPLUS

CN Carbamic acid, [1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-

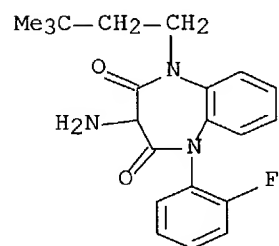
tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI)
 (CA INDEX NAME)



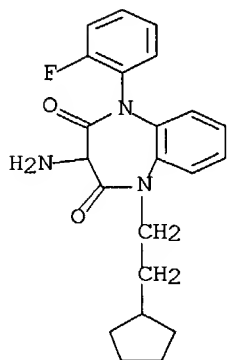
RN 151620-60-9 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)



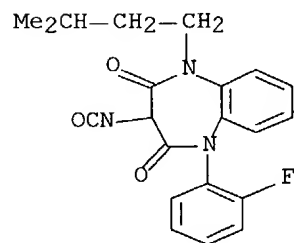
RN 151620-61-0 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



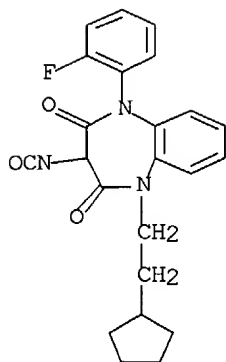
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 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-
 5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 153930-31-5 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-fluorophenyl)-3-isocyanato-
 5-(3-methylbutyl)- (9CI) (CA INDEX NAME)



RN 153930-53-1 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-3-isocyanato- (9CI) (CA INDEX NAME)

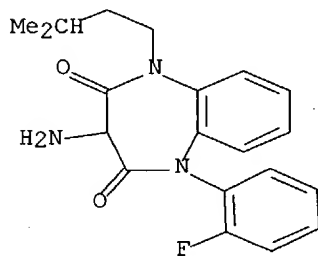


RN 307967-14-2 CAPLUS
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,
 (1R,4S)-, compd. with (-)-3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-
 1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

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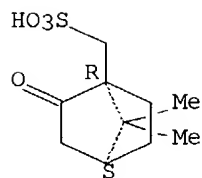
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CRN 35963-20-3
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Absolute stereochemistry. Rotation (-).

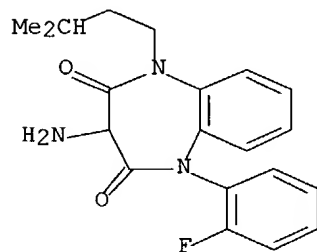


RN 307967-16-4 CAPLUS
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,
 (1S,4R)-, compd. with (+)-3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-
 1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

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CRN 151386-23-1
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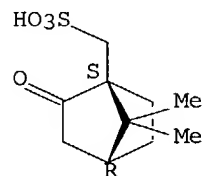
Rotation (+).



CM 2

CRN 3144-16-9
 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

App's

L7 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:277967 CAPLUS Full-text
 DN 132:293781
 TI Preparation process of 1,5-benzodiazepines as medicine
 IN Oi, Satoru; Suzuki, Nobuhiro; Matsumoto, Takahiro
 PA Takeda Chemical Industries, Ltd., Japan
 SO PCT Int. Appl., 171 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	RW:				
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	JP 2000191648	A2	20000711	JP 1999-297130	19991019
	EP 1123928	A1	20010816	EP 1999-947961	19991019
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	US 2003149027	A1	20030807	US 2001-894105	20010628
PRAI	JP 1998-298941	A	19981020		
	WO 1999-JP5754	W	19991019		
OS	MARPAT 132:293781				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; wherein ring B represents an optionally substituted cyclic hydrocarbon group; Z represents hydrogen or an optionally substituted cyclic group; R1 represents hydrogen, an optionally substituted hydrocarbon group, an optionally substituted heterocyclic group, or acyl; R2 represents optionally substituted amino; D represents a bond or a divalent group; E represents a bond, CO, CON(Ra), COO, N(Ra)CON(Rb), N(Ra)CON(Rb), N(Ra)CON(Rb), N(Ra)SO2, N(Ra), S, SO, SO2; Ra and Rb each independently represents hydrogen or an optionally substituted hydrocarbon group; L represents a bond or a divalent group; A represents hydrogen or a substituent; X and Y each represents hydrogen or an independent substituent; dotted bond indicates that R2 may be bonded to an atom on the ring B to form a ring] and salts are prepared (preparation given) from RaNHGZ and tested as medicine. Thus, the title compound II was prepared

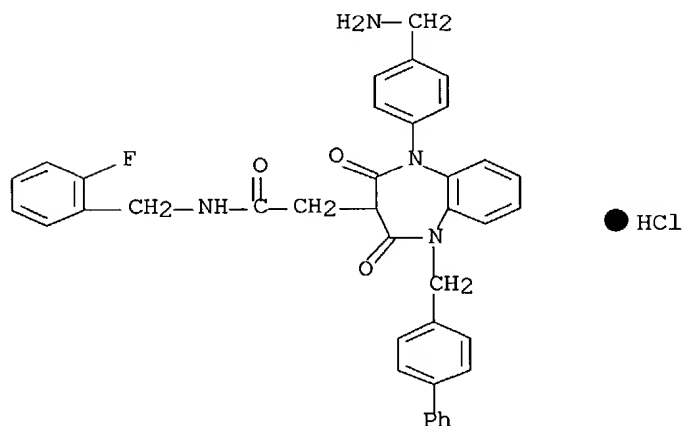
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RL: BAC (Biological activity or effector, except adverse); BSU
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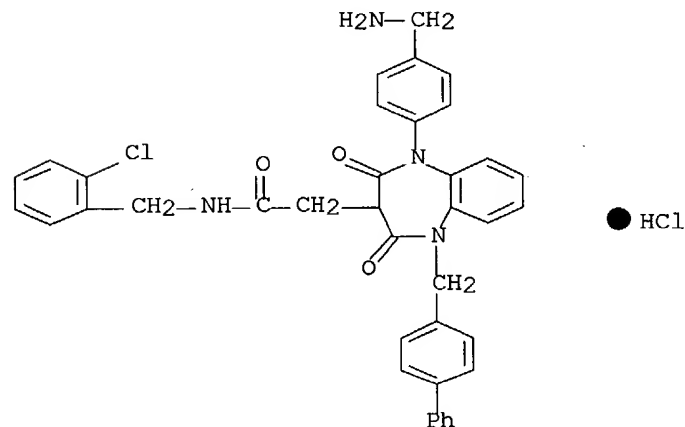
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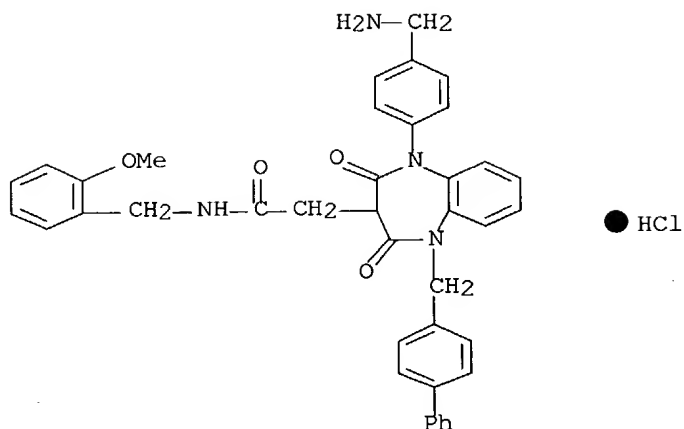
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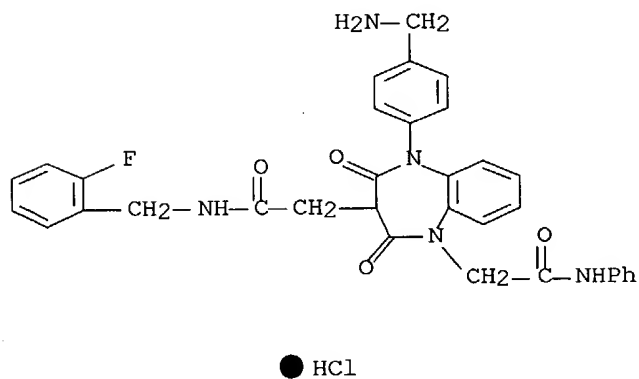


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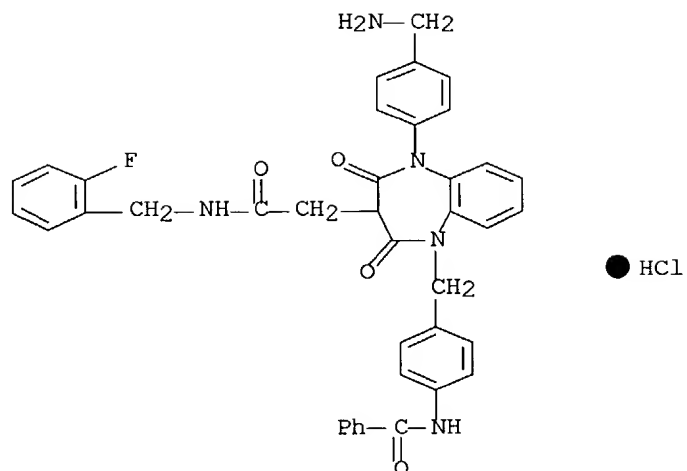
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 2,4-
 dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



RN 264915-26-6 CAPLUS
 CN 1H-1,5-Benzodiazepine-1,3-diacetamide, 5-[4-(aminomethyl)phenyl]-N3-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-N1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



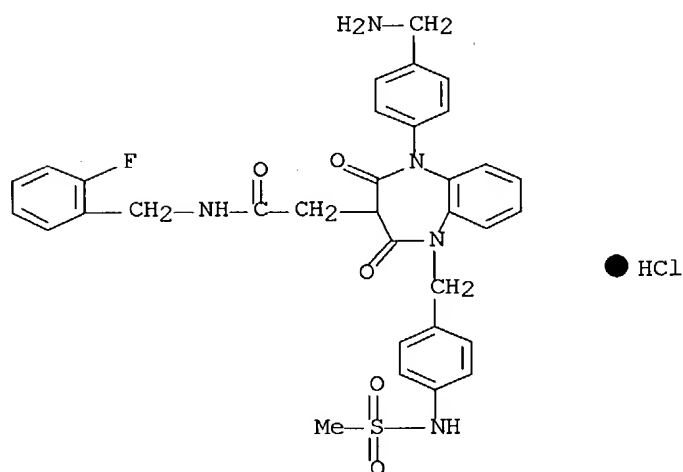
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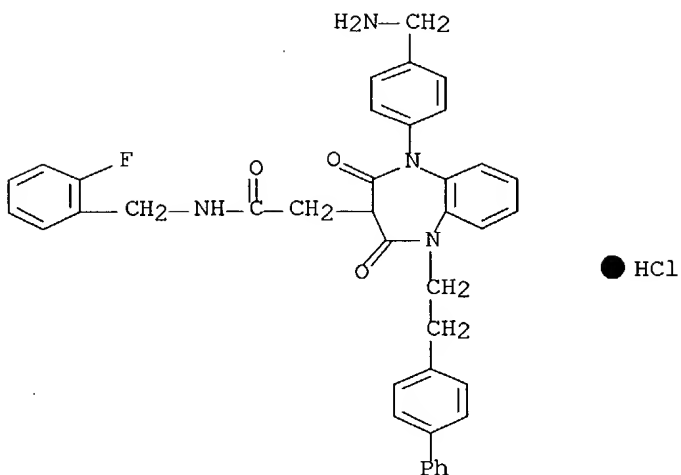
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(CA INDEX NAME)



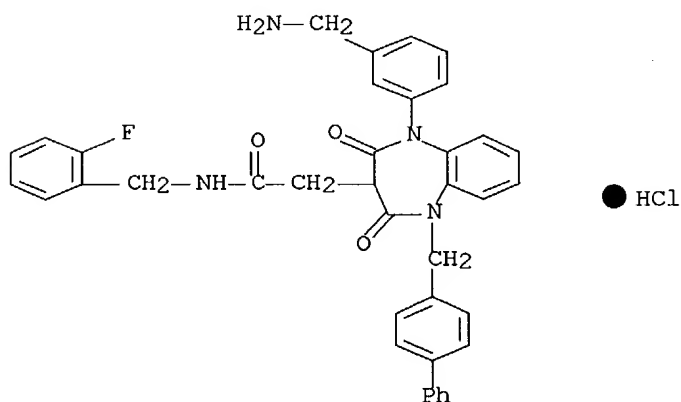
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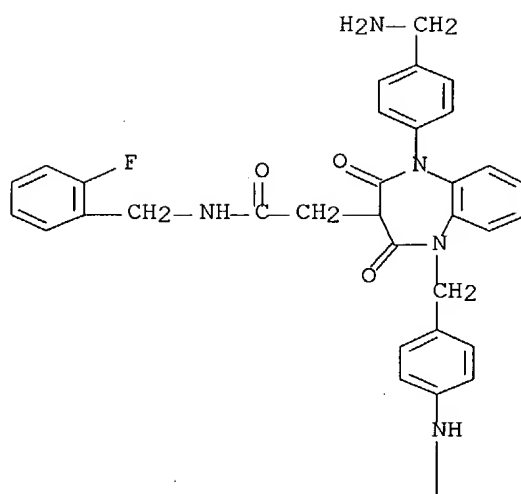
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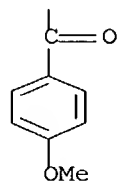
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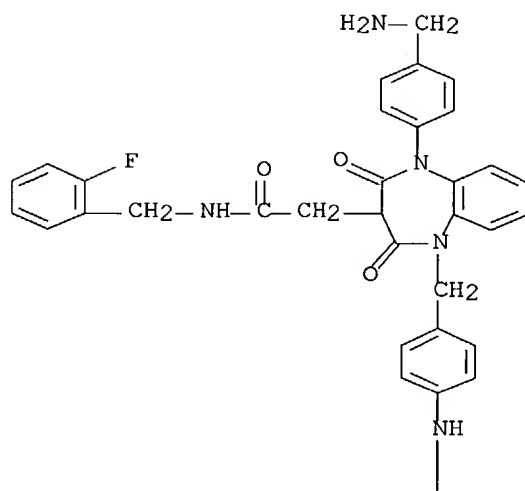


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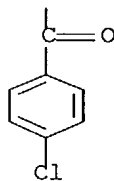
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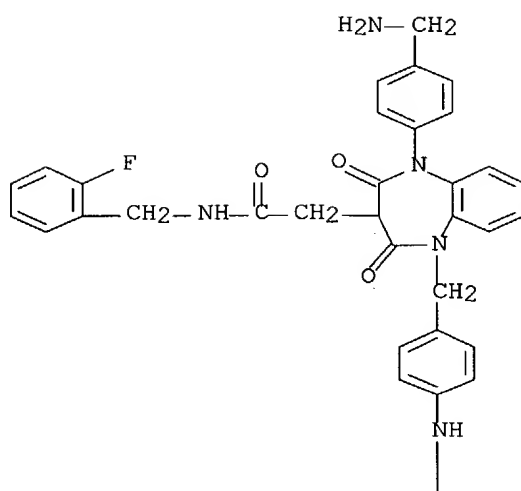
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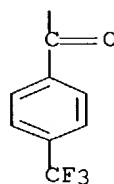
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(CA INDEX NAME)

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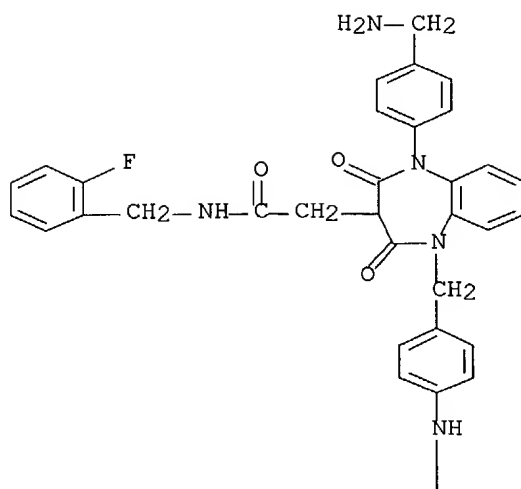
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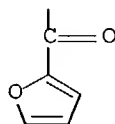
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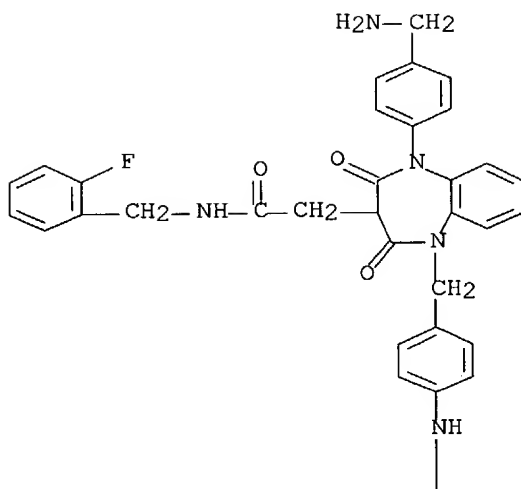
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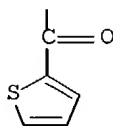
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INDEX
NAME)

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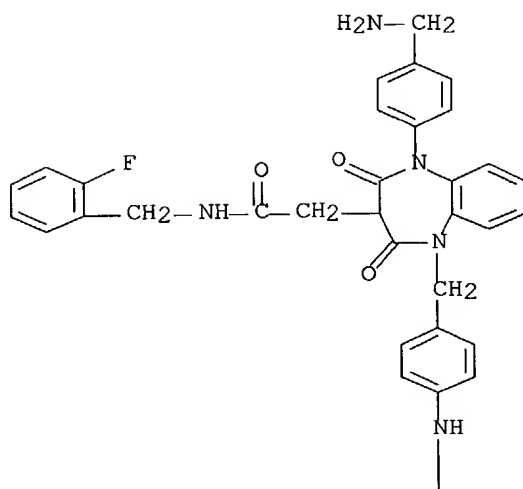
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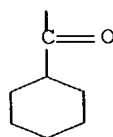
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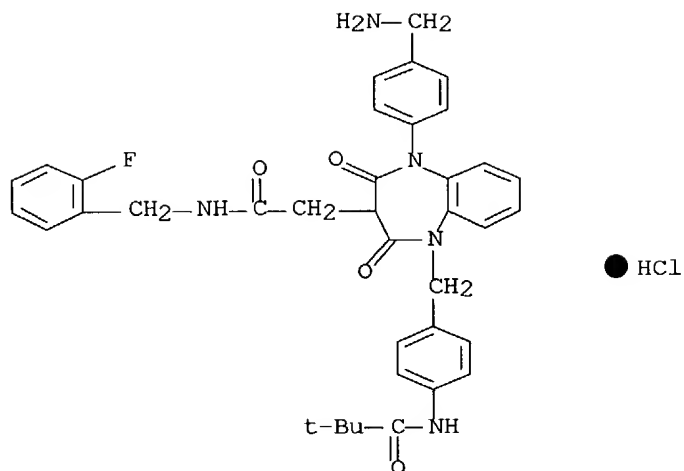
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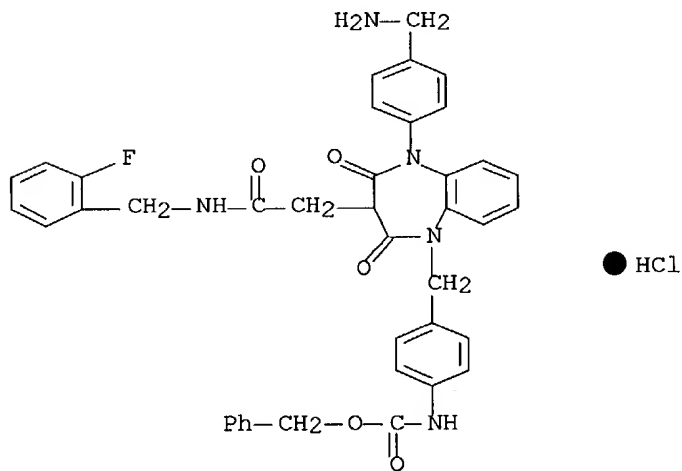
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RN 264915-38-0 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[[4-
[(2,2-dimethyl-1-oxopropyl)amino]phenyl)methyl]-N-[(2-fluorophenyl)methyl]-
2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

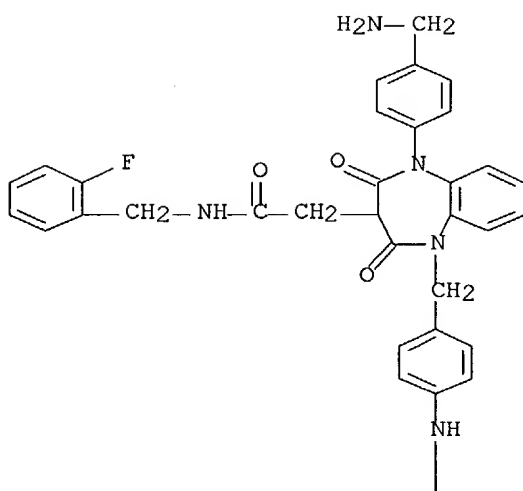


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 (9CI) (CA INDEX NAME)

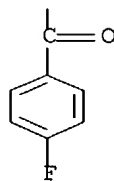


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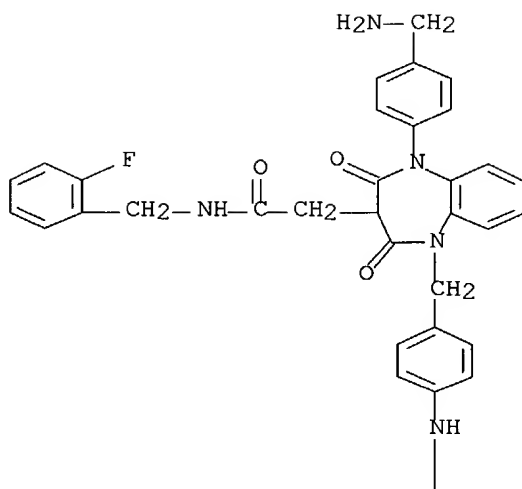
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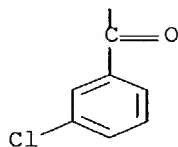
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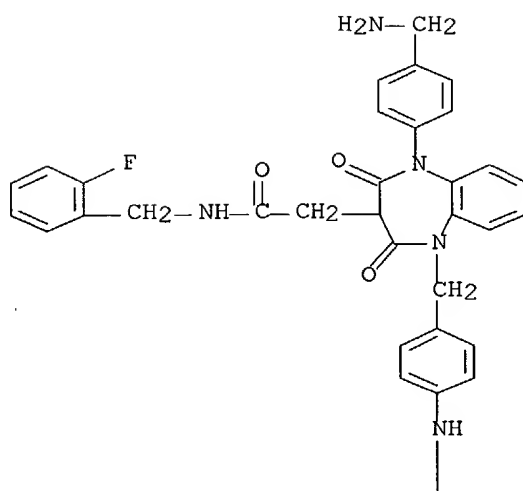
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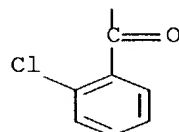
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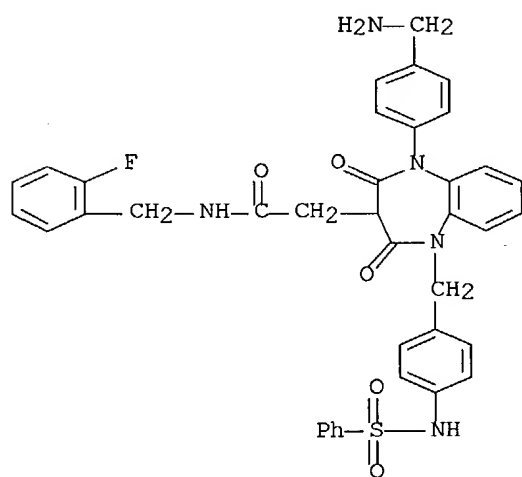
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INDEX

NAME)

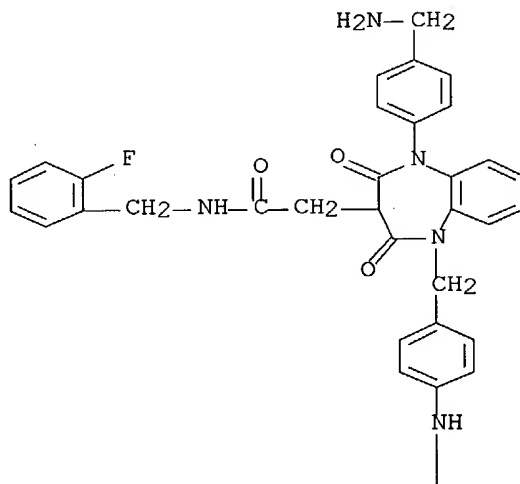


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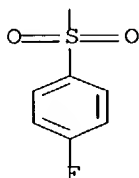
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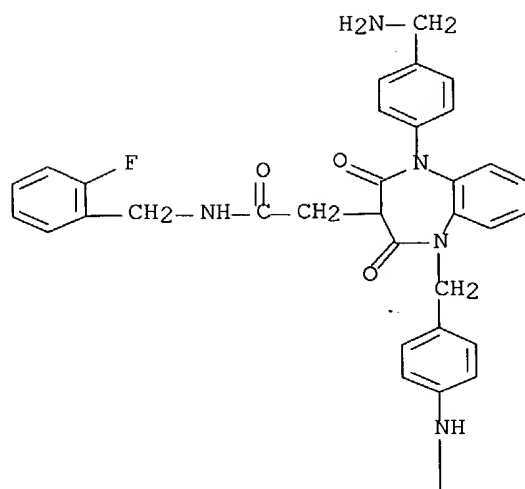


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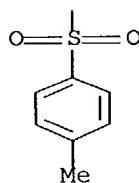
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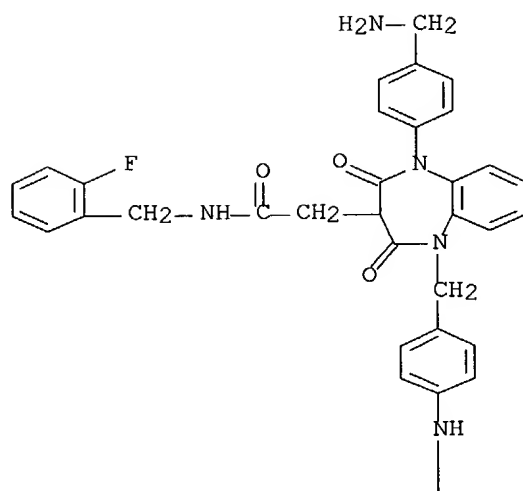
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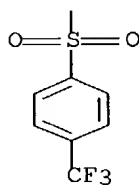
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(9CI) (CA INDEX NAME)

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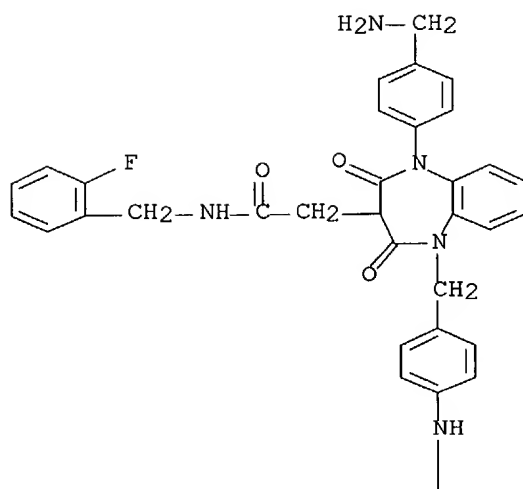
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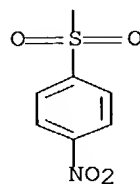
● HCl

RN 264915-47-1 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-[[4-[[4-(4-nitrophenyl)sulfonyl]amino]phenyl]methyl]-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



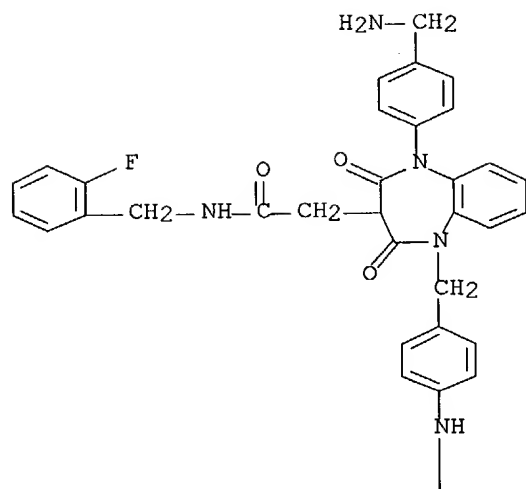
PAGE 2-A



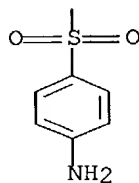
● HCl

RN 264915-48-2 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[[4-[[4-(aminophenyl)sulfonyl]amino]phenyl]methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



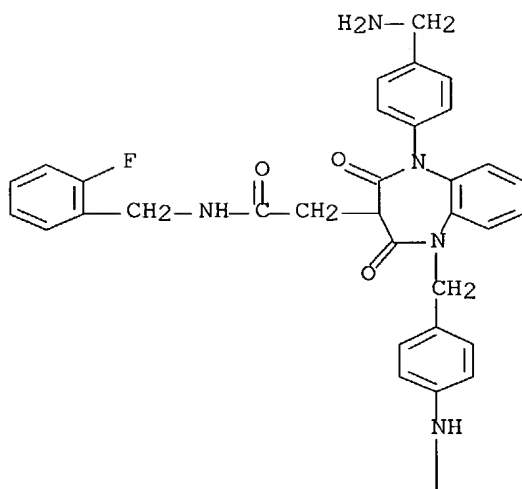
PAGE 2-A



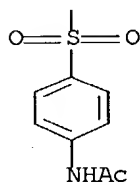
●2 HCl

RN 264915-49-3 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[[4-[[[4-(acetylamino)phenyl]sulfonyl]amino]phenyl]methyl]-5-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

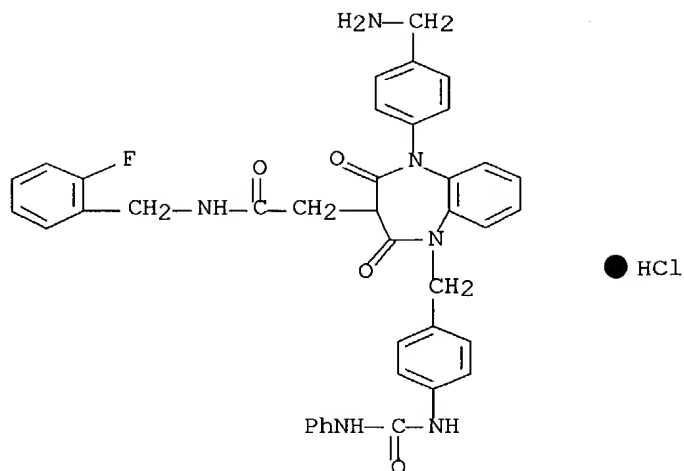


PAGE 2-A



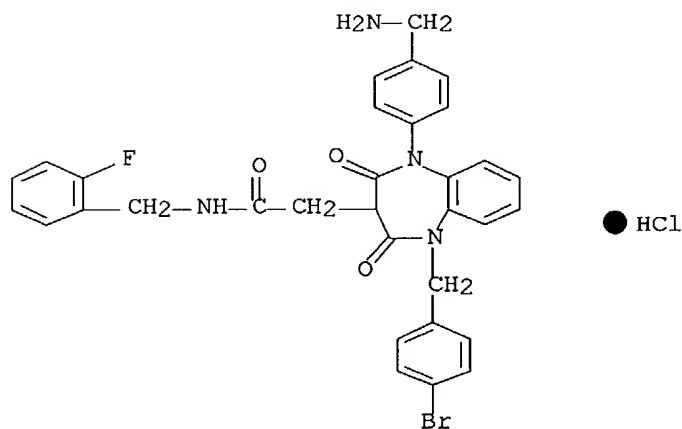
● HCl

RN 264915-50-6 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-[(phenylamino)carbonyl]amino]phenyl]methyl]-, monohydrochloride (9CI)
(CA INDEX NAME)



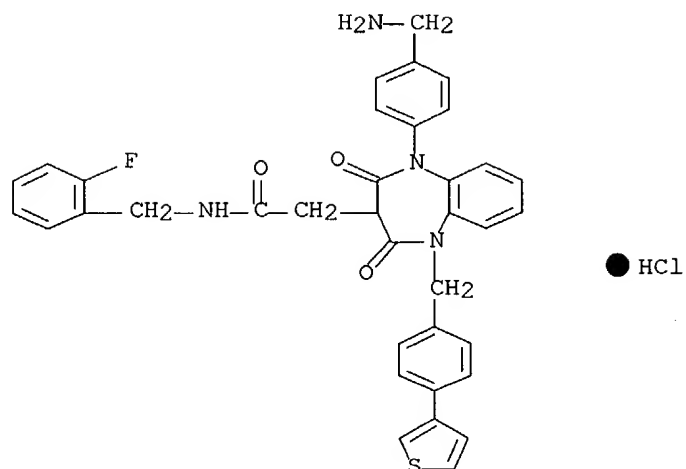
RN 264915-51-7 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[(4-bromophenyl)methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



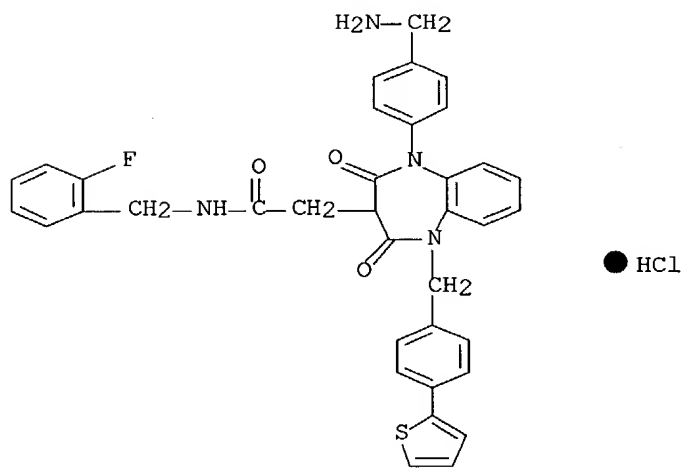
RN 264915-52-8 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(3-thienyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



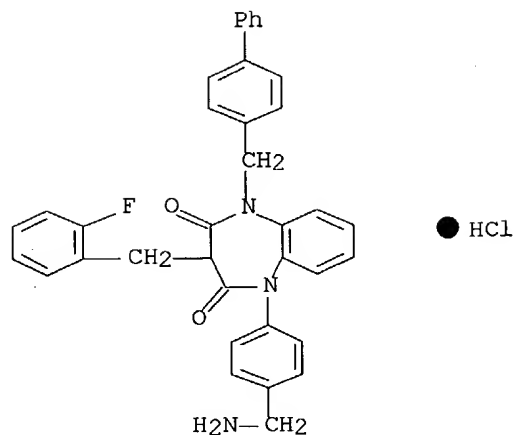
RN 264915-53-9 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(2-thienyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



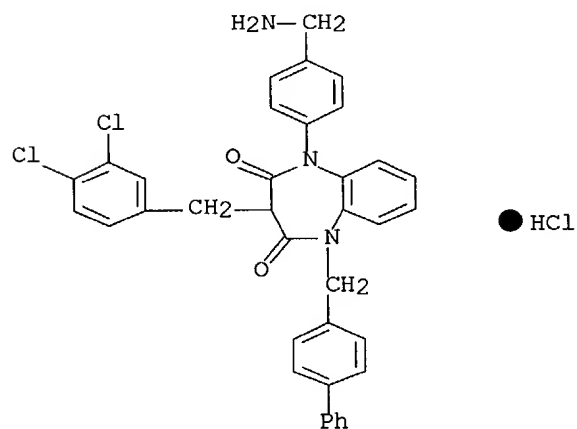
RN 264915-54-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-[4-(aminomethyl)phenyl]-5-[[1,1'-biphenyl]-4-ylmethyl]-3-[(2-fluorophenyl)methyl]-, monohydrochloride (9CI)
(CA INDEX NAME)



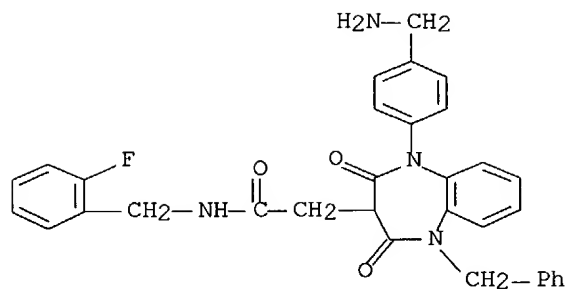
RN 264915-55-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-[4-(aminomethyl)phenyl]-5-
 ([1,1'-
 biphenyl]-4-ylmethyl)-3-[(3,4-dichlorophenyl)methyl]-, monohydrochloride
 (9CI) (CA INDEX NAME)



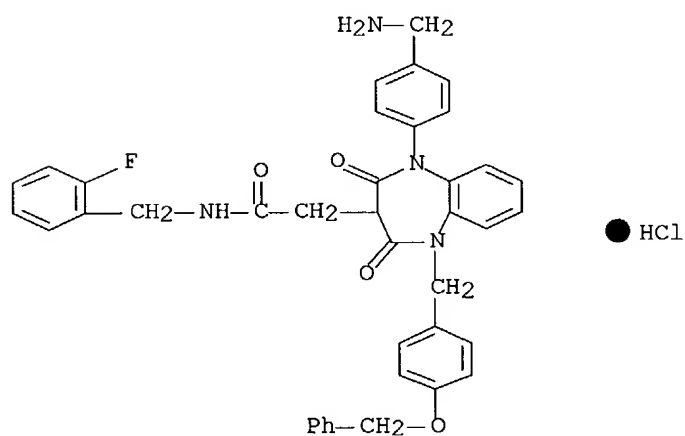
RN 264915-56-2 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-
 fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-(phenylmethyl)-,
 monohydrochloride (9CI) (CA INDEX NAME)



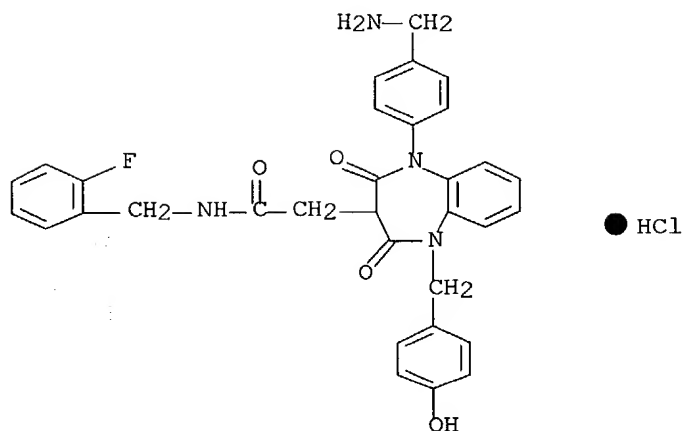
● HCl

RN 264915-57-3 CAPLUS
 CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(phenylmethoxy)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



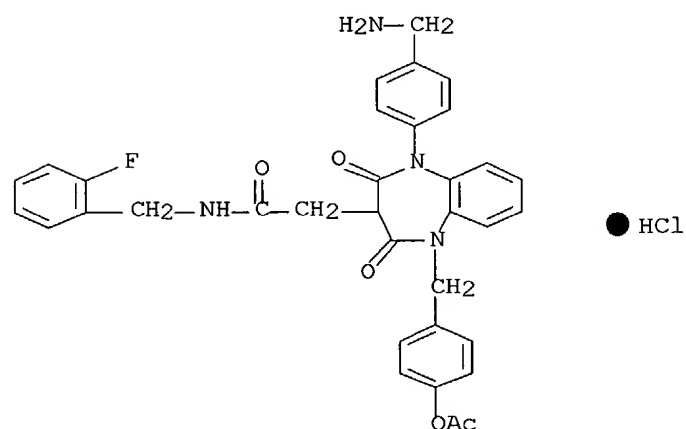
● HCl

RN 264915-58-4 CAPLUS
 CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-[(4-hydroxyphenyl)methyl]-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



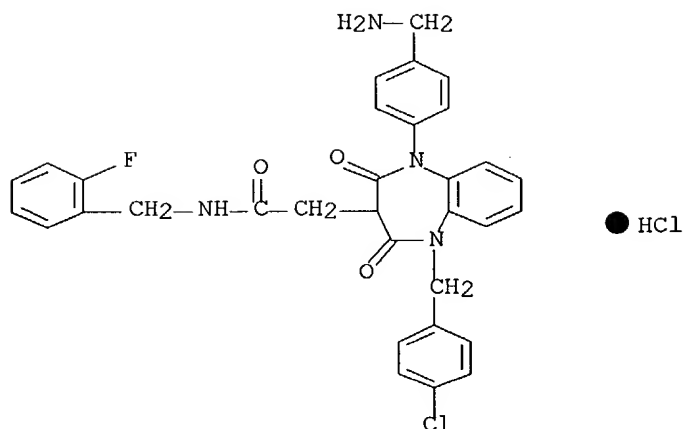
RN 264915-59-5 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[[4-(acetyloxy)phenyl]methyl]-5-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



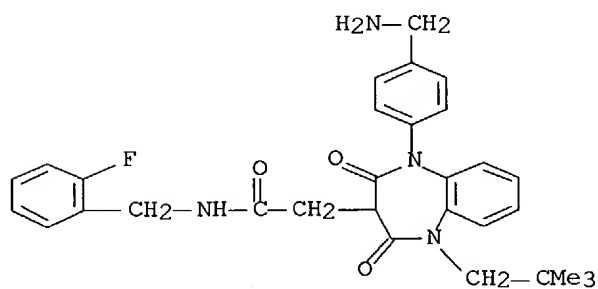
RN 264915-60-8 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[(4-chlorophenyl)methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



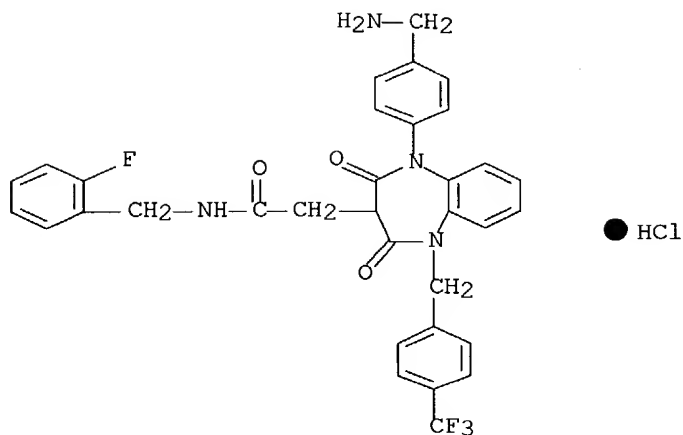
RN 264915-61-9 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-(2,2-dimethylpropyl)-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-
,
monohydrochloride (9CI) (CA INDEX NAME)



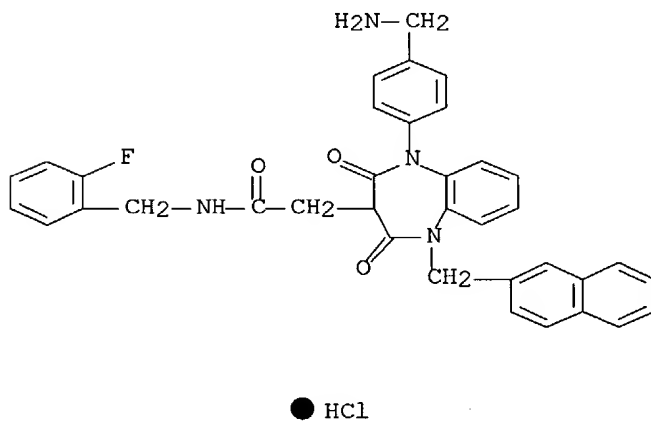
RN 264915-62-0 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(trifluoromethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



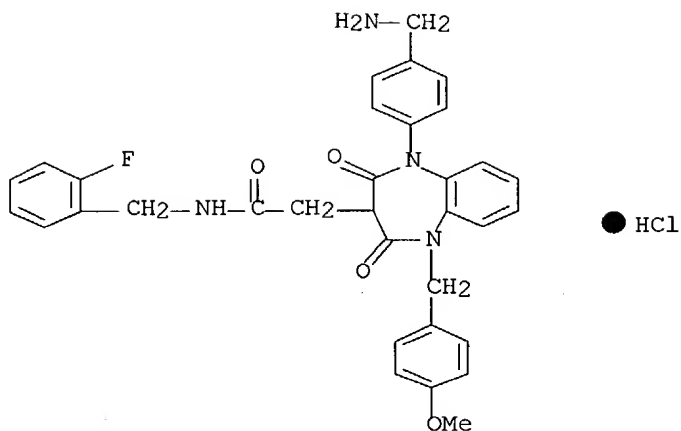
RN 264915-63-1 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-(2-naphthalenylmethyl)-2,4-dioxo-
 , monohydrochloride (9CI) (CA INDEX NAME)

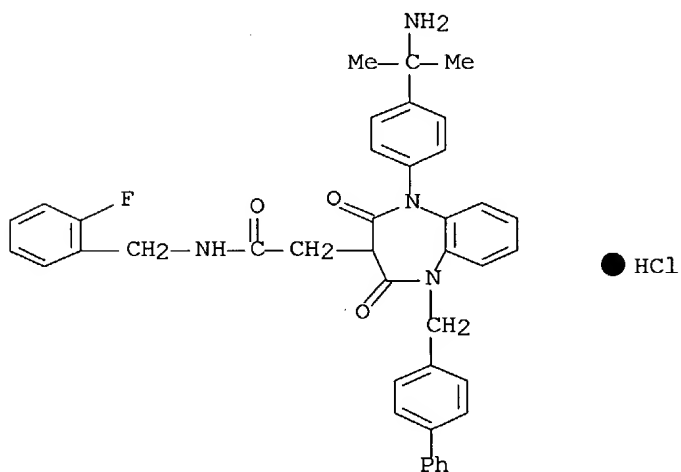


RN 264915-64-2 CAPLUS

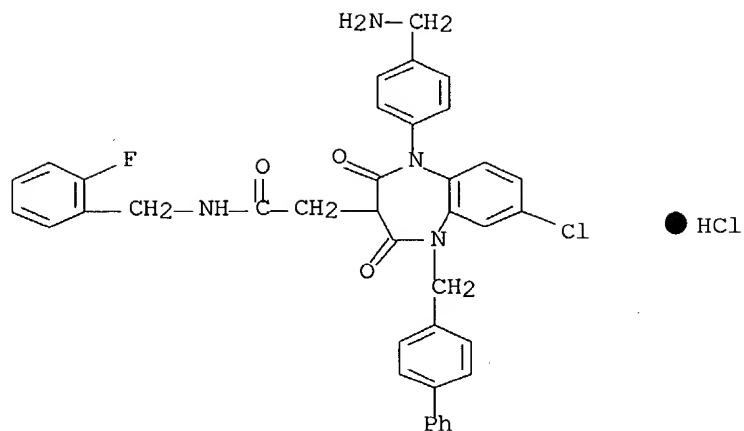
CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-[(4-methoxyphenyl)methyl]-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



RN 264915-65-3 CAPLUS
 CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(1-amino-1-methylethyl)phenyl]-
 5-
 ([1,1'-biphenyl]-4-ylmethyl)-N-[(2-fluorophenyl)methyl]-2,3,4,5-
 tetrahydro-
 2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

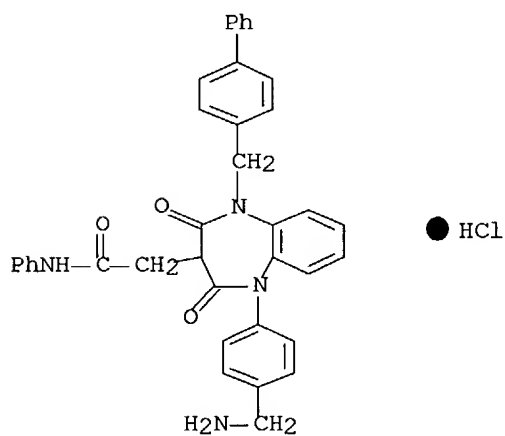


RN 264915-66-4 CAPLUS
 CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-([1,1'-
 biphenyl]-4-ylmethyl)-7-chloro-N-[(2-fluorophenyl)methyl]-2,3,4,5-
 tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



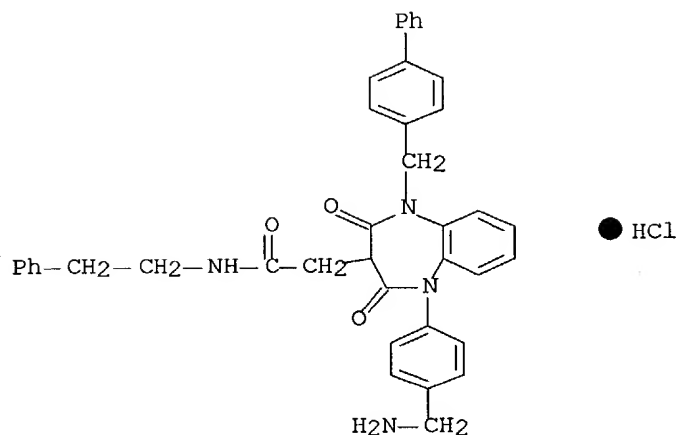
RN 264915-67-5 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 264915-68-6 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-N-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



IT 264915-72-2P 264915-73-3P 264915-74-4P
 264915-75-5P 264915-76-6P 264915-77-7P
 264915-80-2P 264915-81-3P 264915-82-4P
 264915-83-5P 264915-84-6P 264915-85-7P
 264915-86-8P 264915-87-9P 264915-88-0P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

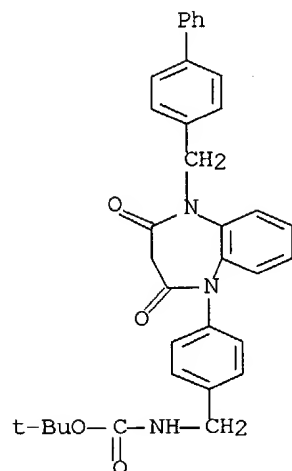
(Reactant or reagent)

(preparation process of 1,5-benzodiazepines as medicine)

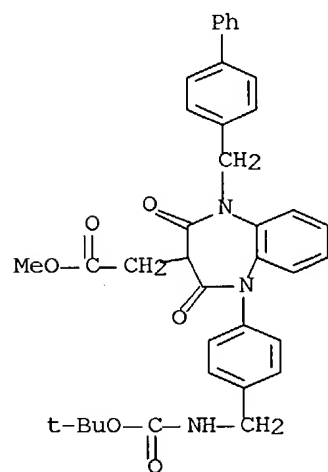
RN 264915-72-2 CAPLUS

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-

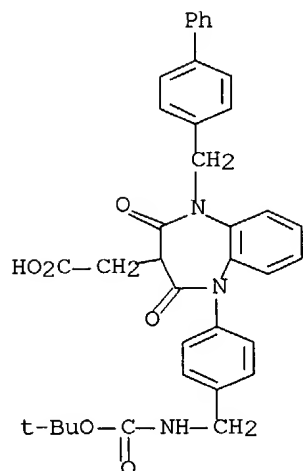
2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester
 (9CI) (CA INDEX NAME)



RN 264915-73-3 CAPLUS
 CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5-
 [4-
 [[[(1,1-dimethylethoxy) carbonyl] amino] methyl] phenyl]-2,3,4,5-tetrahydro-
 2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

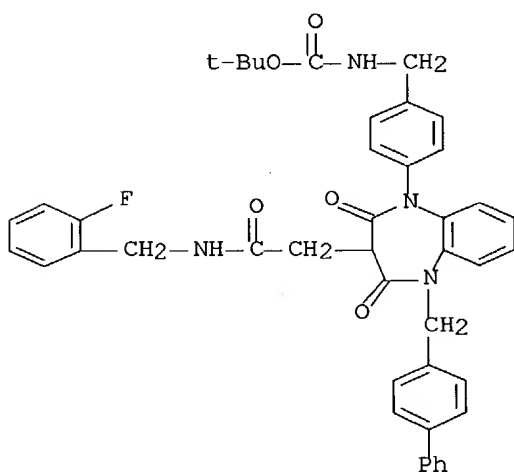


RN 264915-74-4 CAPLUS
 CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5-
 [4-
 [[[(1,1-dimethylethoxy) carbonyl] amino] methyl] phenyl]-2,3,4,5-tetrahydro-
 2,4-dioxo- (9CI) (CA INDEX NAME)



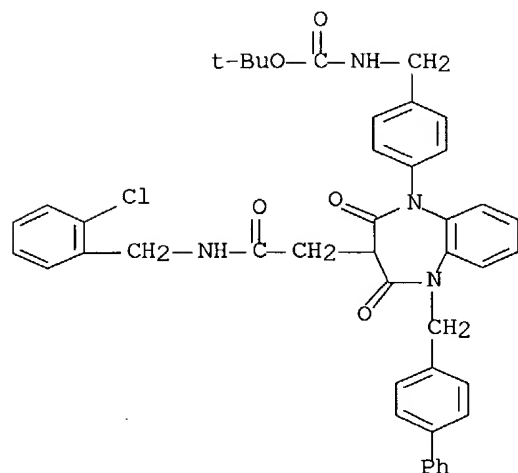
RN 264915-75-5 CAPLUS

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[2-[[2-(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

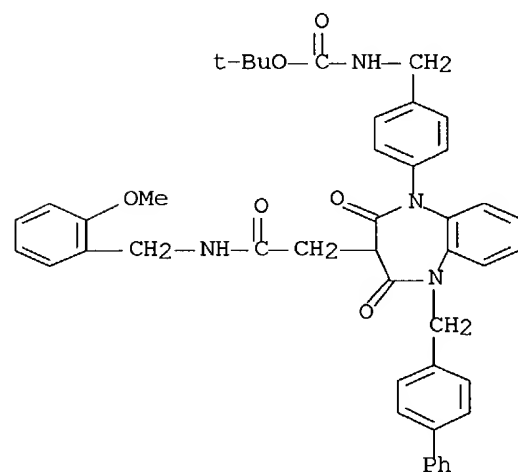


RN 264915-76-6 CAPLUS

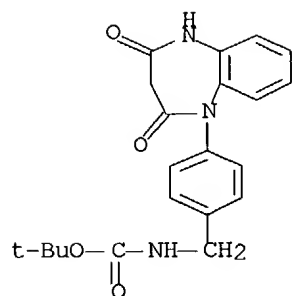
CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[2-[[2-(2-chlorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 264915-77-7 CAPLUS
 CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-3-[2-
 [[(2-methoxyphenyl)methyl]amino]-2-oxoethyl]-2,4-dioxo-1H-1,5-
 benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA
 INDEX NAME)

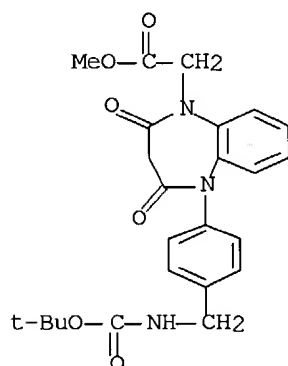


RN 264915-80-2 CAPLUS
 CN Carbamic acid, [[4-(2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-
 yl)phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



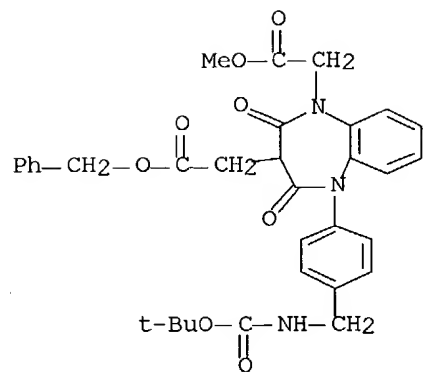
RN 264915-81-3 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetic acid, 5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-
, methyl ester (9CI) (CA INDEX NAME)



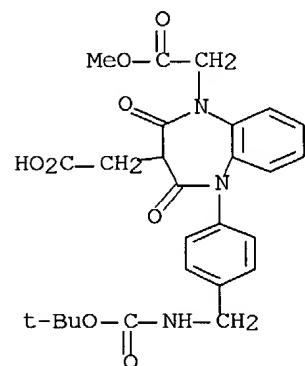
RN 264915-82-4 CAPLUS

CN 1H-1,5-Benzodiazepine-1,3-diacetic acid, 5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-
, α 1-methyl α 3-(phenylmethyl) ester (9CI) (CA INDEX NAME)



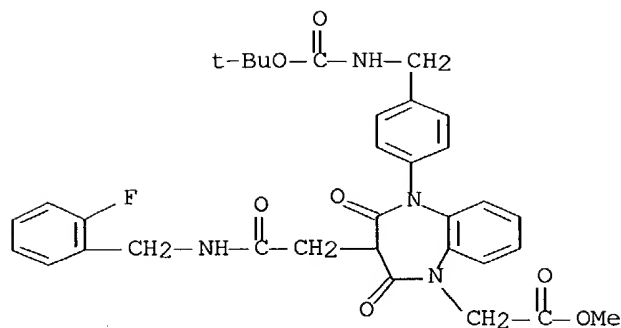
RN 264915-83-5 CAPLUS

CN 1H-1,5-Benzodiazepine-1,3-diacetic acid, 5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-, α 1-methyl ester (9CI) (CA INDEX NAME)



RN 264915-84-6 CAPLUS

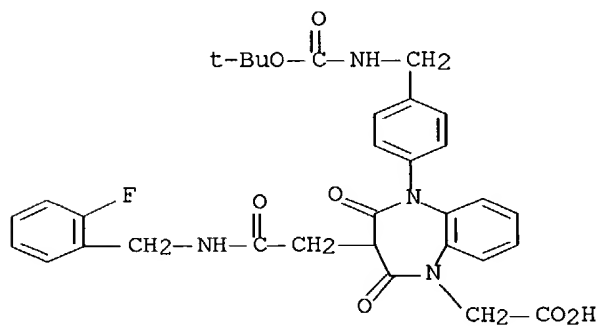
CN 1H-1,5-Benzodiazepine-1-acetic acid, 5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-3-[2-[[2-(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)



RN 264915-85-7 CAPLUS

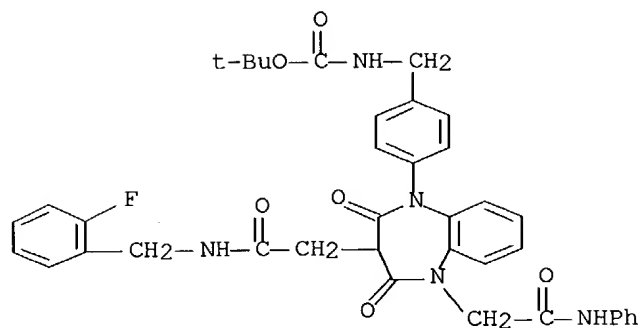
CN 1H-1,5-Benzodiazepine-1-acetic acid, 5-[4-[[[(1,1-dimethylethoxy) carbonyl] amino] methyl] phenyl]-3-[2-[(2-fluorophenyl) methyl] amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo- (9CI)

(CA INDEX NAME)

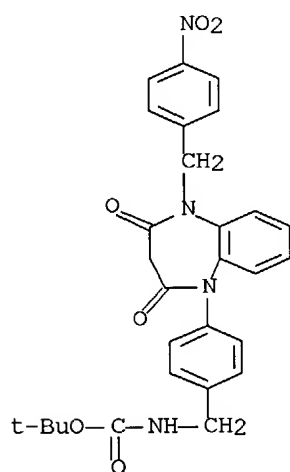


RN 264915-86-8 CAPLUS

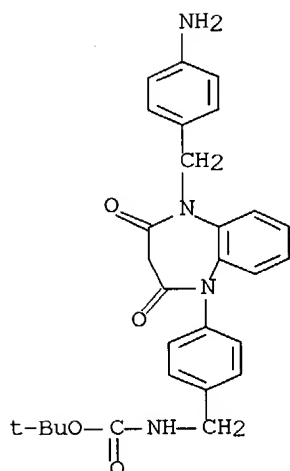
CN Carbamic acid, [[4-[3-[2-[[[(2-fluorophenyl) methyl] amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[2-oxo-2-(phenylamino) ethyl]-1H-1,5-benzodiazepin-1-yl] phenyl] methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 264915-87-9 CAPLUS
 CN Carbamic acid, [[4-[2,3,4,5-tetrahydro-5-[(4-nitrophenyl)methyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI)
 (CA INDEX NAME)

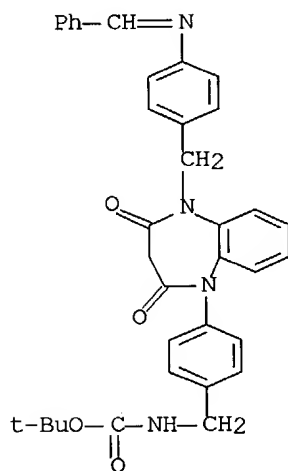


RN 264915-88-0 CAPLUS
 CN Carbamic acid, [[4-[5-[(4-aminophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI)
 (CA INDEX NAME)



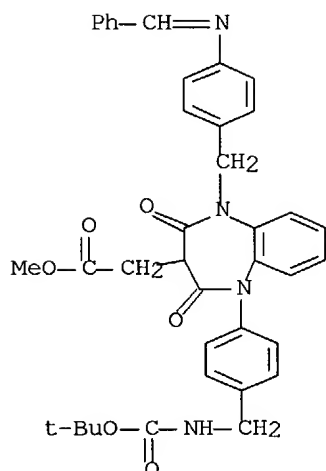
RN 264915-89-1 CAPLUS

CN Carbamic acid, [[4-[2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-[(phenylmethylene)amino]phenyl]methyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



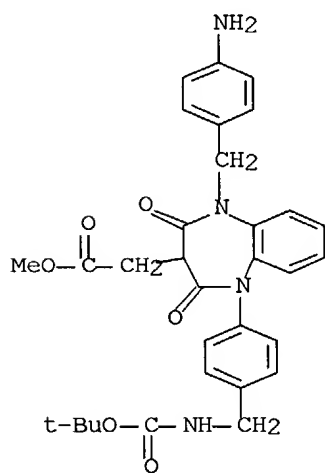
RN 264915-90-4 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-[(phenylmethylene)amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 264915-91-5 CAPLUS

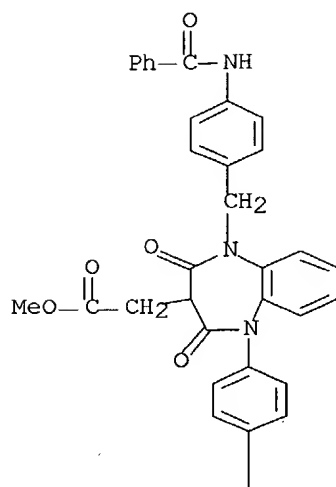
CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[(4-aminophenyl)methyl]-5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)



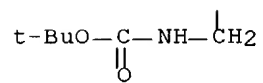
RN 264915-92-6 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[[4-(benzoylamino)phenyl]methyl]-5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

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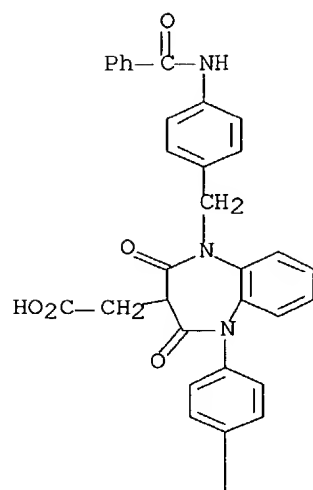


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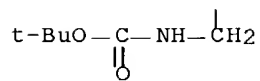


RN 264915-93-7 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[[4-(benzoylamino)phenyl]methyl]-
5-
[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-
tetrahydro-
2,4-dioxo- (9CI) (CA INDEX NAME)

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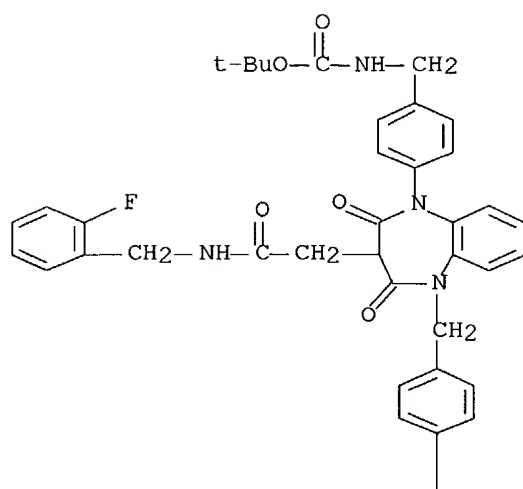
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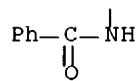
RN 264915-94-8 CAPLUS

CN Carbamic acid, [[4-[5-[[4-(benzoylamino)phenyl]methyl]-3-[2-[[2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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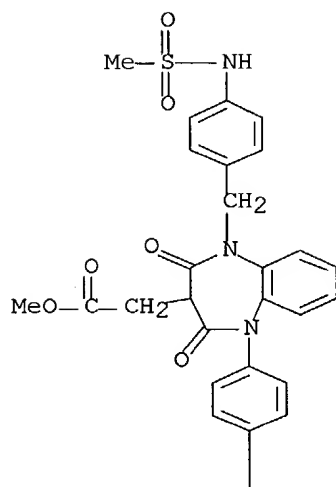
RN 264915-95-9 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[4-[[[(1,1-dimethylethoxy) carbonyl] amino] methyl] phenyl]-2,3,4,5-tetrahydro-5-[[4-[(methylsulfonyl) amino] phenyl] methyl]-2,4-dioxo-, methyl ester (9CI)

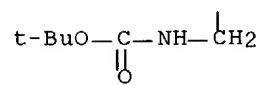
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INDEX NAME)

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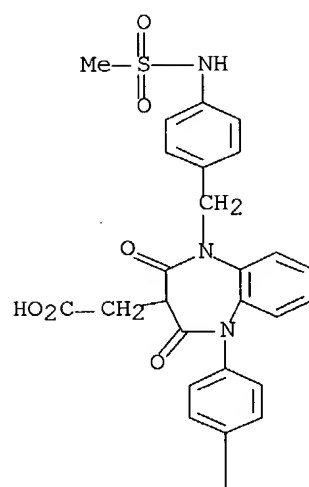


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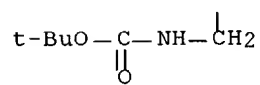


RN 264915-96-0 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-5-[[4-[(methylsulfonyl)amino]phenyl]methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)

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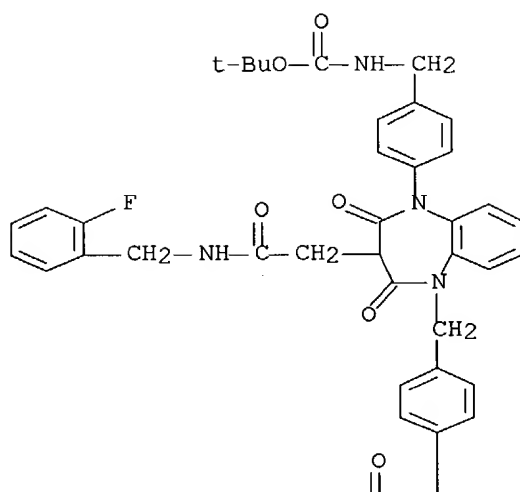


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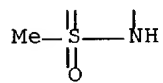


RN 264915-97-1 CAPLUS
 CN Carbamic acid, [[4-[3-[2-[[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-5-[[4-[(methylsulfonyl)amino]phenyl]methyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI)
 (CA INDEX NAME)

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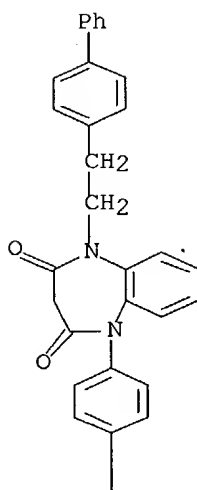


RN 264916-02-1 CAPLUS

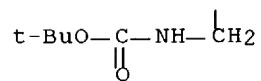
CN Carbamic acid, [[4-[5-(2-[1,1'-biphenyl]-4-ylethyl)-2,3,4,5-tetrahydro-2,4-

dioxo-1H-1,5-benzodiazepin-1-yl]phenyl)methyl]-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)

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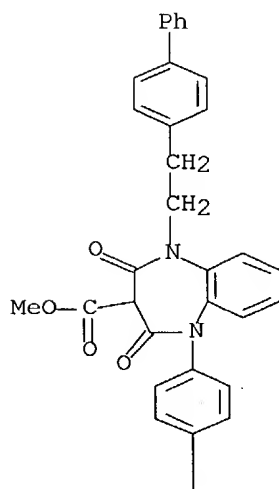
RN 264916-03-2 CAPLUS

CN 1H-1,5-Benzodiazepine-3-carboxylic acid, 1-(2-[1,1'-biphenyl]-4-ylethyl)-5-

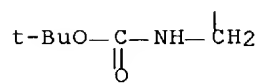
[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-

2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

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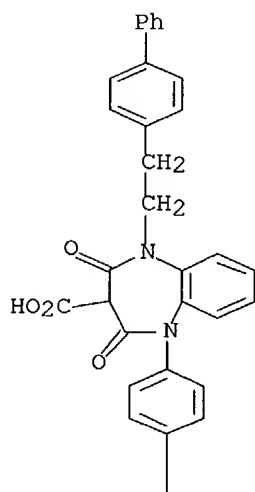
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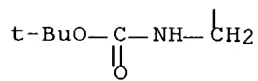
RN 264916-04-3 CAPLUS

CN 1H-1,5-Benzodiazepine-3-carboxylic acid, 1-(2-[1,1'-biphenyl]-4-ylethyl)-5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

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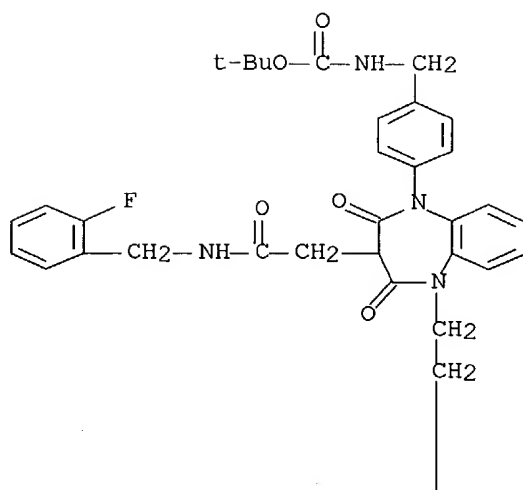
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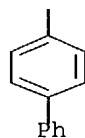
RN 264916-05-4 CAPLUS

CN Carbamic acid, [[4-[5-(2-[1,1'-biphenyl]-4-ylethyl)-3-[2-[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

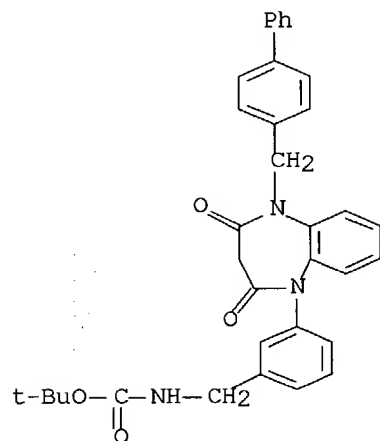
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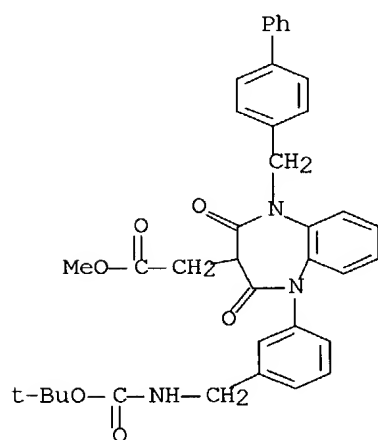
RN 264916-19-0 CAPLUS
CN Carbamic acid, [[3-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 264916-20-3 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5-
[3-

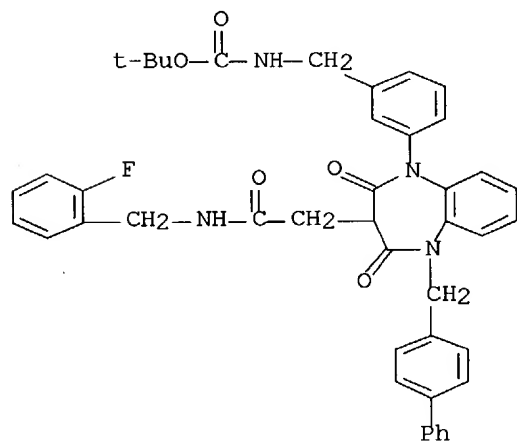
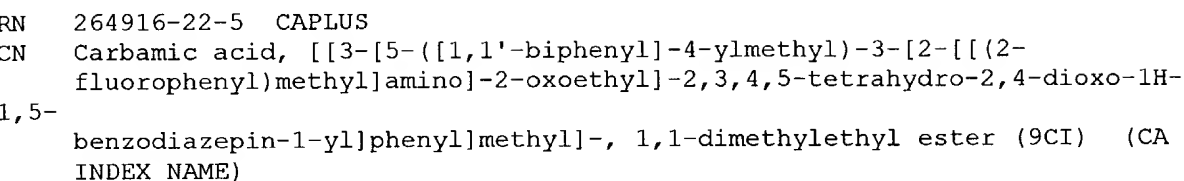
[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-
2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)



RN 264916-21-4 CAPLUS

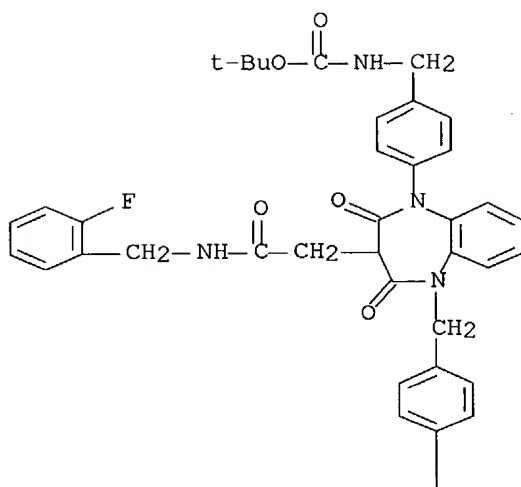
CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5-
[3-

[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-
2,4-dioxo- (9CI) (CA INDEX NAME)

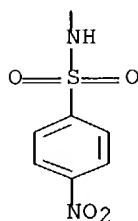


RN	264916-23-6	CAPLUS
CN	Carbamic acid, [[4-[3-[2-[[2-(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-5-[[4-[[4-(4-nitrophenyl)sulfonyl]amino]phenyl)methyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)	

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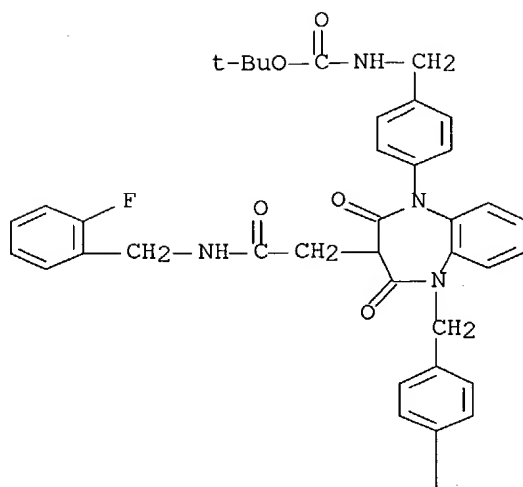
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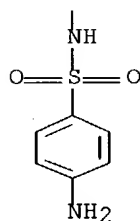
RN 264916-24-7 CAPLUS

CN Carbamic acid, [[4-[5-[[4-[[[(4-aminophenyl)sulfonyl]amino]phenyl]methyl]-3-[2-[[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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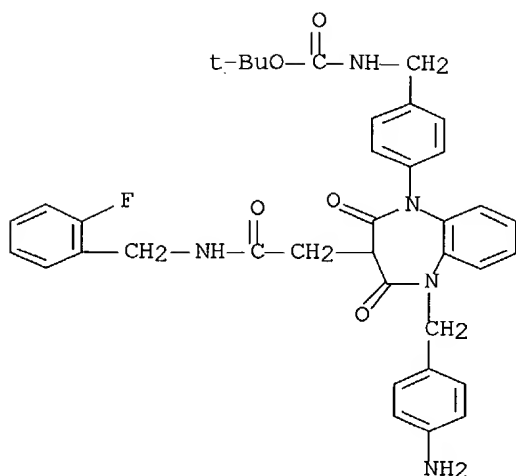


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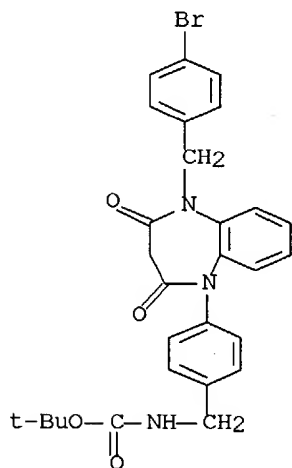


RN 264916-25-8 CAPLUS

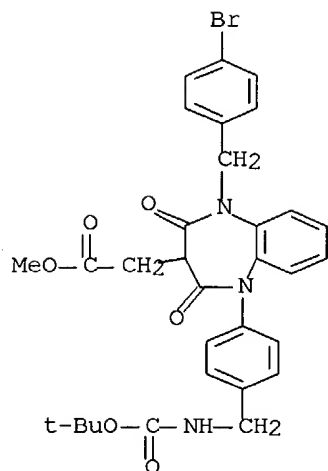
CN Carbamic acid, [[4-[5-[(4-aminophenyl)methyl]-3-[2-[[2-(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



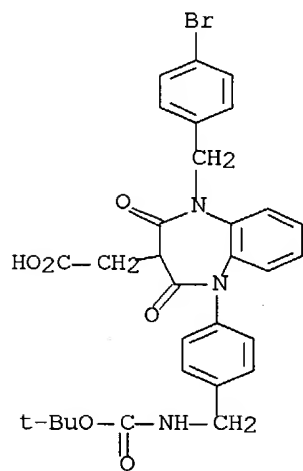
RN 264916-29-2 CAPLUS
 CN Carbamic acid, [[4-[5-[(4-bromophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI)
 (CA INDEX NAME)



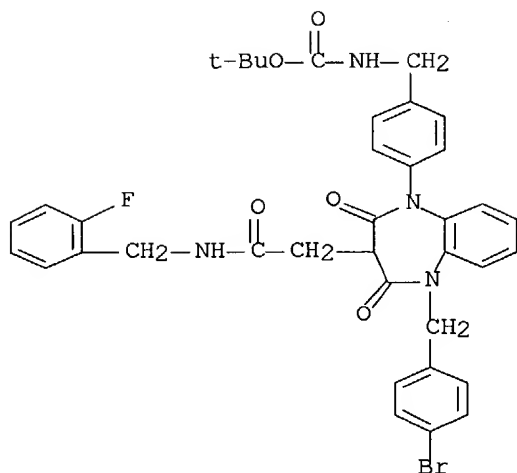
RN 264916-30-5 CAPLUS
 CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[(4-bromophenyl)methyl]-5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)



RN 264916-31-6 CAPLUS
 CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[(4-bromophenyl)methyl]-5-[4-
 [[[(1,1-dimethylethoxy) carbonyl] amino]methyl]phenyl]-2,3,4,5-tetrahydro-
 2,4-dioxo- (9CI) (CA INDEX NAME)



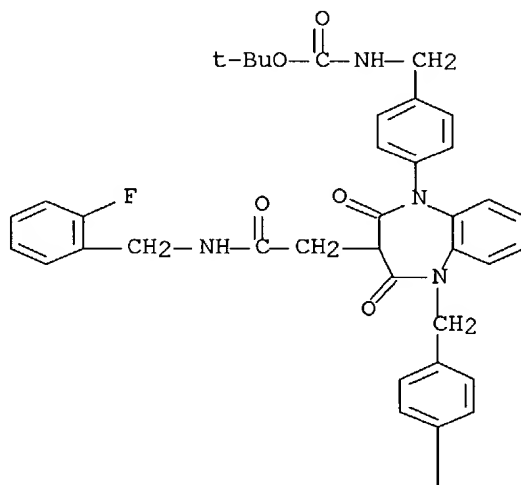
RN 264916-32-7 CAPLUS
 CN Carbamic acid, [[4-[5-[(4-bromophenyl)methyl]-3-[2-[[(2-
 fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-
 1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA
 INDEX NAME)



RN 264916-33-8 CAPLUS

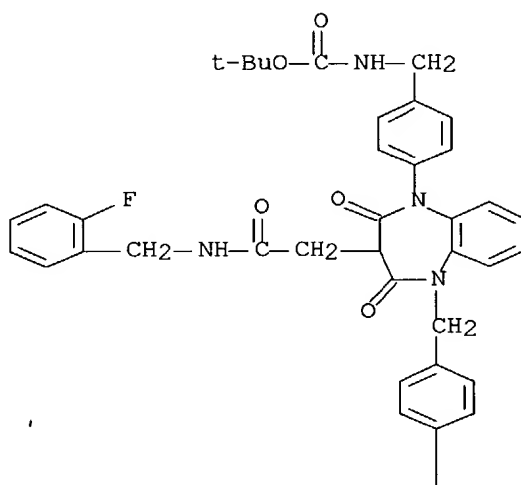
CN Carbamic acid, [[4-[3-[2-[[[2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(3-thienyl)phenyl]methyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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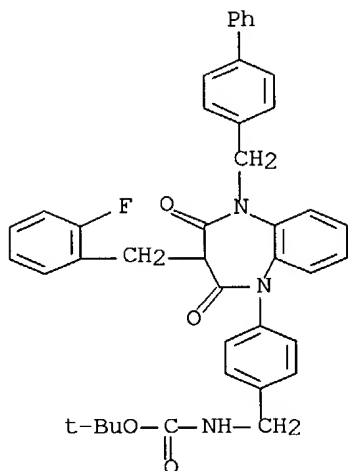




RN 264916-34-9 CAPLUS
 CN Carbamic acid, [[4-[3-[2-[[2-(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(2-thienyl)phenyl]methyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

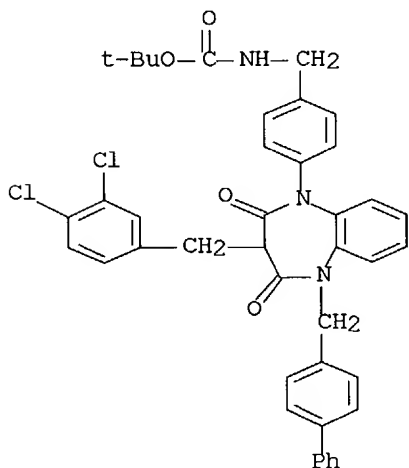


RN 264916-35-0 CAPLUS
 CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



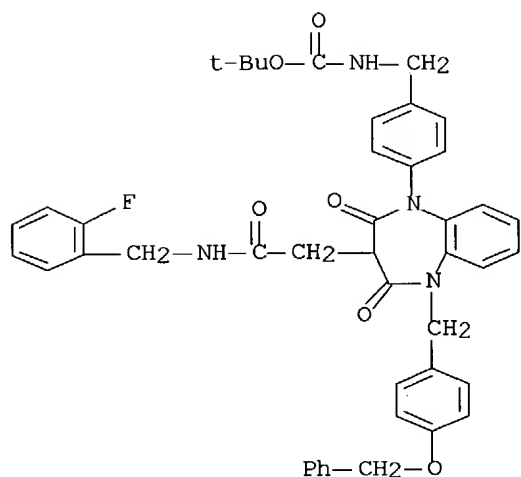
RN 264916-36-1 CAPLUS

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[(3,4-dichlorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



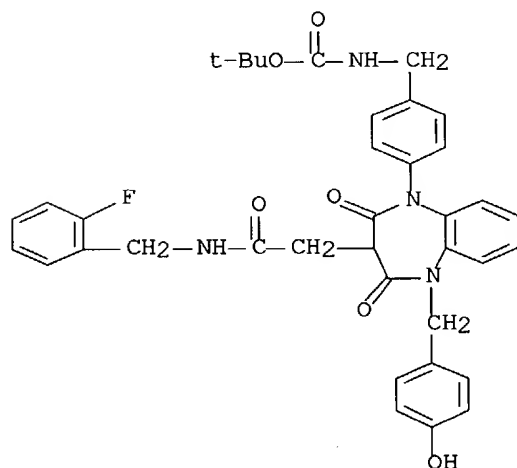
RN 264916-37-2 CAPLUS

CN Carbamic acid, [[4-[3-[2-[[[2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(phenylmethoxy)phenyl]methyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 264916-38-3 CAPLUS

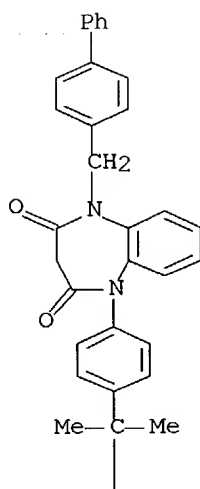
CN Carbamic acid, [[4-[3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-5-[(4-hydroxyphenyl)methyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



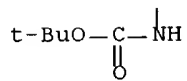
RN 264916-46-3 CAPLUS

CN Carbamic acid, [1-[4-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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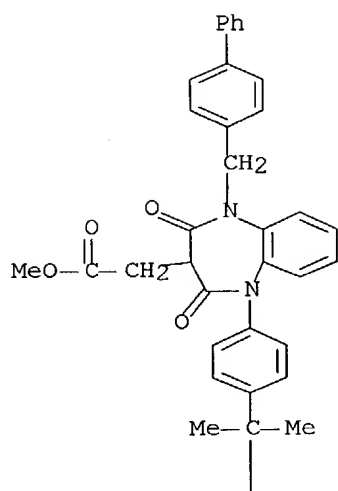


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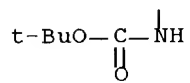


RN 264916-47-4 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5-
[4-
[1-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-methylethyl]phenyl]-2,3,4,5-
tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

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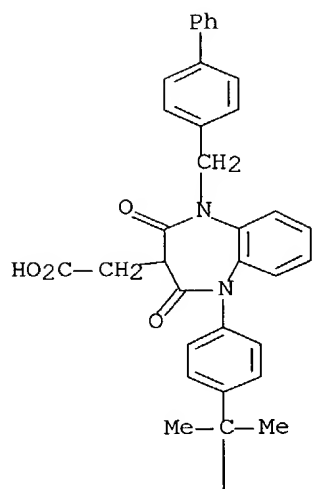
RN 264916-48-5 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5-

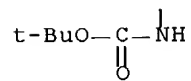
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[1-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-methylethyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

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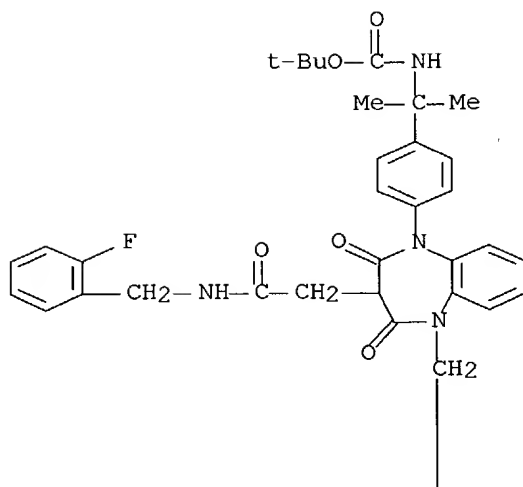


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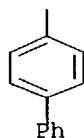


RN 264916-49-6 CAPLUS
 CN Carbamic acid, [1-[4-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[2-[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]-1-methylethyl]-, 1,1-dimethylethyl ester
 (9CI)
 (CA INDEX NAME)

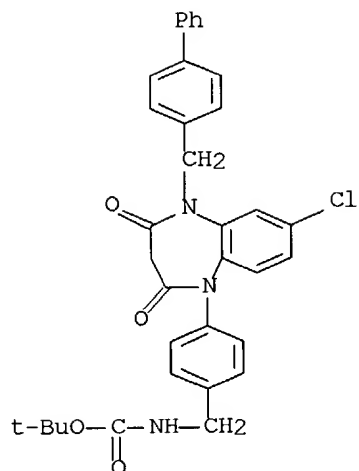
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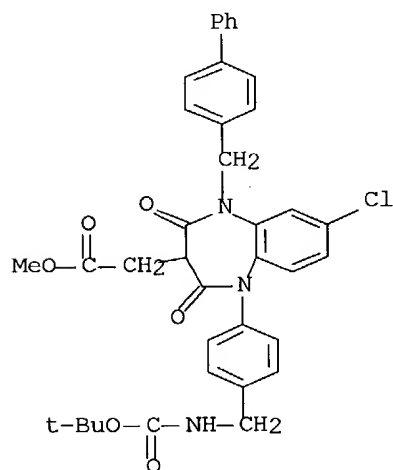


RN 264916-54-3 CAPLUS
CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



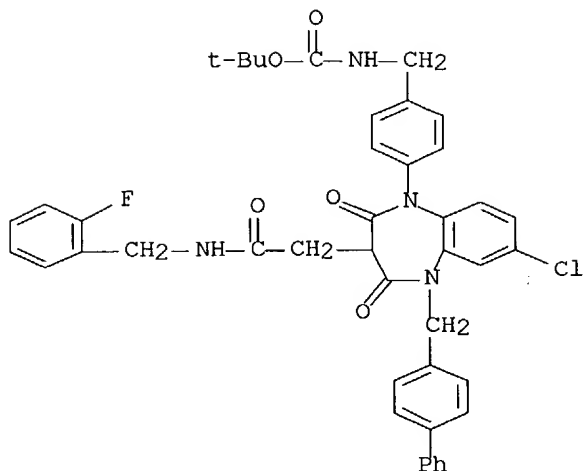
RN 264916-55-4 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 5-([1,1'-biphenyl]-4-ylmethyl)-7-chloro-1-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)



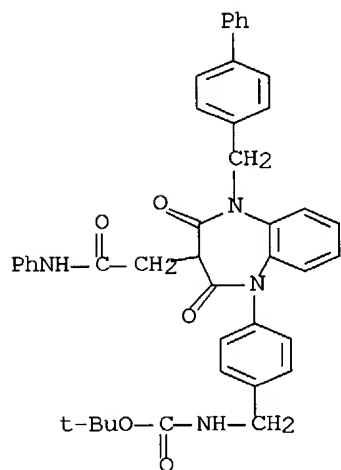
RN 264916-56-5 CAPLUS

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-7-chloro-3-[2-[[2-(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



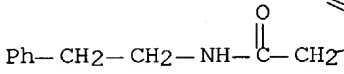
RN 264916-57-6 CAPLUS

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-3-[2-oxo-2-(phenylamino)ethyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 264916-58-7 CAPLUS

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-3-[2-oxo-2-[(2-phenylethyl)amino]ethyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:311692 CAPLUS Full-text
 DN 129:108037
 TI Production of novel derivatives of a gastrin antagonist (GW1) using
 biotransformation
 AU Blackaby, Andrew; Dawson, Michael J.; Hall, Richard M.; Jones, Carol A.;
 Knaggs, Andrew R.; Marshall, Peter S.; Taylor, Nick L.; Sidebottom,
 Philip; Webb, Graham
 CS Glaxo Wellcome Research and Development, Medicines Research Centre,
 Stevenage, SG12NY, UK
 SO Studies in Organic Chemistry (Amsterdam) (1998), 53(New Frontiers in
 Screening for Microbial Biocatalysts), 173-176
 CODEN: SOCHDQ; ISSN: 0165-3253
 PB Elsevier Science B.V.
 DT Journal
 LA English
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A range of novel compds. was isolated from cultures of Streptomyces
 species grown with GW1 (I) substrate. Isolation of the major mammalian
 metabolite (II) indicated that these cultures effectively mimic
 mammalian metabolism

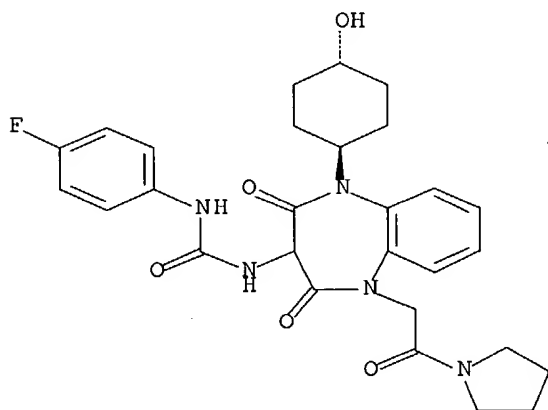
IT **210104-79-3P 210104-80-6P 210104-81-7P**
210104-82-8P 210104-84-0P 210104-87-3P

RL: BAC (Biological activity or effector, except adverse); BMF
 (Bioindustrial manufacture); BPN (Biosynthetic preparation); BSU
 (Biological study, unclassified); BIOL (Biological study); PREP
 (Preparation) (production of novel derivs. of a gastrin antagonist (GW1)
 using biotransformation)

RN 210104-79-3 CAPLUS

CN Pyrrolidine, 1-[[3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-
 tetrahydro-5-(trans-4-hydroxycyclohexyl)-2,4-dioxo-1H-1,5-benzodiazepin-
 1-yl]acetyl]- (9CI) (CA INDEX NAME)

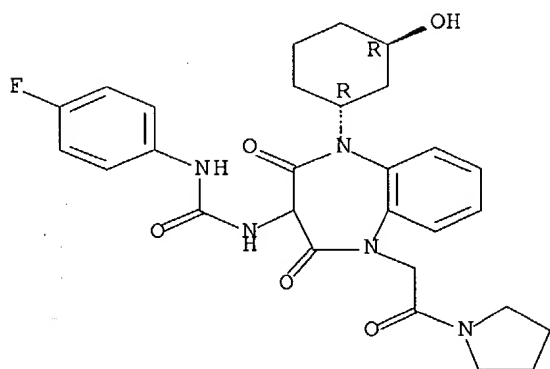
Relative stereochemistry.



RN 210104-80-6 CAPLUS

CN Pyrrolidine, 1-[[3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-
 tetrahydro-5-[(1R,3R)-3-hydroxycyclohexyl]-2,4-dioxo-1H-1,5-
 benzodiazepin-1-yl]acetyl]-, rel- (9CI) (CA INDEX NAME)

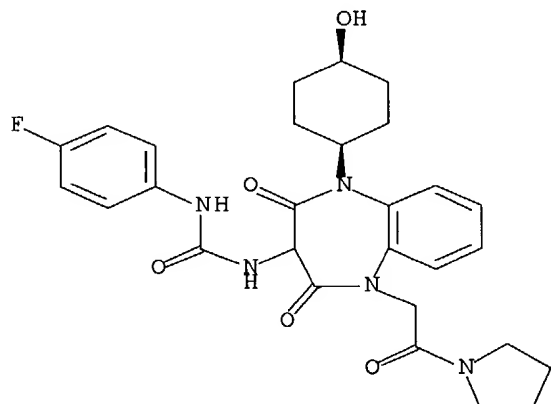
Relative stereochemistry.



RN 210104-81-7 CAPLUS

CN Pyrrolidine, 1-[[3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-5-(cis-4-hydroxycyclohexyl)-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)

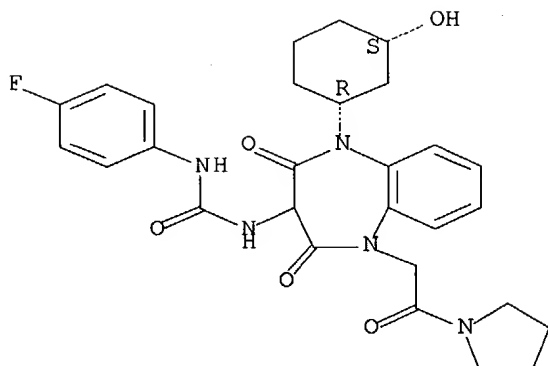
Relative stereochemistry.



RN 210104-82-8 CAPLUS

CN Pyrrolidine, 1-[[3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-5-[(1R,3S)-3-hydroxycyclohexyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-, rel- (9CI) (CA INDEX NAME)

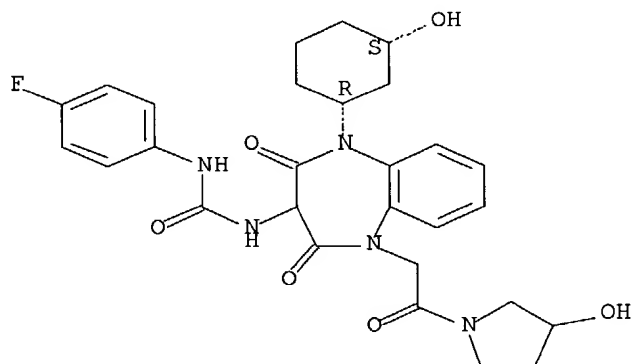
Relative stereochemistry.



RN 210104-84-0 CAPLUS

CN 3-Pyrrolidinol, 1-[[3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-5-[(1R,3S)-3-hydroxycyclohexyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-, rel- (9CI) (CA INDEX NAME)

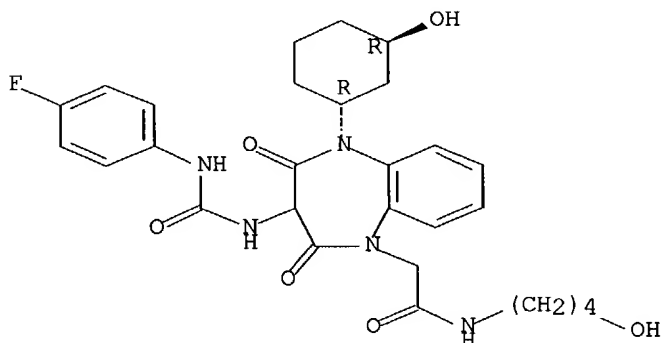
Relative stereochemistry.



RN 210104-87-3 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetamide, 3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-N-(4-hydroxybutyl)-5-[(1R,3R)-3-hydroxycyclohexyl]-2,4-dioxo-, rel- (9CI) (CA INDEX NAME)

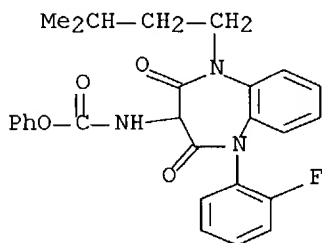
Relative stereochemistry.



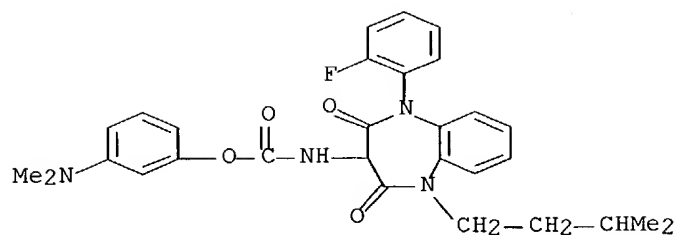
RE.CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1997:769394 CAPLUS Full-text
 DN 128:70387
 TI Novel 1,5-benzodiazepines as CCK-B ligands. Effect of aryl-carbamic substituents at the C-3 position together with halogen substitution on the benzo-fused ring
 AU Tranquillini, M. Elvira; Cassara, Paolo G.; Corsi, Mauro; Curotto, Giovanni; Donati, Daniele; Finizia, Gabriella; Pentassuglia, Giorgio; Polinelli, Stefano; Tarzia, Giorgio; Ursini, Antonella; Van Amsterdam, Franciscus T. M.
 CS Medicines Research Centre, Glaxo Wellcome S.p.A., Verona, I-37135, Italy
 SO Archiv der Pharmazie (Weinheim, Germany) (1997), 330(11), 353-357
 CODEN: ARPMAS; ISSN: 0365-6233
 PB Wiley-VCH Verlag GmbH
 DT Journal
 LA English
 AB The synthesis and biol. evaluation as potential CCK-B receptor ligands of a number of 1-isopentyl-3-aryloxycarbamoyl-5-aryl-1,5-benzodiazepines substituted with halogen atoms on the benzo-fused ring is briefly discussed. The best values of CCK-B affinity and B/A selectivity were observed with compds. bearing a 8-chloro or a 7,8-dichloro substituent. Separation of isomers led to a further improvement in selectivity.
 IT **151620-15-4P 151620-17-6P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses) (benzodiazepines as CCK-B ligands)
 RN 151620-15-4 CAPLUS
 CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)



RN 151620-17-6 CAPLUS
 CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, 3-(dimethylamino)phenyl ester (9CI)
 (CA INDEX NAME)



IT 151385-65-8P 151620-60-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

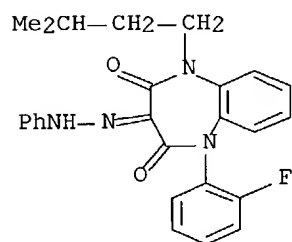
RACT

(Reactant or reagent)

(benzodiazepines as CCK-B ligands)

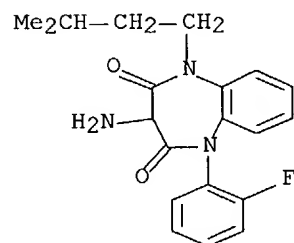
RN 151385-65-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(3-methylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

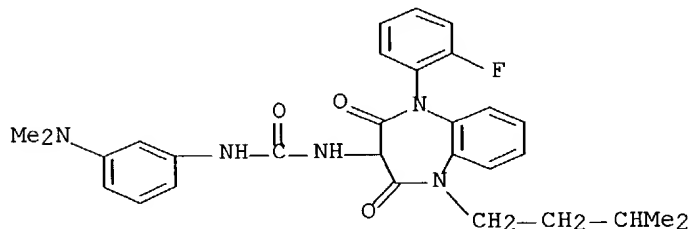


RN 151620-60-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)

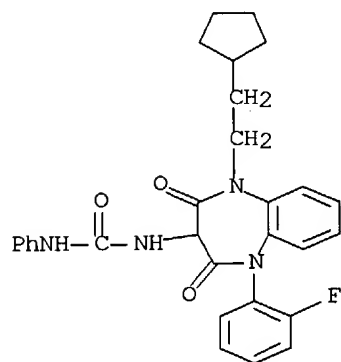


L7 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:591775 CAPLUS Full-text
 DN 125:292247
 TI Synthesis and structure-activity relationship of new 1,5 benzodiazepine CCK-B antagonists
 AU Gaviraghi, G.; Cassara, P.; Corsi, M.; Curotto, G.; Donati, D.; Feriani, A.; Finch, H.; Finizia, G.; Pentassuglia, G.; et al.
 CS Glaxo Research Laboratories, Verona, 37135, Italy
 SO Pharmacochimistry Library (1996), 24(Perspectives in Receptor Research), 375-387
 CODEN: PHLIDQ; ISSN: 0165-7208
 PB Elsevier
 DT Journal
 LA English
 AB Cholecystokinin (CCK) is one of a number of peptides that act both as gut hormones and neurotransmitters in the central nervous system (CNS). Through the discovery of selective agonists and antagonists it has been possible to show that CCK acts through at least two receptor subtypes, CCK-A and CCK-B. The former are found mainly in the periphery, while the latter are located extensively in the CNS. Evidence from animal studies have suggested the potential utility of CCK-B antagonists in the treatment of CNS disorders such as anxiety and panic, with a seemingly specific advantage of a better safety profile over marketed anxiolytics, at least on the basis of the preclin. data. The purpose of this study was to identify new CCK-B antagonists endowed with a better pharmacol. profile, both in terms of potency and selectivity, than existing antagonists (e.g. L-365,260). As a result of our studies, a novel series of 1,5-benzodiazepines bearing either ureidic or carbamic side-chains at C-3 were discovered. Amongst the compds. synthesized, GV150013 was a highly potent (pKB = 9.2) and selective CCK-B receptor antagonist. It showed potent anxiolytic activity in a number of animal models and it has been progressed into development.
 IT **153929-97-6P 153930-18-8P**
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
 (preparation and cholecystokinin antagonist structure-activity relations and anxiolytic activity of benzodiazepines)
 RN 153929-97-6 CAPLUS
 CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



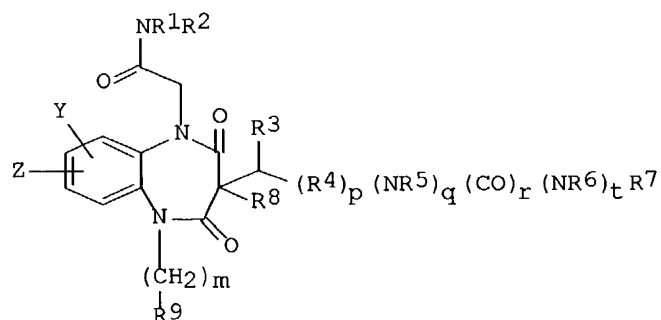
RN 153930-18-8 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-
2,4-
dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:998360 CAPLUS Full-text
 DN 124:202316
 TI Preparation of cholecystokinin and gastrin receptor-antagonist
 1,5-benzodiazepindiones
 IN Aquino, Christopher Joseph; Dezube, Milana; Henke, Brad Richard;
 Brackeen,
 Marcus; Jeffs, Peter Walter; Suh, Edward Martin; Hirst, Gavin Charles;
 Sugg, Elizabeth Ellen; Willson, Timothy Mark; Momtahan, Tanya
 PA Glaxo Wellcome Inc., USA
 SO PCT Int. Appl., 191 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9528391	A1	19951026	WO 1995-EP1336	19950413
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2186872	AA	19951026	CA 1995-2186872	19950413
	AU 9523062	A1	19951110	AU 1995-23062	19950413
	ZA 9503056	A	19960130	ZA 1995-3056	19950413
	EP 755384	A1	19970129	EP 1995-916630	19950413
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE	JP 09511999	T2	19971202	JP 1995-526695	19950413
	US 5859007	A	19990112	US 1996-722051	19961114
PRAI	GB 1994-7467		19940415		
	GB 1994-20700		19941014		
	WO 1995-EP1336		19950413		
OS	MARPAT 124:202316				
GI					



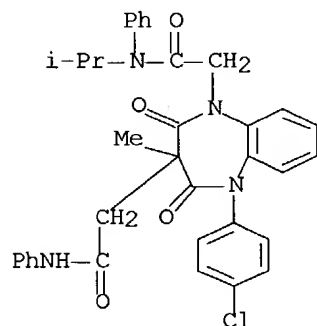
AB The title compds [I; R1 = alkyl, cycloalkyl, (un)substituted Ph; R2 = alkyl, cycloalkyl, alkenyl, benzyl, (un)substituted Ph, etc.; NR1R2 = (un)substituted 1,2,3,4-tetrahydroquinoline or benzazepine p, q, r, t = 0, 1; R5, R6 = hydrogen or alkyl; R4 = alkyl or alkenyl; R7 = hydrogen, alkyl, cycloalkyl, alkenyl, (un)substituted Ph, naphthyl, (un)substituted heteroaryl, etc.; NR6R7 = saturated (un)substituted 5-7-membered ring optionally interrupted by 1-4 N, S or O heteroatoms; m = 0-4; R8, R9 = H, alkyl, alkenyl, halogen, CN, etc.; Y, Z = hydrogen, halogen], useful for treating cholecystokinin- and gastrin-moderated diseases, are prepared and a I-containing formulation presented.

IT **174181-78-3P 174182-18-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of cholecystokinin and gastrin receptor-antagonist 1,5-benzodiazepindiones)

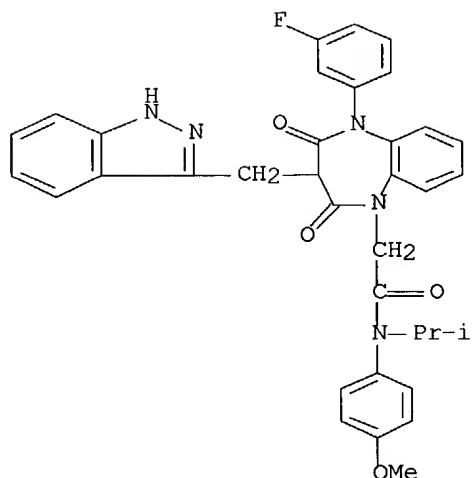
RN 174181-78-3 CAPLUS

CN 1H-1,5-Benzodiazepine-1,3-diacetamide, 5-(4-chlorophenyl)-2,3,4,5-tetrahydro-3-methyl-N1-(1-methylethyl)-2,4-dioxo-N1,N3-diphenyl- (9CI) (CA INDEX NAME)



RN 174182-18-4 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetamide, 5-(3-fluorophenyl)-2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo- (9CI) (CA INDEX NAME)



IT 174180-29-1P 174180-44-0P 174181-34-1P

174181-35-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(preparation of cholecystokinin and gastrin receptor-antagonist

1,5-benzodiazepindiones)

RN 174180-29-1 CAPLUS

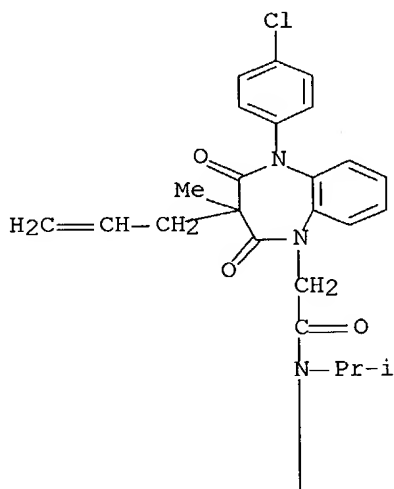
CN 1H-1,5-Benzodiazepine-1-acetamide, 5-(4-chlorophenyl)-2,3,4,5-

tetrahydro-N-

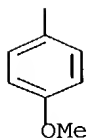
(4-methoxyphenyl)-3-methyl-N-(1-methylethyl)-2,4-dioxo-3-(2-propenyl)-

(9CI) (CA INDEX NAME)

PAGE 1-A

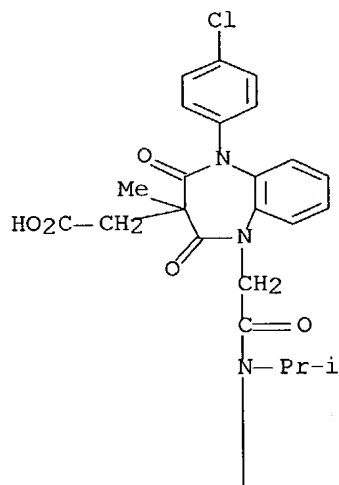


PAGE 2-A

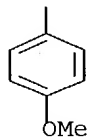


RN 174180-44-0 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-(4-chlorophenyl)-2,3,4,5-tetrahydro-5-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-3-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A

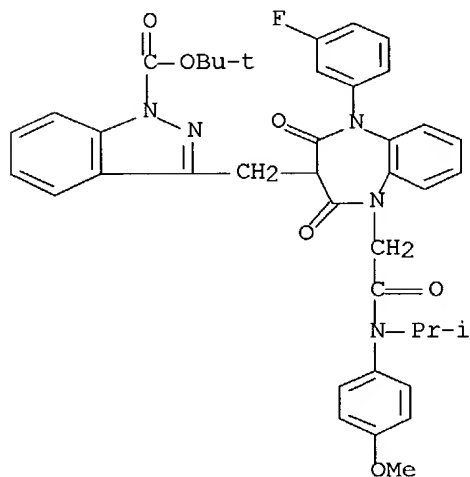


PAGE 2-A



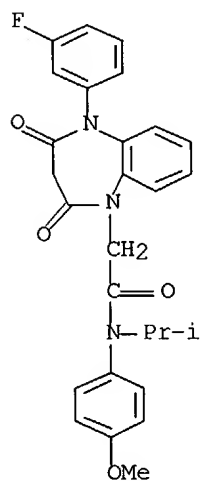
RN 174181-34-1 CAPLUS

CN 1H-Indazole-1-carboxylic acid, 3-[[1-(3-fluorophenyl)-2,3,4,5-tetrahydro-5-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



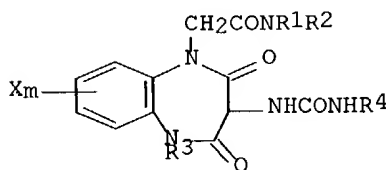
RN 174181-35-2 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetamide, 5-(3-fluorophenyl)-2,3,4,5-tetrahydro-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo- (9CI) (CA INDEX NAME)



L7 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:491901 CAPLUS Full-text
 DN 122:239728
 TI Preparation of 1,5-benzodiazepine derivatives as cholecystokinin and/or
 gastrin antagonists
 IN Finch, Harry; Shah, Pritom; Carr, Robin Arthur
 PA Glaxo Inc., USA
 SO PCT Int. Appl., 41 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9424151	A1	19941027	WO 1994-EP1130	19940413
	W:	AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN			
	RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	CA 2158972	AA	19941027	CA 1994-2158972	19940413
	AU 9465675	A1	19941108	AU 1994-65675	19940413
	AU 688316	B2	19980312		
	EP 694040	A1	19960131	EP 1994-913579	19940413
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,			
SE	CN 1120845	A	19960417	CN 1994-191771	19940413
	JP 08508743	T2	19960917	JP 1994-522733	19940413
	HU 74091	A2	19961128	HU 1995-2977	19940413
	FI 9504852	A	19951012	FI 1995-4852	19951012
	NO 9504091	A	19951213	NO 1995-4091	19951013
	US 5585376	A	19961217	US 1995-522363	19951030
PRAI	GB 1993-7833	A	19930415		
	GB 1994-6037	A	19940329		
	WO 1994-EP1130	W	19940413		
OS	MARPAT 122:239728				
GI					



I

AB Title compds. [I; NR1R2 = (Me-substituted) 5-7 membered saturated heterocyclyl; R3 = C1-6 alkyl, C3-6 cycloalkyl, (halo-substituted) Ph; R4 = (substituted) Ph; X = H, C1-4 alkyl, halo; m = 0-2], were prepared Thus, 2-(2-cyclohexylaminophenylamino)-1-pyrrolidin-1-ylethanone (preparation given) in THF and 2-(phenylhydrazono)propanedioyl chloride in THF were added simultaneously to THF at -10°; the mixture was allowed

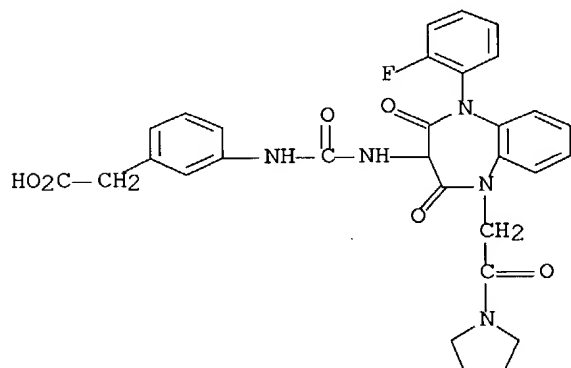
to warm to room temperature and stir for 3 h to give 1-cyclohexyl-5-(2-oxo-2-pyrrolidin-1-ylethyl)-3-(phenylhydrazono)-1,5-dihydrobenzo[b][1,4]diazepin-2,4-dione. This in HOAc was added to a mixture of HOAc and Zn dust to give 3-amino-1-cyclohexyl-5-(2-oxo-2-pyrrolidin-1-ylethyl)-1,5-dihydrobenzo[b][1,4]diazepin-2,4-dione. The amine in CH₂Cl₂ was treated with 4-fluorophenyl isocyanate to give 1-[1-cyclohexyl-2,4-dioxo-5-(2-oxo-2-pyrrolidin-1-ylethyl)-2,3,4,5-tetrahydro-1H-benzo[b][1,4]diazepin-3-yl]-3-(4-fluorophenyl)urea. The latter showed CCK-B receptor binding affinity with pK_i = 8.5.

IT 162271-56-9P 162271-57-0P 162271-70-7P
 162271-71-8P 162271-72-9P 162271-73-0P
 162271-74-1P 162271-75-2P 162271-76-3P
 162271-77-4P

RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
 use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 1,5-benzodiazepine derivs. as cholecystokinin and/or
 gastrin
 antagonists)

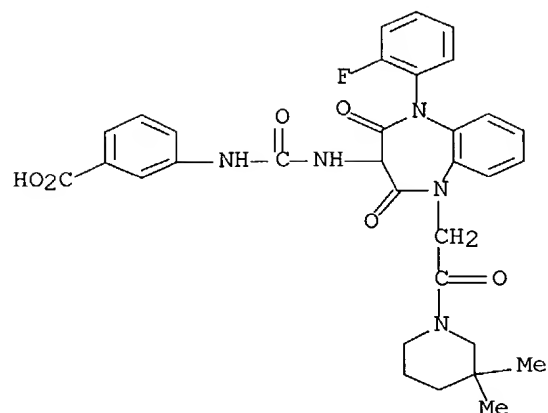
RN 162271-56-9 CAPLUS

CN Benzeneacetic acid, 3-[[[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-5-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-1,5-benzodiazepin-3-yl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 162271-57-0 CAPLUS

CN Benzoic acid, 3-[[[1-[2-(3,3-dimethyl-1-piperidinyl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



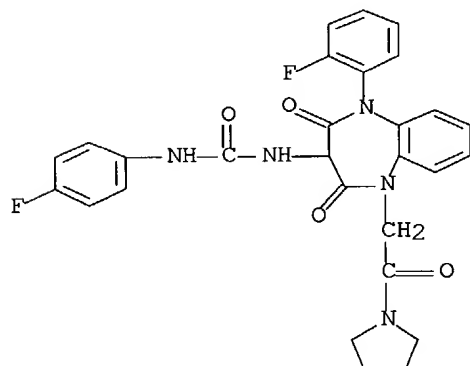
RN 162271-70-7 CAPLUS

CN Pyrrolidine, 1-[[5-(2-fluorophenyl)-3-[[[(4-

fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-

(9CI)

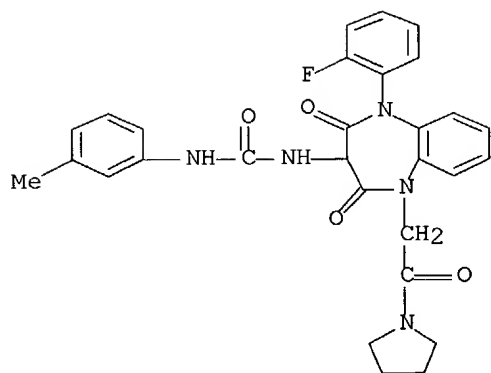
(CA INDEX NAME)



RN 162271-71-8 CAPLUS

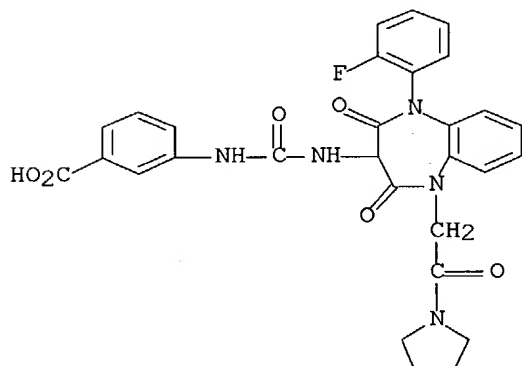
CN Pyrrolidine, 1-[[5-(2-fluorophenyl)-2,3,4,5-tetrahydro-3-[[[(3-methylphenyl)amino]carbonyl]amino]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-

(9CI) (CA INDEX NAME)



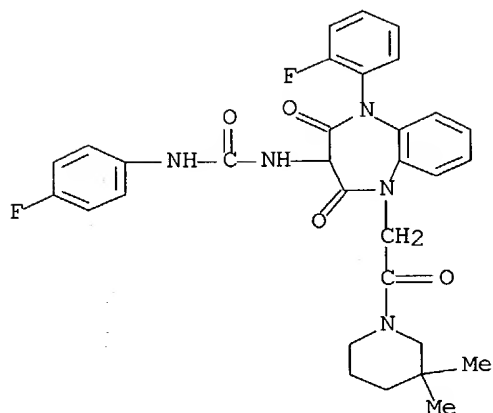
RN 162271-72-9 CAPLUS

CN Benzoic acid, 3-[[[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-5-oxo-2-(1-pyrrolidinyl)ethyl]-1H-1,5-benzodiazepin-3-yl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



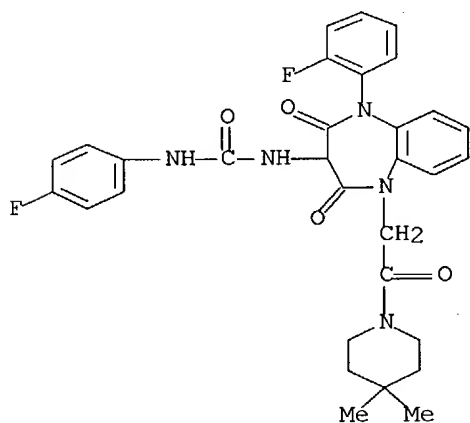
RN 162271-73-0 CAPLUS

CN Piperidine, 1-[[[5-(2-fluorophenyl)-3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)



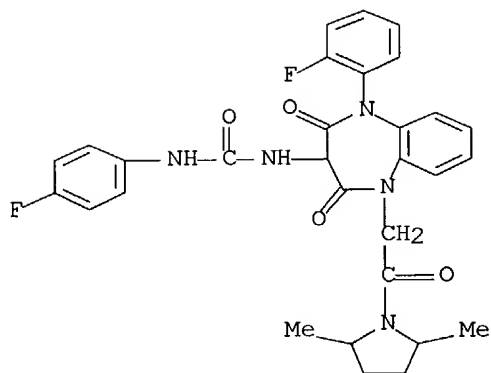
RN 162271-74-1 CAPLUS

CN Piperidine, 1-[[5-(2-fluorophenyl)-3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-4,4-dimethyl- (9CI) (CA INDEX NAME)



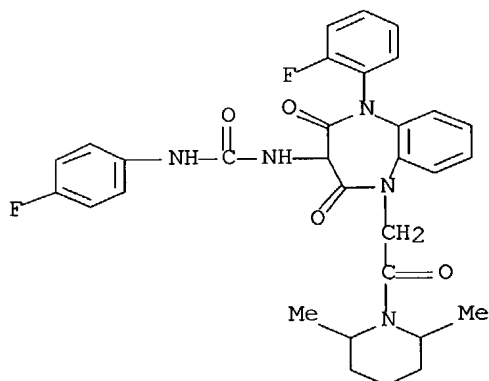
RN 162271-75-2 CAPLUS

CN Pyrrolidine, 1-[[5-(2-fluorophenyl)-3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



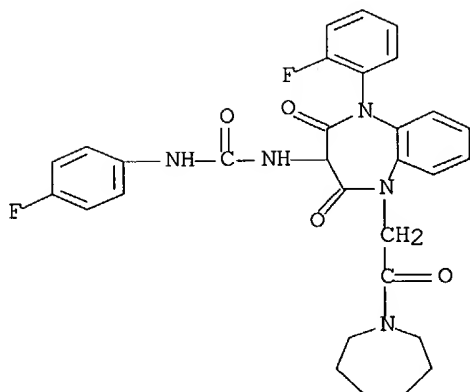
RN 162271-76-3 CAPLUS

CN Piperidine, 1-[[5-(2-fluorophenyl)-3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



RN 162271-77-4 CAPLUS

CN 1H-Azepine, 1-[[5-(2-fluorophenyl)-3-[[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]hexahydro- (9CI) (CA INDEX NAME)



IT 162271-84-3P 162271-85-4P 162271-86-5P
 162271-88-7P 162271-89-8P 162271-90-1P
 162271-91-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

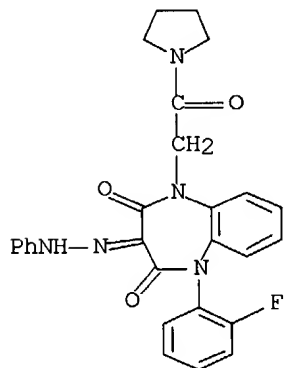
RACT

(Reactant or reagent)

(preparation of 1,5-benzodiazepine derivs. as cholecystokinin and/or
 gastrin
 antagonists)

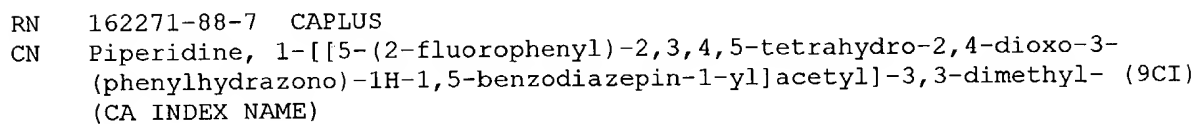
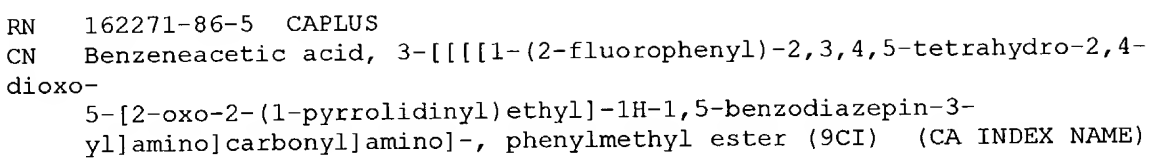
RN 162271-84-3 CAPLUS

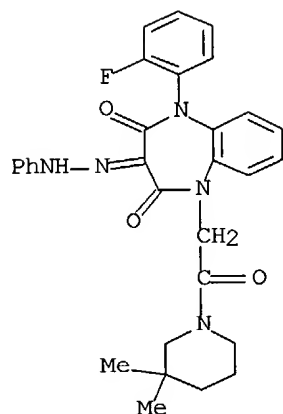
CN Pyrrolidine, 1-[[5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-3-
 (phenylhydrazono)-1H-1,5-benzodiazepin-1-yl]acetyl]- (9CI) (CA INDEX
 NAME)



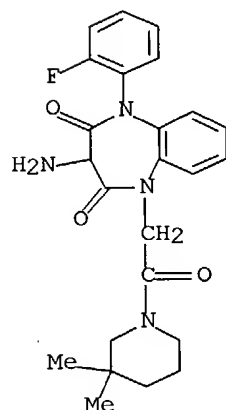
RN 162271-85-4 CAPLUS

CN Pyrrolidine, 1-[[3-amino-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-
 dioxo-
 1H-1,5-benzodiazepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)

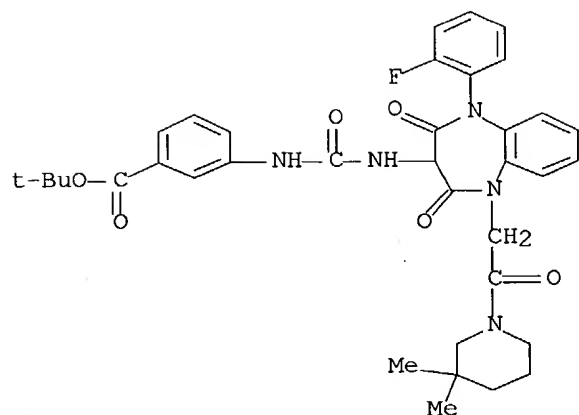




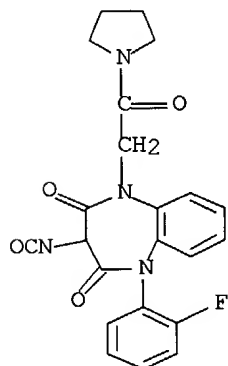
RN 162271-89-8 CAPLUS
 CN Piperidine, 1-[[[3-amino-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)



RN 162271-90-1 CAPLUS
 CN Benzoic acid, 3-[[[1-[2-(3,3-dimethyl-1-piperidinyl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]amino]carbonyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

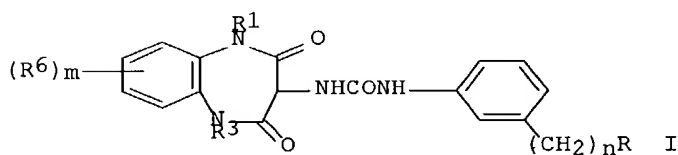


RN 162271-91-2 CAPLUS
 CN Pyrrolidine, 1-[[5-(2-fluorophenyl)-2,3,4,5-tetrahydro-3-isocyanato-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:339473 CAPLUS Full-text
 DN 122:105935
 TI Preparation of 3-phenylureido-2,3,4,5-tetrahydro-1,5-benzodiazepine-2,4-
 diones useful as gastrin or cck antagonists.
 IN Finch, Harry; Trist, David Gordon; Feriani, Aldo; Tarzia, Giorgio; Shah,
 Pritom
 PA Glaxo SpA, Italy
 SO PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9425444	A1	19941110	WO 1994-EP1252	19940422
	W:	AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN			
	RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9466468	A1	19941121	AU 1994-66468	19940422
	EP 698014	A1	19960228	EP 1994-915089	19940422
	EP 698014	B1	19980722		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,			
SE	JP 08509236	T2	19961001	JP 1994-523841	19940422
	AT 168681	E	19980815	AT 1994-915089	19940422
	US 5641775	A	19970624	US 1995-532811	19951023
PRAI	GB 1993-8431		19930423		
	WO 1994-EP1252		19940422		
OS	MARPAT 122:105935				
GI					



AB Title compds. [I; R1 = Ph, (bridged) cycloalkyl, (substituted) alkyl; R2 = NR4SO2CF3, SO2NR4COR5, CONR4SO2R5, (alkyl-substituted) tetrazolyl, carboxamidotetrazolyl, 3-trifluoromethyl-1,2,4-triazolyl; R3 = (halo-substituted) Ph; R4 = H, alkyl; R5 = alkyl; R6 = H, halo; m = 0-2; n = 0, 1], were prepared Thus, triphosgene and then Et3N were added to acetic acid, 3-(tetrazolyl)anilinium salt (preparation given) in THF; an enantiomer of 3-amino-1-cyclopentylethyl-2,4-dioxo-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine (preparation given) in THF was added followed by 3 h stirring to give (+)-N-[1-(cyclopentylethyl)-2,4-

dioxo-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-1H-benzodiazepin-3-yl]-N'-(3-tetrazolyl)phenylurea. This showed pKi = 6.63 and 9.98 for binding to CCK-A (pancreas) and CCK-B (guinea pig cortex) receptors, resp.

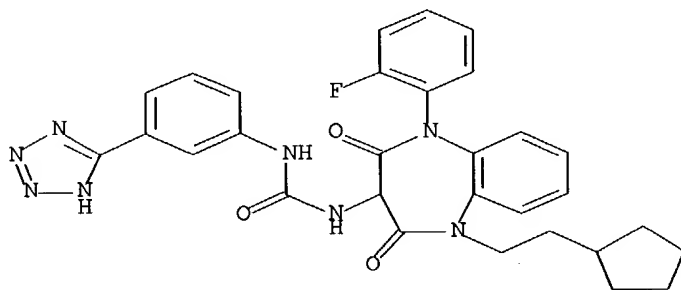
IT 160752-72-7P 160752-73-8P 160752-74-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 3-phenylureido-2,3,4,5-tetrahydro-1,5-benzodiazepine-2,4-diones useful as gastrin or cck antagonists)

RN 160752-72-7 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(1H-tetrazol-5-yl)phenyl]-, (+)-(9CI) (CA INDEX NAME)

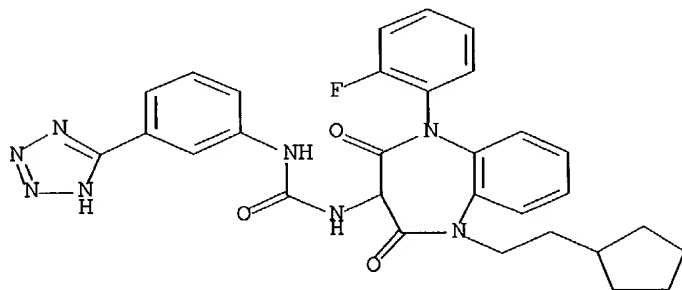
Rotation (+).



RN 160752-73-8 CAPLUS

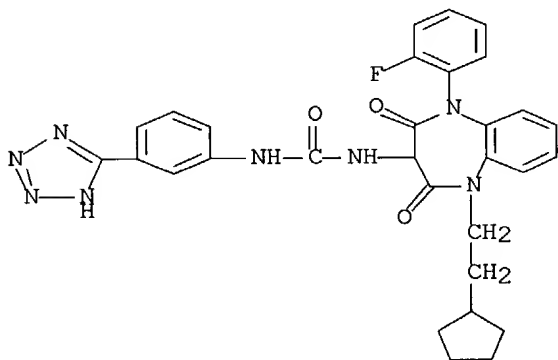
CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(1H-tetrazol-5-yl)phenyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).



RN 160752-74-9 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(1H-tetrazol-5-yl)phenyl]- (9CI)
(CA INDEX NAME)



IT 160752-80-7P 160752-81-8P

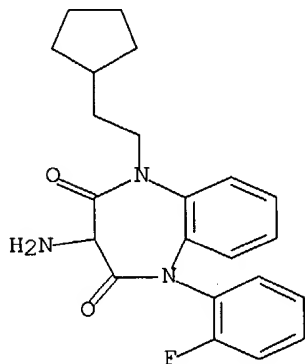
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-phenylureido-2,3,4,5-tetrahydro-1,5-benzodiazepine-

2,4-diones useful as gastrin or cck antagonists)

RN 160752-80-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

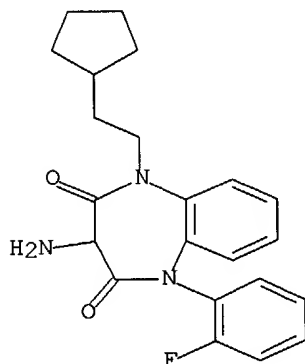


RN 160752-81-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-

5-
(2-fluorophenyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



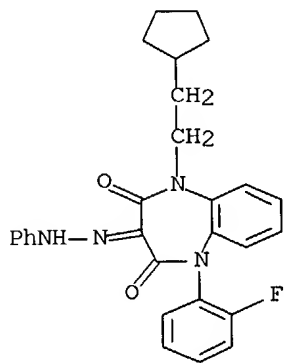
IT 151386-29-7P 151620-69-8P 160752-83-0P
160752-84-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT (Reactant or reagent)
(preparation of 3-phenylureido-2,3,4,5-tetrahydro-1,5-benzodiazepine-
2,4-
diones useful as gastrin or cck antagonists)

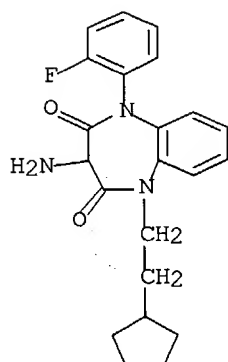
RN 151386-29-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-cyclopentylethyl)-5-(2-
fluorophenyl)-, 3-(phenylhydrazono) (9CI) (CA INDEX NAME)



RN 151620-69-8 CAPLUS

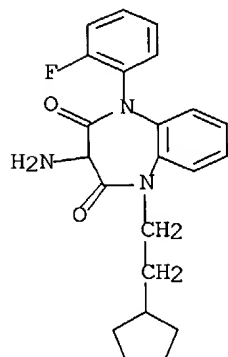
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-
5-
(2-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 160752-83-0 CAPLUS
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R)-
 compd. with 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-1H-1,5-
 benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

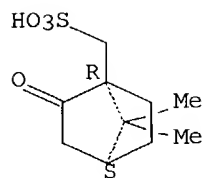
CRN 151620-69-8
 CMF C22 H24 F N3 O2



CM 2

CRN 35963-20-3
 CMF C10 H16 O4 S

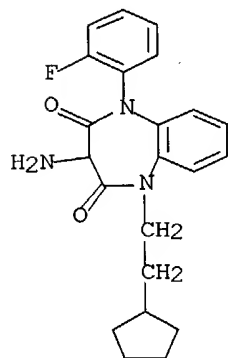
Absolute stereochemistry. Rotation (-).



RN 160752-84-1 CAPLUS
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S)-
 compd. with 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

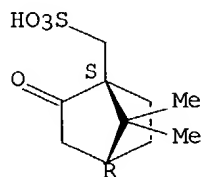
CRN 151620-69-8
 CMF C22 H24 F N3 O2



CM 2

CRN 3144-16-9
 CMF C10 H16 O4 S

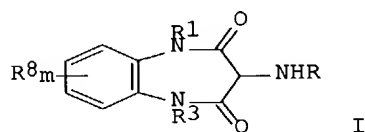
Absolute stereochemistry. Rotation (+).



L7 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:483389 CAPLUS Full-text
 DN 121:83389
 TI Preparation of 3-ureido-2,4-dioxotetrahydro-1,5-benzodiazepines as CCK
 and

gastrin antagonists
 IN Finch, Harry; Trist, David; Tarzia, Giorgio; Feriani, Aldo
 PA Glaxo S.p.A., Italy
 SO Eur. Pat. Appl., 46 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 558104	A1	19930901	EP 1993-200097	19930115
	EP 558104	B1	19980729		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE	AT 169007	E	19980815	AT 1993-200097	19930115
	ES 2121925	T3	19981216	ES 1993-200097	19930115
	US 5637697	A	19970610	US 1996-674259	19960701
PRAI	GB 1992-1180	A	19920121		
	GB 1992-21847	A	19921017		
	US 1994-256359	A3	19940720		
OS	MARPAT 121:83389				
GI					



AB Title compds. [I; R = CONHR2; R1 = Ph, (cyclo)alkyl, etc.; R2 = (substituted) Ph; R3 = (halo)phenyl; R8 = H, halo; m = 0-2] were prepared Thus, 2-FC6H4NHC6H4(NH2)-2 was N-alkylated by BrCH2CH2CHMe2 and the product cyclocondensed with (ClCO)2C:NNHPh to give, in 2 addnl. steps, I (R1 = CH2CH2CHMe2, R3 = C6H4F-2, R8 = H) (II; R = CO2Ph) which was condensed with 3-(Me2N)C6H4NH2 to give II [R = CONHC6H4(NMe2)-3]. The later had pks of 5.5 and 10.1 for CCK-A and CCK-B receptor antagonism, resp.

IT 151385-65-8P 151385-68-1P 151385-75-0P
 151386-23-1P 151386-29-7P 151386-44-6P
 151620-15-4P 151620-16-5P 151620-60-9P
 151620-61-0P 151620-69-8P 151620-77-8P
 151910-78-0P 153930-31-5P 153930-32-6P
 153930-51-9P 153930-53-1P 153930-54-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

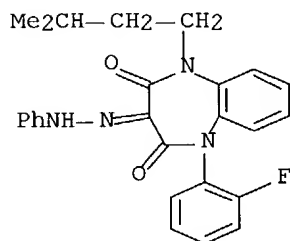
RACT

(Reactant or reagent)

(preparation and reaction of, in preparation of CCK and gastrin antagonist)

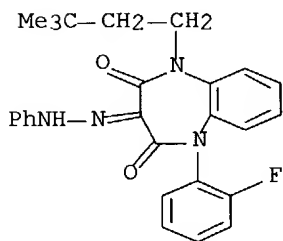
RN 151385-65-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(3-methylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



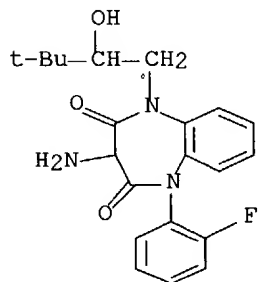
RN 151385-68-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



RN 151385-75-0 CAPLUS

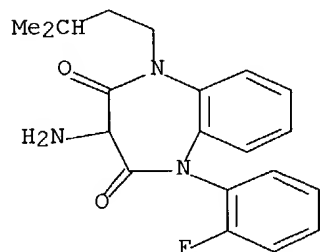
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)- (9CI) (CA INDEX NAME)



RN 151386-23-1 CAPLUS

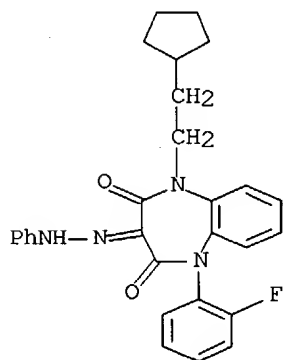
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



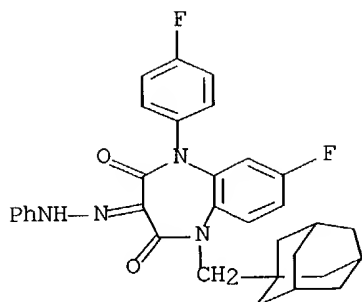
RN 151386-29-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



RN 151386-44-6 CAPLUS

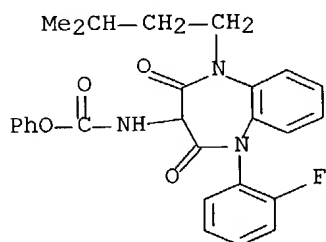
CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



RN 151620-15-4 CAPLUS

CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX

NAME)

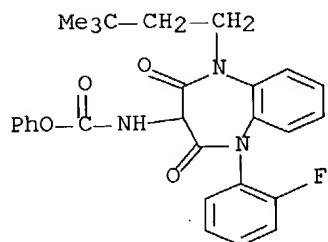


RN 151620-16-5 CAPLUS

CN Carbamic acid, [1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI)

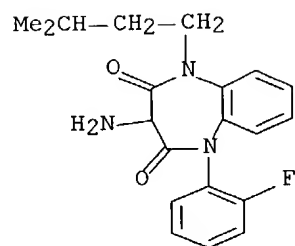
(CA

INDEX NAME)

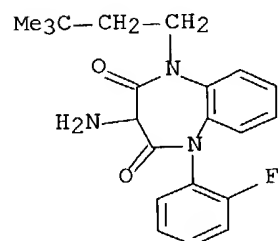


RN 151620-60-9 CAPLUS

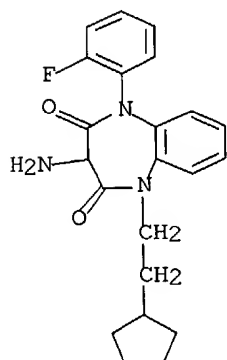
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)



RN 151620-61-0 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

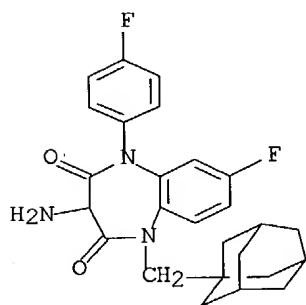


RN 151620-69-8 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 151620-77-8 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-7-fluoro-5-(4-

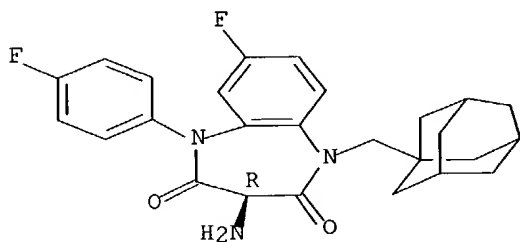
fluorophenyl)-1-(tricyclo[3.3.1.3^{1,7}]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 151910-78-0 CAPLUS

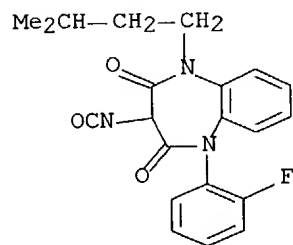
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.3,7]dec-1-ylmethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



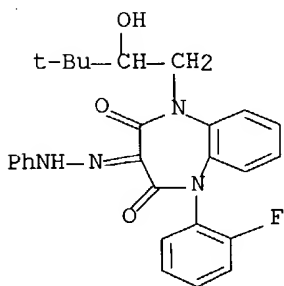
RN 153930-31-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-fluorophenyl)-3-isocyanato-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)



RN 153930-32-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



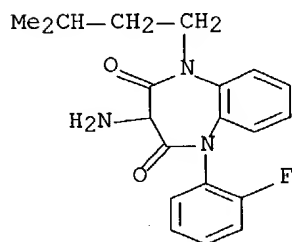
RN 153930-51-9 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R)-
,
compd. with 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151620-60-9

CMF C20 H22 F N3 O2

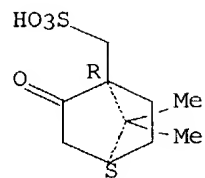


CM 2

CRN 35963-20-3

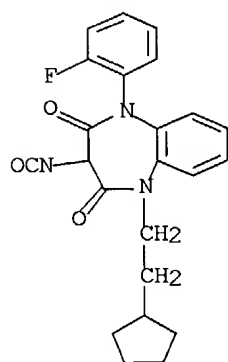
CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).



RN 153930-53-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-3-isocyanato- (9CI) (CA INDEX NAME)



RN 153930-54-2 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R)-

compd. with 3-amino-7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.1^{3,7}]dec-

1-ylmethyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA

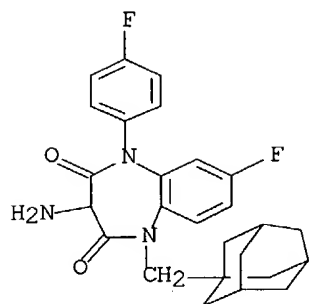
INDEX

NAME)

CM 1

CRN 151620-77-8

CMF C26 H27 F2 N3 O2

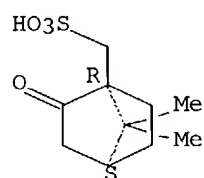


CM 2

CRN 35963-20-3

CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).



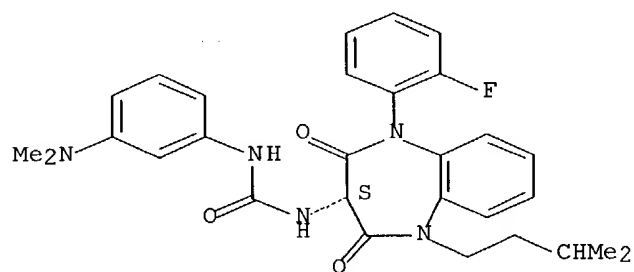
IT 151386-78-6P 153929-94-3P 153929-95-4P
 153929-96-5P 153929-97-6P 153929-98-7P
 153929-99-8P 153930-00-8P 153930-18-8P
 153930-19-9P 153930-29-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as CCK and gastrin antagonist)

RN 151386-78-6 CAPLUS

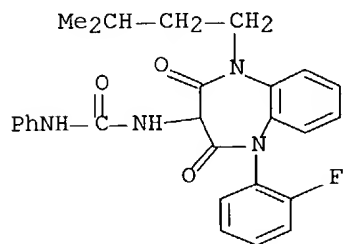
CN Urea, N-[3-(dimethylamino)phenyl]-N'-[(3S)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



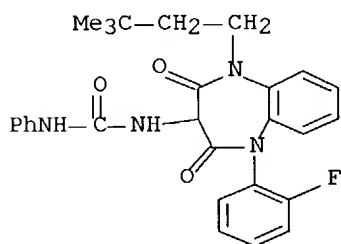
RN 153929-94-3 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



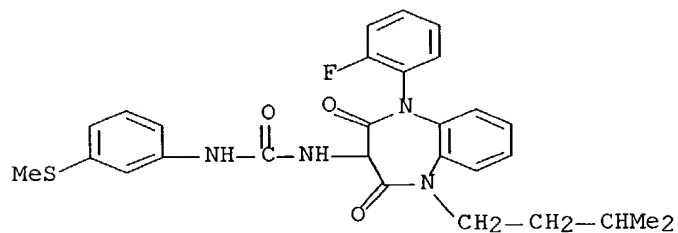
RN 153929-95-4 CAPLUS

CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

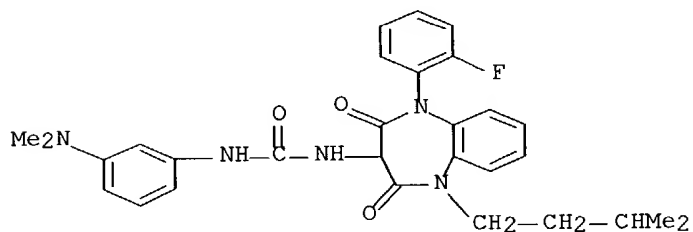


RN 153929-96-5 CAPLUS

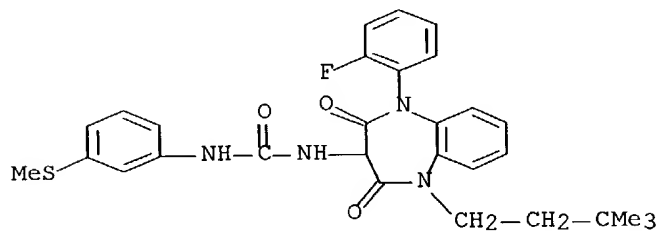
CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



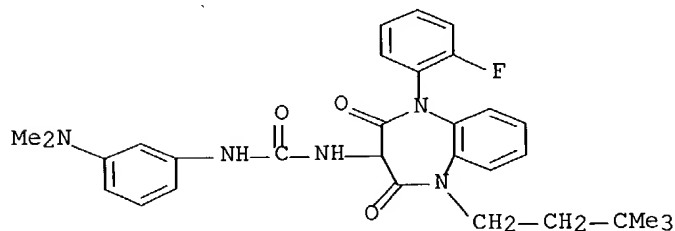
RN 153929-97-6 CAPLUS
 CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI)
 (CA INDEX NAME)



RN 153929-98-7 CAPLUS
 CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) . (CA INDEX NAME)



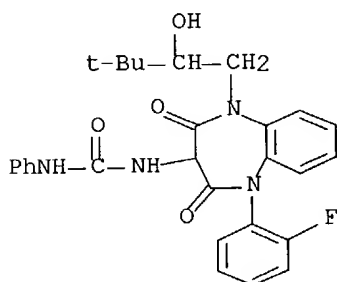
RN 153929-99-8 CAPLUS
 CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



RN 153930-00-8 CAPLUS

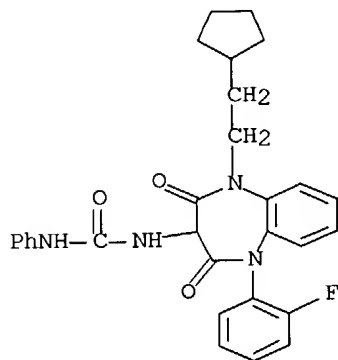
CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(2-hydroxy-3,3-dimethylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI)

(CA
INDEX NAME)



RN 153930-18-8 CAPLUS

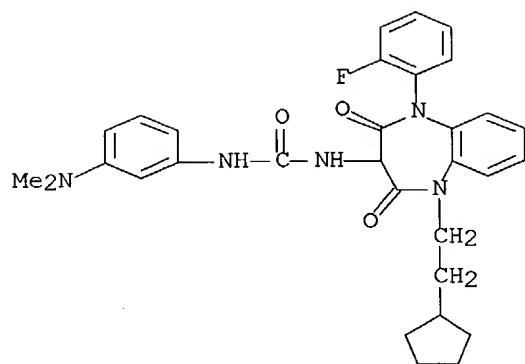
CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 153930-19-9 CAPLUS

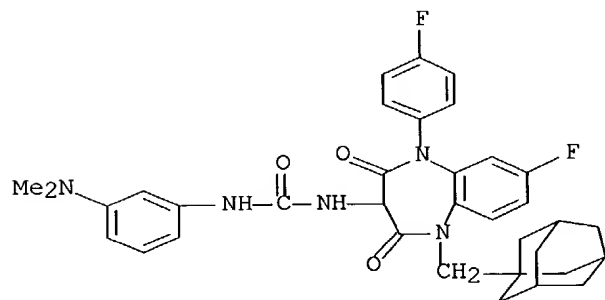
CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(dimethylamino)phenyl]- (9CI)

(CA
INDEX NAME)



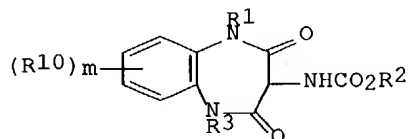
RN 153930-29-1 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[7-fluoro-5-(4-fluorophenyl)-
2,3,4,5-
tetrahydro-2,4-dioxo-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-1H-1,5-
benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



L7 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:164241 CAPLUS Full-text
 DN 120:164241
 TI Preparation of 1H-1,5-benzodiazepinecarbamates and their use as gastrins
 and cholecystokinin antagonists
 IN Trist, David; Pentassuglia, Giorgio; Tranquillini, Maria Elvira; Ursini,
 Antonella
 PA Glaxo S.p.A., Italy
 SO PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9314075	A1	19930722	WO 1993-EP99	19930115
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9334106	A1	19930803	AU 1993-34106	19930115
	EP 623118	A1	19941109	EP 1993-902222	19930115
	EP 623118	B1	19980513		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE	JP 07503710	T2	19950420	JP 1993-512157	19930115
	AT 166053	E	19980515	AT 1993-902222	19930115
	ES 2118221	T3	19980916	ES 1993-902222	19930115
	ZA 9300376	A	19930908	ZA 1993-376	19930120
	CN 1077952	A	19931103	CN 1993-102067	19930120
	US 5486514	A	19960123	US 1994-256358	19940720
PRAI	GB 1992-1181		19920121		
	WO 1993-EP99		19930115		
OS	MARPAT 120:164241				
GI					



I

AB Title compds. I (R1 = Ph, C3-7 cycloalkyl, bridged C7-11 cycloalkyl, (substituted) C1-6 alkyl, R4YX wherein X = C1-3 alkylene, Y = CO, (R5O)C, (R5S)2C R5 not defined, R4 = C1-6 alkyl, (substituted) Ph, C3-7 cycloalkyl, bridged C7-11 cycloalkyl; R2, R3 = (substituted) Ph; R10 = H, halo, m = 0-2), salts and solvates thereof, are prepared Pyridine and ClCO2Ph were added to 3-amino-2,4-dioxo-1-(3-methylbut-1-yl)-5-phenyl- 2,3,4,5-tetrahydro-1H,1,5-benzodiazepine (preparation given) to give I (R1 = 3-methylbut-1-yl), R2 = R3 = Ph, (R10)m = H) which showed pKi = 5.29 and 7.67 binding affinity for CCK-A and CCK-B receptors, resp. Addnl. compds. were prepared and tested. Pharmaceutical formulations comprising I are given.

IT 151385-65-8P 151385-68-1P 151386-29-7P
 151386-44-6P 151620-60-9P 151620-61-0P
 151620-69-8P 151620-77-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

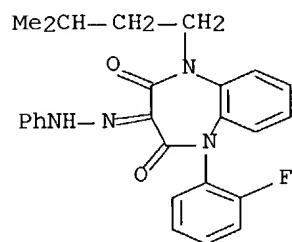
RACT

(Reactant or reagent)

(preparation and reaction of, in preparation of CCK and gastrin antagonists)

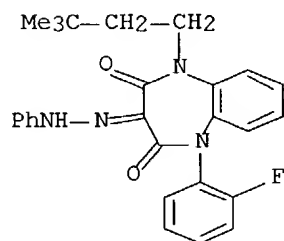
RN 151385-65-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(3-methylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



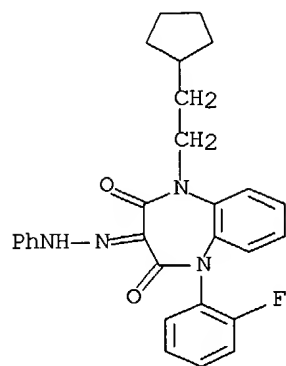
RN 151385-68-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



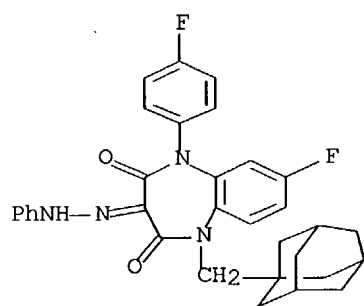
RN 151386-29-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



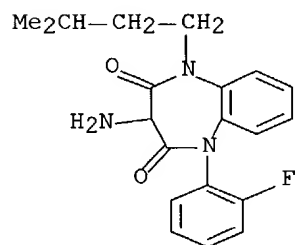
RN 151386-44-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.3.3]dec-1-ylmethyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



RN 151620-60-9 CAPLUS

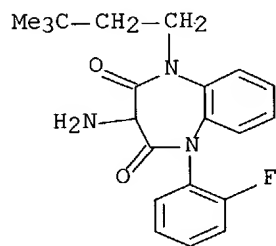
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)



RN 151620-61-0 CAPLUS

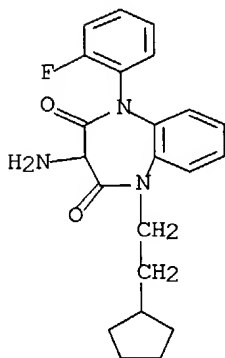
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-

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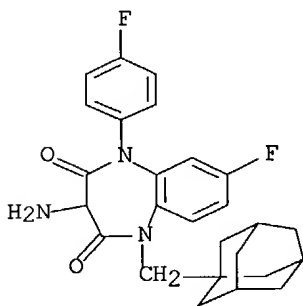
RN 151620-69-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 151620-77-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



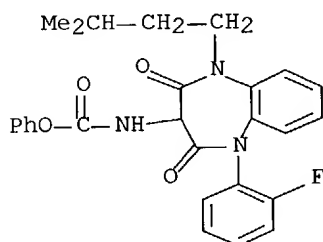
IT 151620-15-4P 151620-16-5P 151620-17-6P
151620-18-7P 151620-22-3P 151620-31-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as CCK and gastrin antagonists)

RN 151620-15-4 CAPLUS

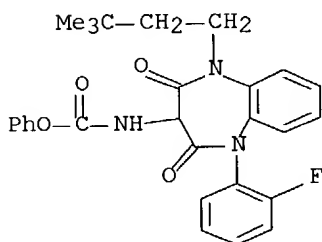
CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-
2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX

NAME)



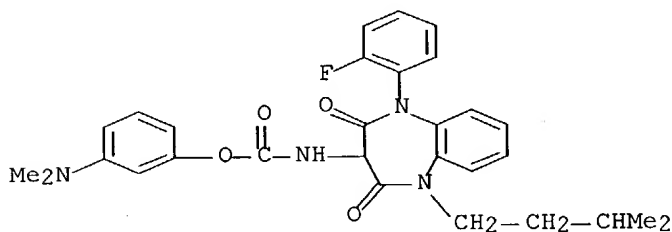
RN 151620-16-5 CAPLUS

CN Carbamic acid, [1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-
tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI)
(CA INDEX NAME)



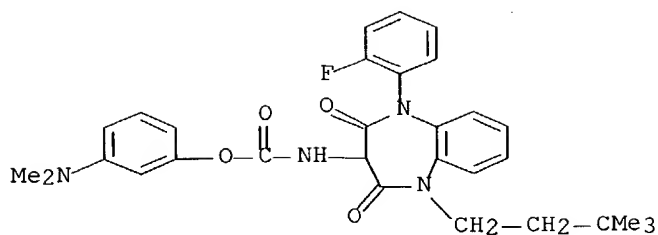
RN 151620-17-6 CAPLUS

CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-
2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, 3-(dimethylamino)phenyl ester
(9CI) (CA INDEX NAME)



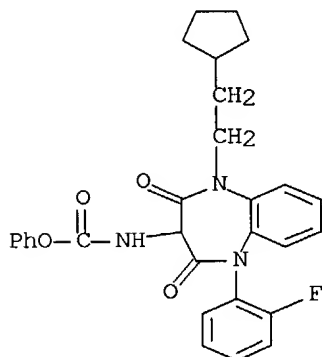
RN 151620-18-7 CAPLUS

CN Carbamic acid, [1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-
tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, 3-
(dimethylamino)phenyl ester (9CI) (CA INDEX NAME)



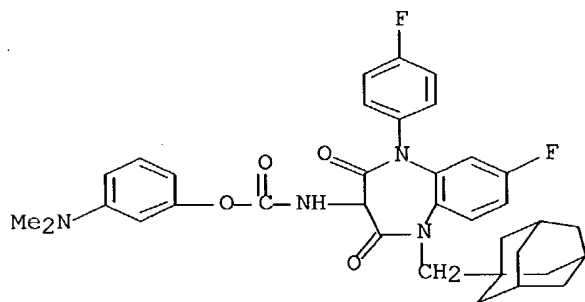
RN 151620-22-3 CAPLUS

CN Carbamic acid, [1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI)
(CA INDEX NAME)



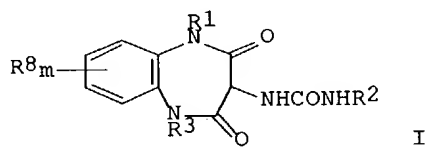
RN 151620-31-4 CAPLUS

CN Carbamic acid, [7-fluoro-5-(4-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1-(tricyclo[3.3.1.1.3,7]dec-1-ylmethyl)-1H-1,5-benzodiazepin-3-yl]-, 3-(dimethylamino)phenyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:134541 CAPLUS Full-text
 DN 120:134541
 TI 1,5-Benzodiazepine-2,4-dione gastrin and cholecystokinin-B receptor antagonists
 IN Finch, Harry; Trist, David Gordon; Tarzia, Giorgio; Feriani, Aldo
 PA Glaxo S.p.A., Italy
 SO PCT Int. Appl., 84 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9314074	A1	19930722	WO 1993-EP98	19930119
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9334501	A1	19930803	AU 1993-34501	19930119
	HU 67375	A2	19950328	HU 1994-2150	19930119
	CZ 283950	B6	19980715	CZ 1994-1736	19930119
	RU 2124009	C1	19981227	RU 1994-37768	19930119
	PL 175340	B1	19981231	PL 1993-304684	19930119
	RO 114128	B1	19990129	RO 1994-1216	19930119
	SK 280173	B6	19990910	SK 1994-864	19930119
	AU 9331935	A1	19930722	AU 1993-31935	19930120
	AU 661749	B2	19950803		
	CA 2087672	AA	19930722	CA 1993-2087672	19930120
	CA 2087672	C	20030805		
	ZA 9300375	A	19940718	ZA 1993-375	19930120
	JP 07118244	A2	19950509	JP 1993-24831	19930120
	JP 3253723	B2	20020204		
	IL 104447	A1	19980222	IL 1993-104447	19930120
	CN 1074678	A	19930728	CN 1993-101143	19930121
	CN 1049217	B	20000209		
	FI 9403421	A	19940719	FI 1994-3421	19940719
	NO 9402720	A	19940720	NO 1994-2720	19940720
	US 5580895	A	19961203	US 1994-256359	19940720
	US 5637697	A	19970610	US 1996-674259	19960701
PRAI	GB 1992-1180	A	19920121		
	WO 1993-EP98	A	19930119		
	US 1994-256359	A3	19940720		
OS	MARPAT 120:134541				
GI					



AB The title compds. I [R1 = Ph, C3-7 cycloalkyl, C7-11 bridged cycloalkyl, (un)substituted C1-6 alkyl; R2 = (un)substituted Ph; R3 = Ph optionally substituted by 1-2 halogen atoms; R8 = H, halogen; m = 0-2], which are gastrin and cholecystokinin B receptor antagonists, are prepared and I-containing formulations presented. Thus, 3-(dimethylamino)aniline dihydrochloride was reacted with 2,4-dioxo-5-(2-fluorophenyl)-1-(3-methylbut-1-yl)-3-(phenyloxycarbonylamino)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine, producing N-[2,4-dioxo-5-(2-fluorophenyl)-1-(3-methylbut-1-yl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepin-3-yl]-N'-(3-dimethylamino)phenylurea (II). II demonstrated cholecystokinin B receptor binding affinity (G. Dal Forno et al.) of pKi 9.6.

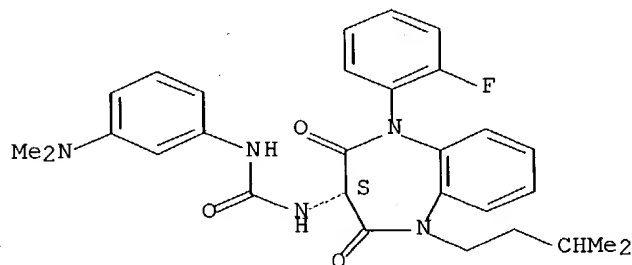
IT **151386-78-6 153929-97-6**

RL: RCT (Reactant); RACT (Reactant or reagent)
(gastrin and cholecystokinin B receptor antagonist activity of)

RN 151386-78-6 CAPLUS

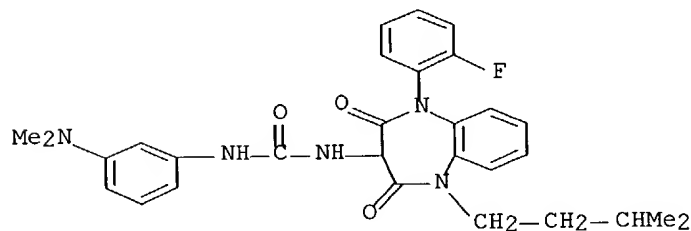
CN Urea, N-[3-(dimethylamino)phenyl]-N'-[(3S)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 153929-97-6 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI)
(CA INDEX NAME)



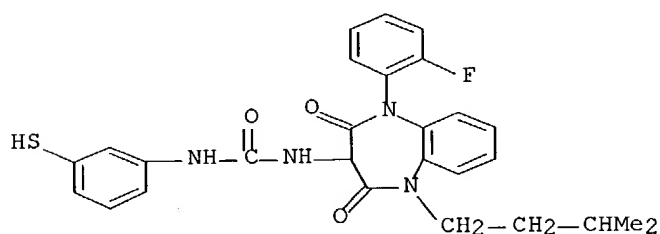
IT 151386-52-6P 151386-54-8P 151386-56-0P
151386-57-1P 151386-58-2P 151386-78-6P
151386-81-1P 151386-82-2P 153929-94-3P
153929-95-4P 153929-97-6P 153929-99-8P

153930-29-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and gastrin and cholecystokinin B receptor antagonist activities of)

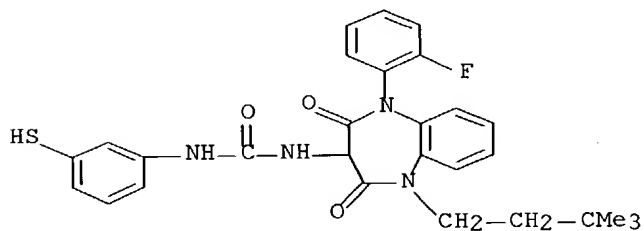
RN 151386-52-6 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-(3-mercaptophenyl)- (9CI) (CA INDEX NAME)



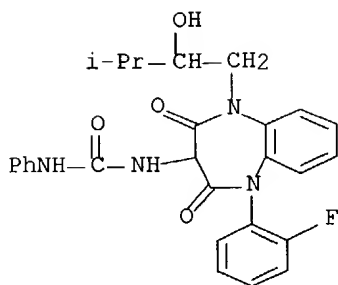
RN 151386-54-8 CAPLUS

CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-(3-mercaptophenyl)- (9CI) (CA INDEX NAME)



RN 151386-56-0 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(2-hydroxy-3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 151386-57-1 CAPLUS

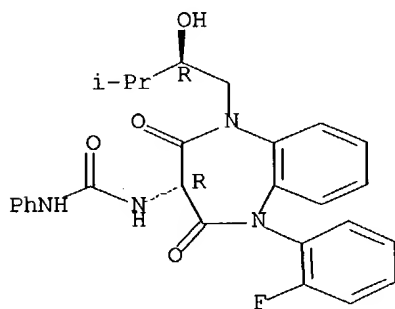
CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(2-hydroxy-3-methylbutyl)-

2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl-, (R*,R*)- (9CI) (CA

INDEX

NAME)

Relative stereochemistry.



RN 151386-58-2 CAPLUS

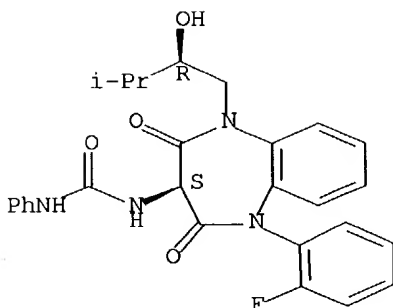
CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(2-hydroxy-3-methylbutyl)-

2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl-, (R*,S*)- (9CI) (CA

INDEX

NAME)

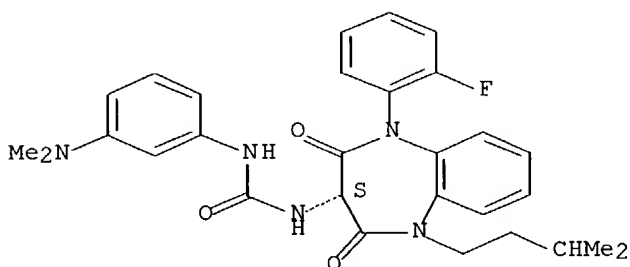
Relative stereochemistry.



RN 151386-78-6 CAPLUS

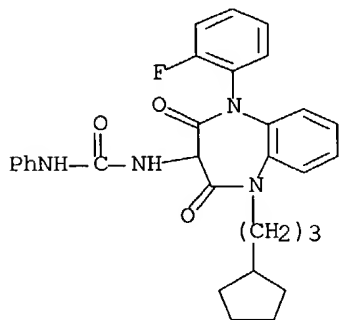
CN Urea, N-[3-(dimethylamino)phenyl]-N'-[(3S)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

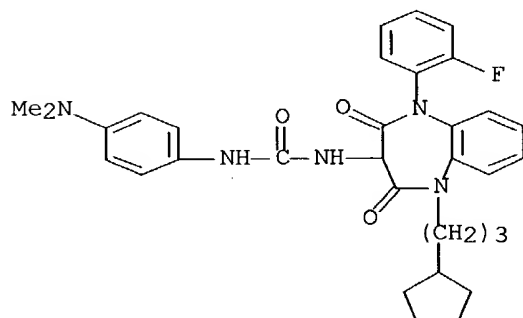


RN 151386-81-1 CAPLUS

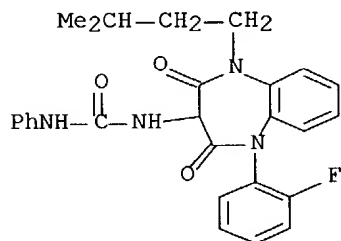
CN Urea, N-[1-(3-cyclopentylpropyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



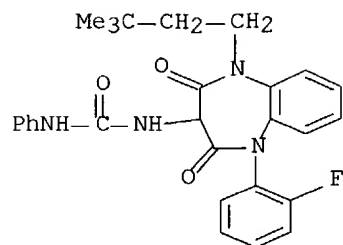
RN 151386-82-2 CAPLUS
 CN Urea, N-[1-(3-cyclopentylpropyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[4-(dimethylamino)phenyl]- (9CI)
 (CA INDEX NAME)



RN 153929-94-3 CAPLUS
 CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

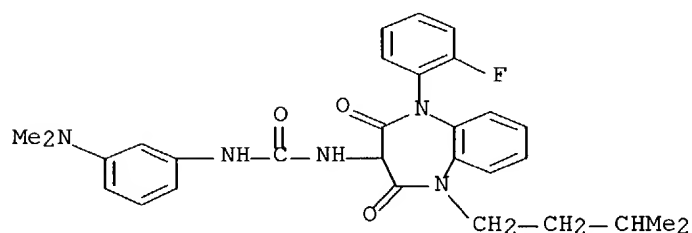


RN 153929-95-4 CAPLUS
 CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



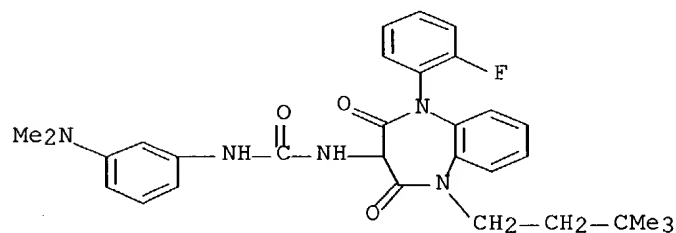
RN 153929-97-6 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI)
(CA INDEX NAME)



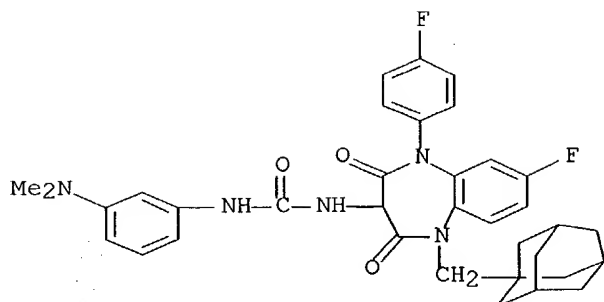
RN 153929-99-8 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



RN 153930-29-1 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[7-fluoro-5-(4-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1-(tricyclo[3.3.1.1.3,7]dec-1-ylmethyl)-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



IT 151385-65-8P 151385-68-1P 151385-75-0P
 151385-76-1P 151385-77-2P 151386-23-1P
 151386-29-7P 151386-44-6P 151620-15-4P
 151620-16-5P 151620-60-9P 151620-61-0P
 151620-69-8P 151620-77-8P 151864-41-4P
 151910-79-1P 153930-31-5P 153930-32-6P
 153930-53-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

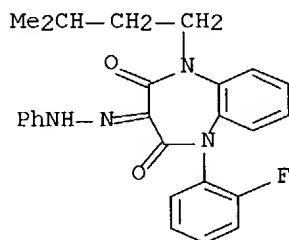
RACT

(Reactant or reagent)

(preparation and reaction of, in preparation of gastrin and
 cholecystokinin B
 receptor antagonist)

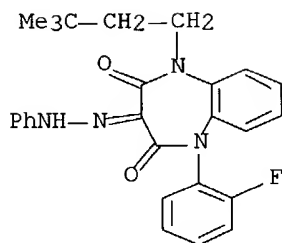
RN 151385-65-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(3-
 methylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



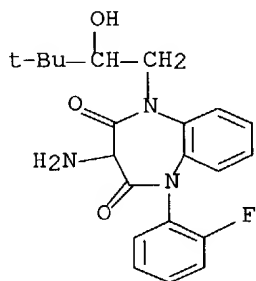
RN 151385-68-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(3,3-dimethylbutyl)-5-(2-
 fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



RN 151385-75-0 CAPLUS

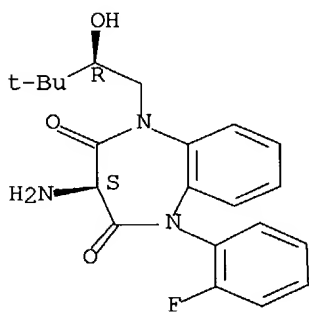
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)- (9CI) (CA INDEX NAME)



RN 151385-76-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

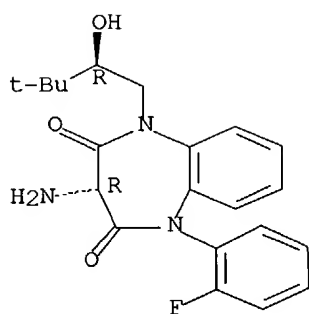
Relative stereochemistry.



RN 151385-77-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

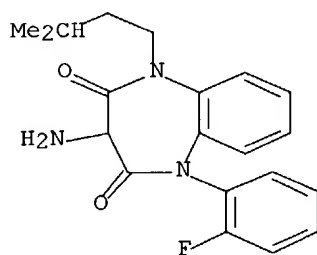
Relative stereochemistry.



RN 151386-23-1 CAPLUS

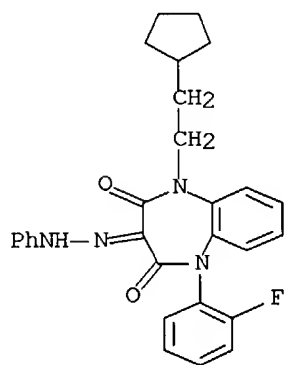
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

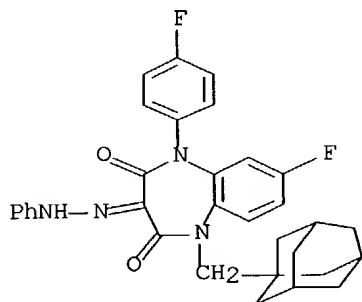


RN 151386-29-7 CAPLUS

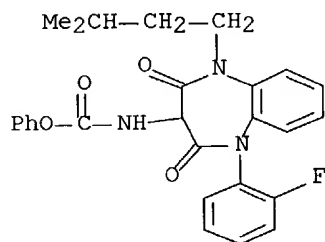
CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



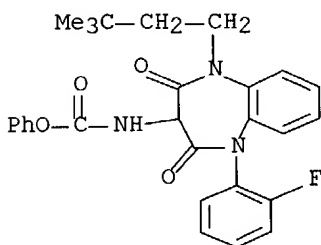
RN 151386-44-6 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



RN 151620-15-4 CAPLUS
 CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)

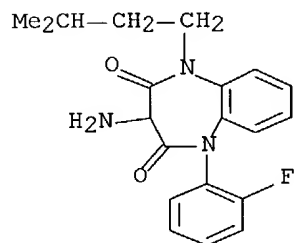


RN 151620-16-5 CAPLUS
 CN Carbamic acid, [1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI)
 (CA INDEX NAME)



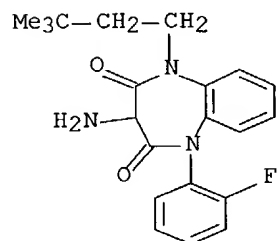
RN 151620-60-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)



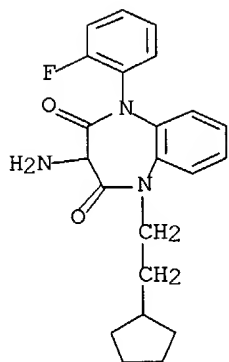
RN 151620-61-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

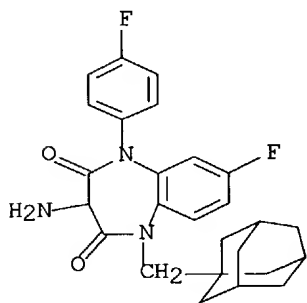


RN 151620-69-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 151620-77-8 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.3⁰.3⁰]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

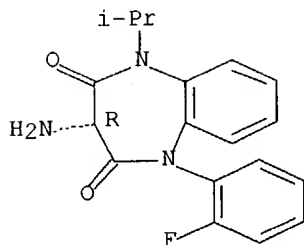


RN 151864-41-4 CAPLUS
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R)-
 ,
 compd. with (R)-3-amino-1-(2-fluorophenyl)-5-(1-methylethyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151864-40-3
 CMF C18 H18 F N3 O2

Absolute stereochemistry.

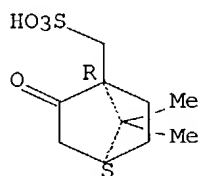


CM 2

CRN 35963-20-3

CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).



RN 151910-79-1 CAPLUS

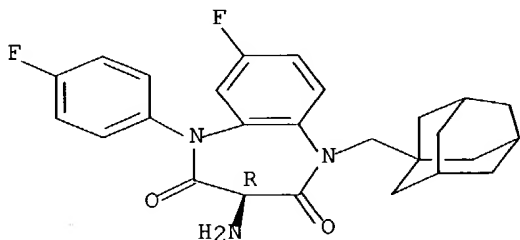
CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R)-, compd. with (R)-3-amino-7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151910-78-0

CMF C26 H27 F2 N3 O2

Absolute stereochemistry.

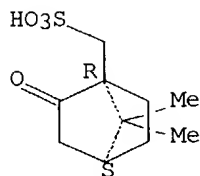


CM 2

CRN 35963-20-3

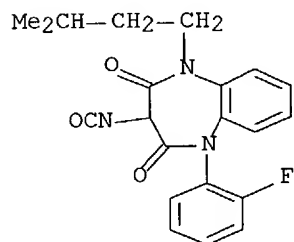
CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).



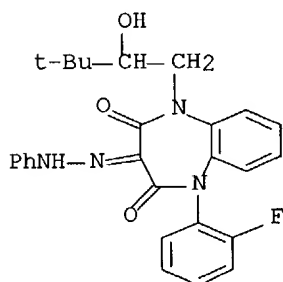
RN 153930-31-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-fluorophenyl)-3-isocyanato-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)



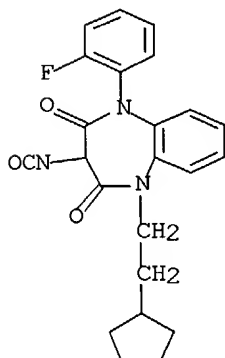
RN 153930-32-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)



RN 153930-53-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-3-isocyanato- (9CI) (CA INDEX NAME)



L7 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1986:520760 CAPLUS Full-text
 DN 105:120760
 TI Diazepine-fused ring compounds as platelet activating-factor antagonists
 IN Casals-Stenzel, Jorge; Weber, Karl Heinz; Walther, Gerhard; Harreus, Albrecht; Muacevic, Gojko
 PA Boehringer Ingelheim K.-G., Fed. Rep. Ger.
 SO Ger. Offen., 24 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3435972	A1	19860410	DE 1984-3435972	19841001
	EP 176929	A2	19860409	EP 1985-112077	19850924
	EP 176929	A3	19900905		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 61087624	A2	19860506	JP 1985-217777	19850930
	ZA 8507519	A	19870624	ZA 1985-7519	19850930
	US 4622319	A	19861111	US 1985-782631	19851001
PRAI	DE 1984-3435972		19841001		

GI For diagram(s), see printed CA Issue.

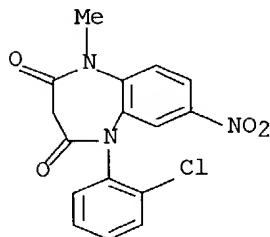
AB Platelet activating factor (PAF) antagonists I and II [A = (un)substituted Ph, pyridinyl, thiofuranyl, pyrazinyl, pyrazolyl; B = (un)substituted pyrazolyl, triazolyl, tetrazolyl; Y = CO, CS, CH₂; R₁, R₂ = H, (un)substituted alkyl, alkenyl, alkynyl; R = (un)substituted Ph] are useful for the treatment of PAF-dependent diseases such as tracheobronchitis, asthma, anaphylaxis, allergies, and mucosa inflammation. Thus, I and II were effective in inhibition of bronchocontraction induced by PAF at 1-50 mg/kg p.o. and 0.1-1.0 mg/kg i.v. A tablet was formulated containing I or II 0.05, stearic acid 0.01, and dextrose 1.890 parts.

IT **104286-81-9**

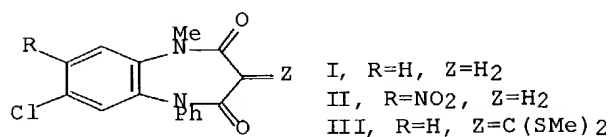
RL: BIOL (Biological study)
 (platelet activating factor antagonist)

RN 104286-81-9 CAPLUS

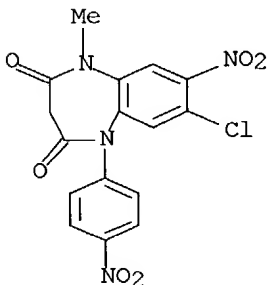
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 5-(2-chlorophenyl)-1-methyl-7-nitro- (9CI) (CA INDEX NAME)



L7 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1980:625700 CAPLUS Full-text
 DN 93:225700
 TI Clobazam (Frisium). A contribution to the analysis of a
 psychopharmacological agent
 AU Eiden, Fritz; Schmiz, Elisabeth
 CS Inst. Pharm. Lebensmittelchem., Ludwig-Maximilians-Univ., Munich, Fed.
 Rep. Ger.
 SO Deutsche Apotheker Zeitung (1980), 120(21), 933-7
 CODEN: DAZE2; ISSN: 0011-9857
 DT Journal
 LA German
 GI



AB The spectral and chromatog. properties of clobazam (I) [22316-47-8], as
 well as its reactions with HNO₃, 2,4-(O₂N)₂C₆H₃Cl [97-00-7], CS₂ [75-
 15-0], NaOH, NaOEt [141-52-6], and HCl were studied in order to develop
 an anal. method for I. A series of reaction products, e.g., II [75524-
 15-1] and III [75524-16-2], were isolated and identified. A ring
 fission reaction with NaOH and the formation of the benzimidazolium salt
 with HCl were useful for the quant. determination of I.
 IT **75524-11-7P**
 RL: PREP (Preparation)
 (preparation of)
 RN 75524-11-7 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-8-nitro-5-(4-
 nitrophenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1977:55499 CAPLUS Full-text

DN 86:55499

TI 1-Acyl-5-phenyl-1H-1, 5-benzodiazepine-2,4-(3H, 5H)-diones via the corresponding N-phenyl-N-(2-acyl-aminophenyl)malonic acid ester amides

IN Weber, Karl Heinz; Bauer, Adolf

PA Boehringer Ingelheim G.m.b.H., Fed. Rep. Ger.

SO Can., 16 pp.

CODEN: CAXXA4

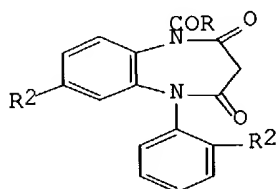
DT Patent

LA English

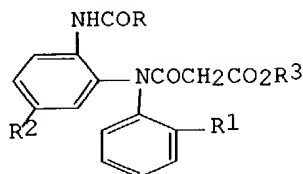
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 992540	A1	19760706	CA 1972-135795	19720229
	AT 308755	B	19730725	AT 1971-1744	19710301
	ES 400238	A1	19750616	ES 1972-400238	19720228
	CH 570384	A	19751215	CH 1975-11609	19720229
	CH 570987	A	19751231	CH 1972-2900	19720229
	SE 389339	B	19761101	SE 1972-2557	19720229
	SE 393803	B	19770523	SE 1974-7780	19720229
	DK 137725	C	19781002	DK 1972-923	19720229
	NL 7202671	A	19720905	NL 1972-2671	19720301
	DK 131627	B	19750811	DK 1973-3244	19730612
	ES 425165	A1	19760701	ES 1974-425165	19740409
	SE 7407780	A	19740612	SE 1974-7780	19740612
	NO 7503159	A	19720904	NO 1975-3159	19750916
PRAI	AT 1971-1744		19710301		
	DK 1972-923		19720229		
	NO 1972-624		19720229		

GI



I



II

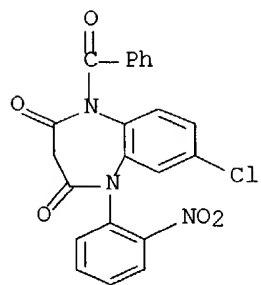
AB Benzodiazepinediones I (R = Ph, R1 = H, Br, CF3, Cl, F, NO2, R2 = Cl; R = cyclohexyl, Et, CH2Ph, C6H3(OMe)2-3,4, C6H4NO2-4, Me, H, CF3, CHMe2, C6H4Me-2, C6H4Cl-2, CH:CHPh, R1 = H, R2 = Cl; R = Ph, R1 = H, R2 = Br, CF3) were prepared by condensing 5,2-R2(RCONH)C6H3NHC6H4R1-2 with ClCOCH2CO2Et, saponifying II (R3 = Et), and cyclizing II (R3 = H) with SOCl2.

IT **40406-89-1P**

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

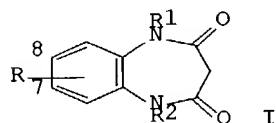
RN 40406-89-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-benzoyl-7-chloro-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1977:43753 CAPLUS Full-text
 DN 86:43753
 TI 5-Aryl-1H-1,5-benzodiazepine-2,4-diones
 IN Weber, Karl Heinz; Merz, Herbert; Zeile, Karl; Giesemann, Rolf;
 Danneberg,
 Peter
 PA Boehringer Ingelheim G.m.b.H., Fed. Rep. Ger.
 SO U. S. Reissue, 10 pp. Reissue of U.S. 3,660,381.
 CODEN: UUXXA2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 28972	E	19760921	US 1975-637585	19751204
	US 3660381	A	19720502	US 1969-840839	19690710
PRAI	US 1969-840839		19690710		
GI					



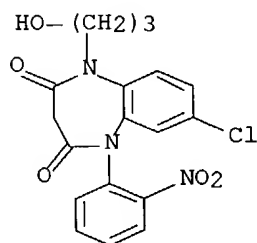
AB The title compds. I (R = 7-Cl, 8-Cl, 7-F3C, 7-Br, etc.; R1 = Me, Et, Pr, Ph, cyclohexyl, HOCH2CH2, etc.; R2 = pyridyl, 1-naphthyl, 2-thienyl, 2-O2NC6H4, etc.), sedatives and anticonvulsants, were prepared from I (R2 = H). Thus, I (R = 7-Cl, R1 = Me, R2 = H) (II), KOAc, 2-bromopyridine, Cu, and DMF were heated 15 hr at 160° to give 50-5% I (R = 7-Cl, R1 = Me, R2 = 2-pyridyl). II was prepared by refluxing 4,2-Cl(O2N)C6H3NHMe and MeO2CCH2COCl in C6H6, hydrogenating the anilide with Raney Ni in MeOH, and cyclizing the 2-amino compound with Na in EtOH.

IT **26412-29-3 26440-45-9 26440-64-2**
26440-65-3 26558-59-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation as sedatives and anticonvulsants)

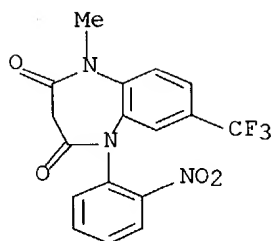
RN 26412-29-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-(3-hydroxypropyl)-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



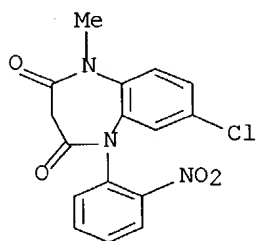
RN 26440-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



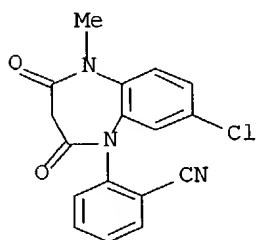
RN 26440-64-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



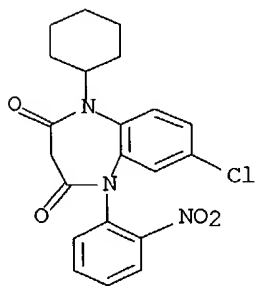
RN 26440-65-3 CAPLUS

CN Benzonitrile, 2-(8-chloro-2,3,4,5-tetrahydro-5-methyl-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 26558-59-8 CAPLUS

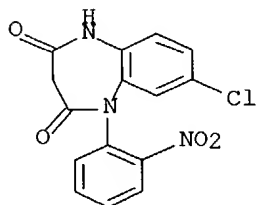
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-cyclohexyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1974:96043 CAPLUS Full-text
 DN 80:96043
 TI Benzimidazolo[1,2-a][1,5]benzodiazepines
 IN Bauer, Adolf; Weber, Karl Heinz; Danneberg, Peter; Kuhn, Franz J.
 PA Boehringer, C. H. Sohn
 SO Ger. Offen., 13 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	DE 2231560	A1	19740117	DE 1972-2231560	19720628
PRAI	DE 1972-2231560		19720628		

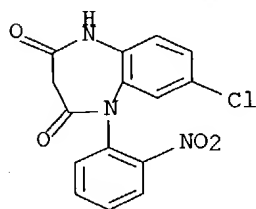
GI For diagram(s), see printed CA Issue.
 AB Fifteen benzimidazolobenzodiazepines I (X = H₂ or O; R = H, Me, Pr, Ac, Bz, or CHO; R₁ = Cl, CF₃, or NH₂), useful as anticonvulsants, were prepared by cyclization of II by Zn-H₃PO₄ in dioxane, CrO₃-H₂SO₄ in Me₂CO, or SnCl₂-HCl in TMF and if R ≠ H optionally followed by acylation.
 IT **40114-75-8**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reductive cyclization of)
 RN 40114-75-8 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 8-chloro-1-(2-nitrophenyl)-
 (9CI)
 (CA INDEX NAME)



L7 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1973:97729 CAPLUS Full-text
 DN 78:97729
 TI 2-(Alkoxy or alkylthio)-5-phenyl-4H-3,5-dihydro-1,5-benzodiazepin-4-ones
 IN Weber, Karl Heinz; Bauer, Adolf; Danneberg, Peter; Minck, Klaus
 PA Boehringer Ingelheim G.m.b.G
 SO U.S., 6 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3711467	A	19730116	US 1971-194002	19711019
PRAI	US 1971-194002		19711019		

GI For diagram(s), see printed CA Issue.
 AB Benzodiazepinediones I(R = F3C, NO2; R1 = H) reacted with (RO)3O+ BF4-
 (R = Et, Me2CH, Me, Bu) in CHCl3 at room temperature to yield the
 benzodiazepinones II (R = F3C, R1 = H, R2 = Et, Me2CH, Me, Bu; R = NO2,
 R1 = H, R2 = Et), which possessed psychosedative activity. I(R = Cl,
 Br; R1 = H, Br, Cl, F, CF3, NO2) were treated with PCl5 in DMF and then
 NaOEt to give 7 corresponding II(R2 = Et). I(R = Cl, NO2, F3C, R1 = H)
 were refluxed with P2S5 to give the 2-thione analogs which reacted with
 NaH and MeI to give the (methylthio)benzodiazepinones III(R = Cl, NO2,
 F3C).
 IT **40114-75-8**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with phosphorus pentachloride and sodium ethoxide)
 RN 40114-75-8 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 8-chloro-1-(2-nitrophenyl)-
 (9CI)
 (CA INDEX NAME)



L7 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1973:16148 CAPLUS Full-text

DN 78:16148

TI Benzodiazepines with psychotropic activity. V. 1,5-Benzodiazepinetriones

and their precursors

AU Bauer, Adolf; Weber, Karl Heinz

CS Wiss. Abt., C. H. Boehringer Sohn, Ingelheim, Fed. Rep. Ger.

SO Justus Liebigs Annalen der Chemie (1972), 762, 73-82

CODEN: JLACBF; ISSN: 0075-4617

DT Journal

LA German

GI For diagram(s), see printed CA Issue.

AB The CrO₃ oxidation of the diones (I, R = Me, Bu; R₁ = Cl, Br, NO₂, CF₃; R₂ = Ph, o-F₃CC₆H₄) to the triones II, proceeded via the diones III and IV. Contrary to dialuric acid, IV was not present in the enediol form. At higher temps., in acid or alkaline medium, II (R₁ = CF₃, R₂ = Ph) was converted into a 6-membered ring system (e.g. in refluxing xylene to the dione V).

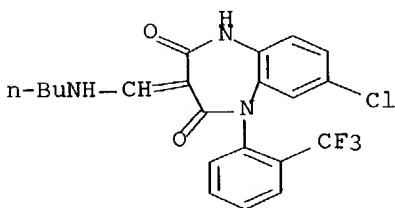
IT 36985-30-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(chromium oxide oxidation of)

RN 36985-30-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-

1-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1973:4290 CAPLUS Full-text

DN 78:4290

TI 1-Acyl-5-phenyl-1H-1,5-benzodiazepine-2,4(3H,5H)-diones

IN Weber, Karl Heinz; Bauer, Adolf

PA Boehringer, C. H., Sohn

SO Ger. Offen., 11 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2114844	A	19721012	DE 1971-2114844	19710326
	CH 566325	A	19750915	CH 1972-4329	19720323
	NL 7204008	A	19720928	NL 1972-4008	19720324
	AT 315850	B	19740610	AT 1972-2584	19720324
	CA 959836	A1	19741224	CA 1972-138024	19720324
	ES 401130	A1	19750216	ES 1972-401130	19720324
PRAI	DE 1971-2114844		19710326		

GI For diagram(s), see printed CA Issue.

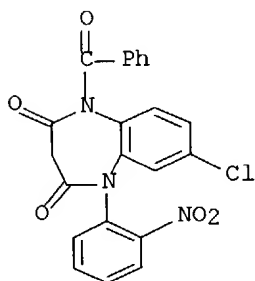
AB Twenty-nine title compds. (I, e.g. R = Ph, H, o-MeC₆H₄, cyclohexyl, PhCH:CH, 2-furyl, Et; R₁ = H, p-Cl, o-O₂N; R₂ = Cl), useful as psychosedatives, muscle relaxants, or anticonvulsants, were prepared by refluxing the diamines (II) with CH₂(COCl)₂ in C₆H₆.

IT **40406-89-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 40406-89-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-benzoyl-7-chloro-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:540179 CAPLUS Full-text

DN 77:140179

TI 3,3-Dihydroxy-1H-1,5-benzodiazepine-2,4(3H,5H)-diones or their dehydration

products

IN Bauer, Adolf; Weber, Karl Heinz; Minck, Klaus; Danneberg, Peter

PA Boehringer, C. H., Sohn

SO Ger. Offen., 19 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2103744	A	19720810	DE 1971-2103744	19710127
	BE 778543	A1	19720726	BE 1972-113272	19720126
	NL 7201049	A	19720731	NL 1972-1049	19720126
	US 3711468	A	19730116	US 1972-221072	19720126
	AT 313906	B	19740311	AT 1972-610	19720126
	GB 1373277	A	19741106	GB 1972-3742	19720126
	IL 38644	A1	19760130	IL 1972-38644	19720126
	FR 2123475	A5	19720908	FR 1972-2740	19720127
	FR 2123475	B1	19750314		
PRAI	DE 1971-2103744		19710127		

GI For diagram(s), see printed CA Issue.

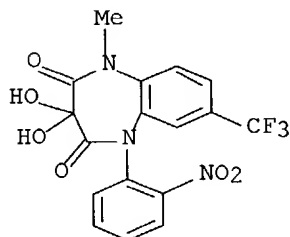
AB Sixteen title compds. (I, R = H, Me, CHMe2, allyl; R1 = R2 = OH, R1R2 = O; R3 = Ph, 2-pyridyl, o-ClC6H4, o-FC6H4, o-CF3C6H4, o-NO2C6H4, p-HOC6H4; R4 = Cl, Br, NO2, CF3) useful as tranquilizers, anti-convulsants, or intermediates thereof, were prepared by oxidation of I (R1R2 = CHNHBu, CHNMe2) with KMnO4 in dilute H2SO4 and Me2CO, of I (R1 = H, R2 = OH) with MnO2 in Me2SO and AcOEt to give I (R1 = R2 = OH) and drying in vacuo to give I (R1R2 = O), or by alkylation of I (R = H).

IT 37957-73-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 37957-73-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3,3-dihydroxy-1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1972:540177 CAPLUS Full-text
 DN 77:140177
 TI 3-Hydroxy-1H-1,5-benzodiazepine-2,4(3H,5H)-diones
 IN Weber, Karl Heinz; Minck, Klaus; Bauer, Adolf; Danneberg, Peter
 PA Boehringer, C. H., Sohn
 SO Ger. Offen., 18 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2103745	A	19720810	DE 1971-2103745	19710127
	RO 60491	P	19760615	RO 1972-71243	19720114
	RO 60492	P	19760615	RO 1972-71244	19720114
	RO 61669	P	19761115	RO 1972-69392	19720114
	SU 465791	D	19750330	SU 1972-1740811	19720124
	SU 493971	D	19751128	SU 1972-1926941	19720124
	HU 164575	P	19740328	HU 1972-BO1347	19720125
	ES 399169	A1	19750601	ES 1972-399169	19720125
	BE 778542	A1	19720726	BE 1972-113271	19720126
	NL 7201048	A	19720731	NL 1972-1048	19720126
	US 3707538	A	19721226	US 1972-221046	19720126
	DD 99376	C	19730813	DD 1972-160513	19720126
	ZA 7200538	A	19730926	ZA 1972-538	19720126
	AT 315849	B	19740610	AT 1972-609	19720126
	AT 315864	B	19740610	AT 1973-6111	19720126
	AT 316566	B	19740725	AT 1973-6112	19720126
	GB 1374529	A	19741120	GB 1972-3719	19720126
	DK 130411	B	19750217	DK 1972-346	19720126
	IL 38643	A1	19760130	IL 1972-38643	19720126
	PL 84243	P	19760331	PL 1972-175545	19720126
	PL 84620	P	19760430	PL 1972-153120	19720126
	FR 2123474	A5	19720908	FR 1972-2739	19720127
	FR 2123474	B1	19751010		
	CA 967957	A1	19750520	CA 1972-133282	19720127
	SU 460627	D	19750215	SU 1973-1926940	19730124
	ES 425108	A1	19760701	ES 1974-425108	19740408
	ES 425107	A1	19760701	ES 1974-425107	19740408
PRAI	DE 1970-2053681		19701102		
	DE 1971-2103745		19710127		

GI For diagram(s), see printed CA Issue.

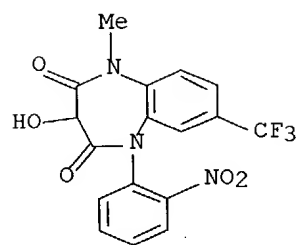
AB Twenty-one title compds. (I, R = H, Me, Et, CHMe2, CH2CH2OH, allyl; R1 = H; R2 = OH; R3 = Ph, 2-pyridyl, C6H4R5; R5 = o-Cl, o-Br, o-, and m-F, o-CF3, o-NO2; R4 = F, Cl, Br, CF3, NO2), useful as tranquilizers, anticonvulsants or intermediates thereof, were prepared by reduction of I (R1 = R2 = OH) with Zn-AcOH, by oxidation of I (R1R2 = CHNHBU) with KMnO4 in dilute H2SO4 and Me2CO, by treatment of I (R1R2 = N2) with H2O in MeCN in the presence of Cu or CuSO4 or by alkylation of I (R = H). Pharmaceutical compns. containing I were reported.

IT **37681-55-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 37681-55-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-hydroxy-1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1972:540176 CAPLUS Full-text
 DN 77:140176
 TI 3-Diazo-1H-1,5-benzodiazepine-2,4(3H,5H)-diones
 IN Weber, Karl Heinz; Bauer, Adolf; Pck, Karl Heinz
 PA Boehringer, C. H., Sohn
 SO Ger. Offen., 8 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2103746	A	19720810	DE 1971-2103746	19710127
	BE 778541	A1	19720726	BE 1972-113270	19720126
	NL 7201047	A	19720731	NL 1972-1047	19720126
	FR 2124894	A5	19720922	FR 1972-2738	19720127
PRAI	DE 1971-2103746		19710127		

GI For diagram(s), see printed CA Issue.

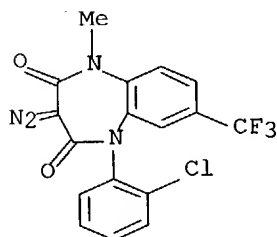
AB Sixteen title compds. (I, R = Me, Et, CHMe2, CH2CH2OH, allyl; R1 = Ph, 2-pyridyl, C6H4R3; R3 = o-Cl, o-F, m-F, o-CF3, o-NO2; R2 = F, Cl, Br, CF3), useful as intermediates for tranquilizers, were prepared by diazotizing 3-unsubstituted benzodiazepinedi-ones with MeC6H4SO2N3 in the presence of NaH in THF.

IT **37683-17-3P 37683-18-4P 37683-19-5P**
37683-22-0P 37683-23-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

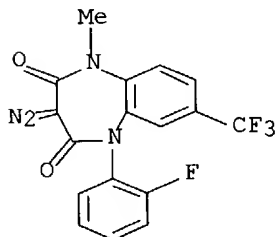
RN 37683-17-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 5-(2-chlorophenyl)-3-diazo-1-methyl-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



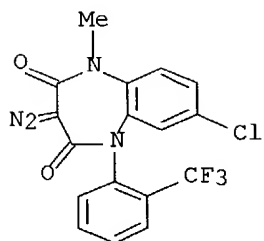
RN 37683-18-4 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-diazo-5-(2-fluorophenyl)-1-methyl-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



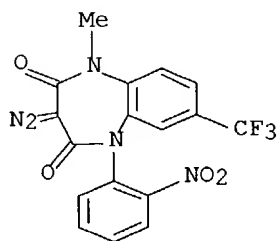
RN 37683-19-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-3-diazo-1-methyl-5-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



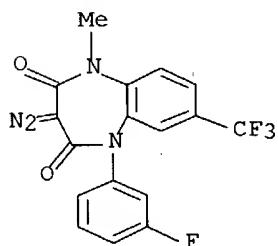
RN 37683-22-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-diazo-1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

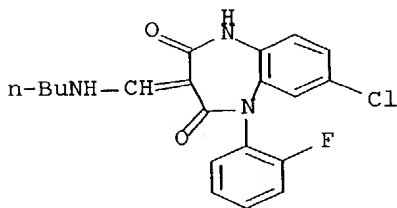


RN 37683-23-1 CAPLUS

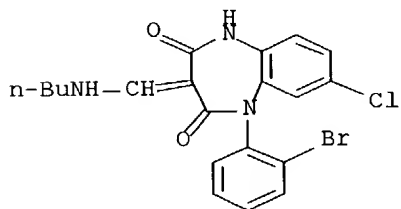
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-diazo-5-(3-fluorophenyl)-1-methyl-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1972:501549 CAPLUS Full-text
 DN 77:101549
 TI Benzodiazepines with psychotropic activity. IV. 3-Aminomethylene-1,5-benzodiazepine-2,4-diones
 AU Bauer, Adolf; Pook, Karl Heinz; Weber, Karl Heinz
 CS Wiss. Abt., Boehringer, C. H., Sohn, Ingelheim, Fed. Rep. Ger.
 SO Justus Liebig's Annalen der Chemie (1972), 757, 87-92
 CODEN: JLACBF; ISSN: 0075-4617
 DT Journal
 LA German
 GI For diagram(s), see printed CA Issue.
 AB Alkyl-substituted title compds. (I) were prepared by reaction of the 3-unsubstituted compds. with PCl₅ in DMF and several hr later with amines. Substitution of the 2nd N inhibited the reaction. Addition of the amine already after a few min (instead of several hr) caused formation of the corresponding amidine. Addition of ice water or NaOH led to the formyloxy or the dimethylaminomethylene intermediates, resp., the positions of which in a reaction scheme were discussed.
 IT **36985-27-0P 36985-28-1P 36985-30-5P**
36985-31-6P 36985-32-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 36985-27-0 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-
 1-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



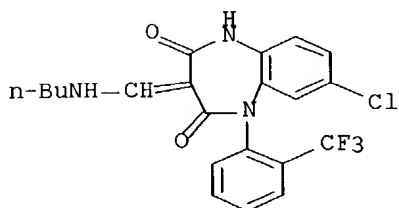
RN 36985-28-1 CAPLUS
 CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-bromophenyl)-3-[(butylamino)methylene]-8-chloro- (9CI) (CA INDEX NAME)



RN 36985-30-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-

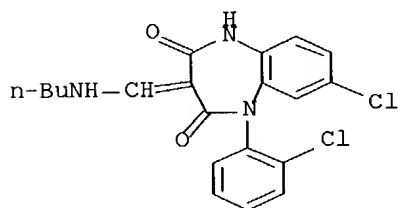
1-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 36985-31-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-

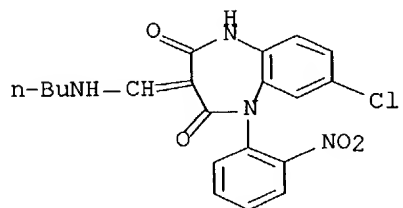
1-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 36985-32-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-

1-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1972:448526 CAPLUS Full-text
 DN 77:48526
 TI Substituted 3-(aminomethylene)-1H-1,5-benzodiazepine-2,4(3H,5H)-diones
 IN Bauer, Adolf; Weber, Karl Heinz; Pook, Karl Heinz
 PA Boehringer, C. H., Sohn
 SO Ger. Offen., 10 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2053681	A	19720510	DE 1970-2053681	19701102
	US 3766169	A	19731016	US 1971-193181	19711027
	CH 562809	A	19750613	CH 1971-15865	19711029
	NL 7115006	A	19720504	NL 1971-15006	19711101
	IL 38048	A1	19741231	IL 1971-38048	19711101
	FR 2113476	A5	19720623	FR 1971-39241	19711102
	AT 312618	B	19740110	AT 1971-9436	19711102
	GB 1365109	A	19740829	GB 1971-50944	19711102
	BE 774874	A1	19720503	BE 1971-110107	19711103
	US 3707538	A	19721226	US 1972-221046	19720126
PRAI	DE 1970-2053681		19701102		
	DE 1971-2103745		19710127		

GI For diagram(s), see printed CA Issue.

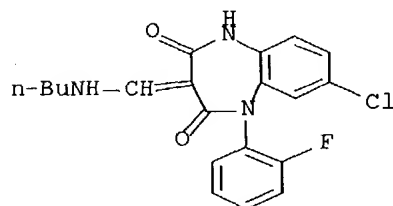
AB Twenty title compds. [I, R = Cl, Br, NO₂, CF₃, R₁ = Ph, o-FC₆H₄, o-BrC₆H₄, o-O₂NC₆H₄, o-F₃CC₆H₄, R₂ = H, Me, R₃ = H, Me, Bu, CMe₃, CH₂CHMe₂, CH₂CH:CH₂, (CH₂)₂NEt₂], were prepared from 5,7-disubstituted 1H-1,5-benzodiazepine-2,4(3H,5H)-diones by successive reaction with PCl₅-DMF and R₂NHR₃. Thus, PCl₅ was added to 7-bromo-5-phenyl-1H-1,5-benzodiazepine-2,4(3H,5H)-dione in DMF at <15°, the mixture stirred overnight, and excess BuNH₂ added with cooling to give 85.5% I (R = Br, R₁ = Ph, R₂ = H, R₃ = Bu).

IT **36985-27-0P 36985-28-1P 36985-30-5P**
36985-31-6P 36985-32-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

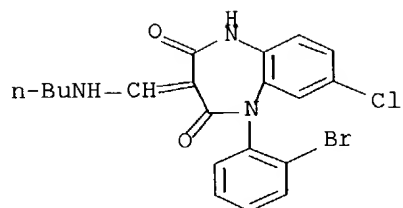
RN 36985-27-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-1-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



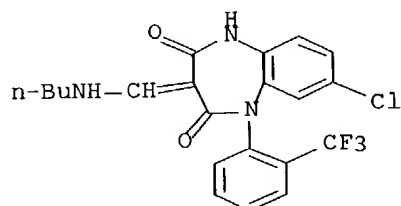
RN 36985-28-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-bromophenyl)-3-[(butylamino)methylene]-8-chloro- (9CI) (CA INDEX NAME)



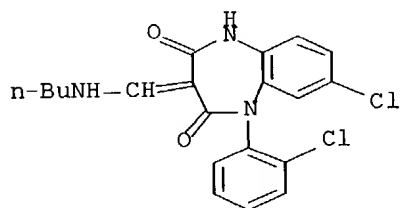
RN 36985-30-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-1-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



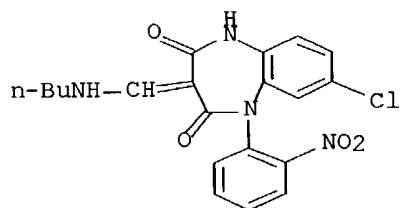
RN 36985-31-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-1-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 36985-32-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-1-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:419620 CAPLUS Full-text

DN 77:19620

TI Benzodiazepines with psychotropic activity. III. N-Aryl- and N-heteroaryl-1H-1,5-benzodiazepine-2,4(3H,5H)-diones

AU Weber, Karl Heinz; Bauer, Adolf; Hauptmann, Karl H.

CS Wiss. Abt., C. H. Boehringer Sohn, Ingelheim, Fed. Rep. Ger.

SO Justus Liebig's Annalen der Chemie (1972), 756, 128-38

CODEN: JLACBF; ISSN: 0075-4617

DT Journal

LA German

OS CASREACT 77:19620

GI For diagram(s), see printed CA Issue.

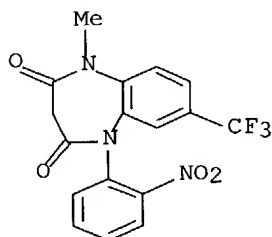
AB Twenty-five 1-R-5-R1-7(or 8)-R2-1H-1,5-benzodiazepine-2,4(3H,5H)-diones [I, R = C1-4 alkyl or Ph; R1 = (monosubstituted) phenyl, 1-naphthyl, (monosubstituted) pyridyl, 2-pyrimidinyl, or 2-thienyl; R2 = 7-Cl, 7-Br, 7-F, 7-CF3, 8-CF3, or 8-OMe] were prepared by cyclization of 2,4(r 5)-H2N(R2)C6H3N(R)COCH2-CO2Et with EtONa in EtOH to give I (R1 = H) and substitution by known reactions. I (R1 = Ph) were of similar effect as the tranquilizer diazepam (II). Successive reaction of I (R = Ph, R1 = alkyl) with NaH and alkyl halides gave the corresponding 3-alkyl compds.; treatment of I (R = aryl, R1 = alkyl) with EtOH and traces of alkali gave 2-benzimidazolinones by ring contraction. N-Monosubstituted I were easily arylated or heteroarylated. This reaction, dependent on the structure, was investigated kinetically.

IT **26440-45-9P 26440-65-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

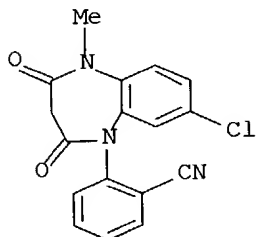
RN 26440-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 26440-65-3 CAPLUS

CN Benzonitrile, 2-(8-chloro-2,3,4,5-tetrahydro-5-methyl-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



L7 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1972:3918 CAPLUS Full-text
 DN 76:3918
 TI Psychosedative and anticonvulsive 5-aryl-1H-1,5-benzodiazepine-
 2(3H),4(5H)-
 diones
 IN Weber, Karl Heinz; Minck, Klaus; Bauer, Adolf; Merz, Herbert
 PA Boehringer, C. H., Sohn
 SO Ger. Offen., 19 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2006601	A	19710909	DE 1970-2006601	19700213
	RO 59278	P	19760215	RO 1971-65703	19710126
	CS 153097	P	19740222	CS 1971-586	19710127
	SU 361567	D	19721207	SU 1971-1615161	19710128
	US 3678033	A	19720718	US 1971-112070	19710202
	IL 36173	A1	19741129	IL 1971-36173	19710211
	BE 762901	A1	19710812	BE 1971-99728	19710212
	NL 7101880	A	19710817	NL 1971-1880	19710212
	NL 7101887	A	19710817	NL 1971-1887	19710212
	FR 2081511	A1	19711203	FR 1971-4795	19710212
	FR 2081511	A5	19711203		
	ZA 7100908	A	19721025	ZA 1971-908	19710212
	AT 303045	B	19721110	AT 1971-1235	19710212
	ES 388200	A1	19730501	ES 1971-388200	19710212
	NO 128027	B	19730917	NO 1971-521	19710212
	CH 548403	A	19740430	CH 1971-2098	19710212
	SE 367196	B	19740520	SE 1971-1830	19710212
	PL 82744	P	19751031	PL 1971-146209	19710212
	FI 50976	B	19760531	FI 1971-407	19710212
	DK 135422	B	19770425	DK 1971-653	19710212
	GB 1340535	A	19731212	GB 1971-21625	19710419
PRAI	DE 1970-2006601		19700213		

GI For diagram(s), see printed CA Issue.

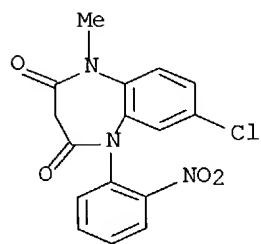
AB The title compds. (I; R = H, Me, Et, iso-Pr, allyl, AcOCH₂CH₂, HOCH₂CH₂, Pr, MeOCH₂CH₂, cyclopropylmethyl, or Bu; R₁ = NO₂, Cl, CF₃, H, CN, Br, or F; Ar = Ph, o-ClC₆H₄, 2-pyridyl, o-ClC₆H₄, o-BrC₆H₄, o-FC₆H₄, o-O₂NC₆H₄, o-NCC₆H₄, o-F₃CC₆H₄, o-MeOC₆H₄, o-MeC₆H₄, o-AcOC₆H₄, or o-AcC₆H₄), useful as tranquilizers, were prepared in 48-93 yield by oxidation of II with CrO₃, KMnO₄, or MnO₂ and compns. of dragees, tablets, suppositories, and ampuls containing I as active substances were reported. Thus, II (R = H, R₁ = NO₂, Ar = Ph) was oxidized with CrO₃-H₂SO₄-H₂O in Me₂CO to give 92 I (R = H, R₁ = NO₂, Ar = Ph). Similarly prepared were 44 other I. NaH was added to a mixture of 40 g I (R = H, R₁ = NO₂, Ar = Ph) (III) and THF, MeI added, and the mixture stirred 1 hr to give 35 g I (R = Me, R₁ = NO₂, Ar = Ph). Triton B, H₂O, and ethylene oxide were added to a mixture of 20 g III and MeOH and the mixture stirred 50 hr at room temperature to give 11 g I (R = CH₂CH₂OH, R₁ = NO₂, Ar = Ph).

IT **26440-64-2P 26440-65-3P 34487-99-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

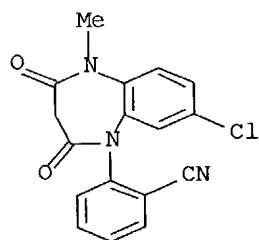
RN 26440-64-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



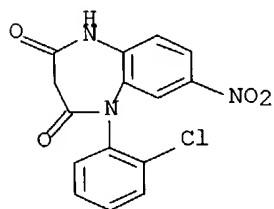
RN 26440-65-3 CAPLUS

CN Benzonitrile, 2-(8-chloro-2,3,4,5-tetrahydro-5-methyl-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 34487-99-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-chlorophenyl)-8-nitro- (9CI)
(CA INDEX NAME)



L7 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1971:510348 CAPLUS Full-text
 DN 75:110348
 TI Psychosedative and anticonvulsive 5-(nitrophenyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-diones
 IN Weber, Karl Heinz; Merz, Herbert; Zeile, Karl; Giesemann, Rolf; Danneberg, Peter
 PA Boehringer, C. H., Sohn
 SO Ger. Offen., 14 pp. Division of Ger. Offen. 1,934,606
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1966128	A	19710812	DE 1969-1966128	19690708
PRAI	DE 1969-1966128		19690708		

GI For diagram(s), see printed CA Issue.

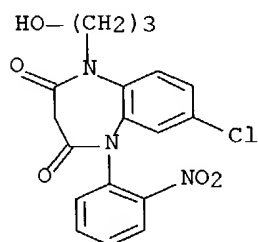
AB Division of Ger. Offen. 1,934,606. Title compds. (I) were prepared by arylation of 1H-1,5-benzodiazepine-2,4(3H,5H)-diones. Thus, 2,4-O₂N(F₃C)C₆H₃NHMe was refluxed with ClCOCH₂CO₂Et in C₆H₆ 2-3 hr to give 1,4-O₂N(F₃C)C₆H₃N(Me)COCH₂CO₂Et, which was hydrogenated to give the 2-amino derivative, which was cyclized with NaEtOH at room temperature to give, after reaction with HCl, 91% 1-methyl-7-(trifluoromethyl)-1,5-benzodiazepine-2,4(3H,5H)-dione (II). II reacted with o-ClC₆H₄NO₂ in presence of AcOK and CuSO₄ 1 hr at 150° to give 80% I (o-NO₂, R = Me, R₁ = F₃C) (III). Similarly prepared were I (NO₂ position, R, and R₁ given): o, Me, Cl; m, Me, F₃C; p, Me, F₃C; o, HO(CH₂)₃, Cl; o, cyclohexyl, Cl. Pharmaceutical compns. containing I are described.

IT **26412-29-3P 26440-45-9P 26440-64-2P**
26558-59-8P 30008-78-7P 33548-63-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

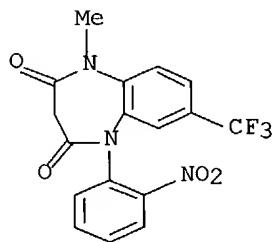
RN 26412-29-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-(3-hydroxypropyl)-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



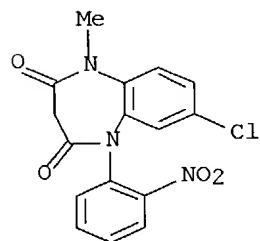
RN 26440-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



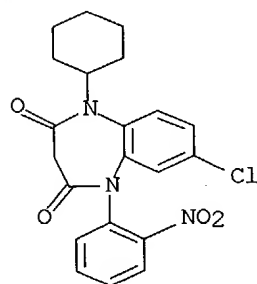
RN 26440-64-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



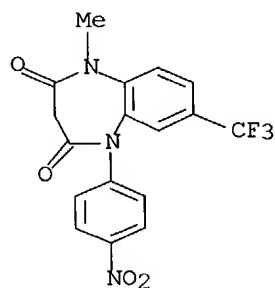
RN 26558-59-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-cyclohexyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



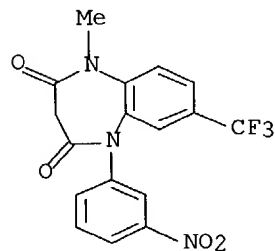
RN 30008-78-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(p-nitrophenyl)-7-(trifluoromethyl)- (8CI) (CA INDEX NAME)



RN 33548-63-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(m-nitrophenyl)-7-(trifluoromethyl)- (8CI) (CA INDEX NAME)



L7 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1970:111524 CAPLUS Full-text
 DN 72:111524
 TI 5-Aryl-1H-1,5-benzodiazepine-2,4(3H,5H)diones
 IN Weber, Karl Heinz; Merz, Herbert; Zeile, Karl; Danneberg, Peter B.;
 Giesemann, Rolf
 PA Boehringer, C. H., Sohn
 SO Ger. Offen., 33 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1934606	A	19700129	DE 1969-1934606	19690708
	DE 1934606	B2	19730517		
	DE 1934606	C3	19731213		
	BE 735944	A	19700112	BE 1969-735944	19690710
	FR 2012867	A5	19700327	FR 1969-23721	19690711
	CA 959055	A1	19741210	CA 1969-56763	19690711
	GB 1274029	A	19720510	GB 1969-1274029	19690714
PRAI	AT 1968-6778		19680712		
	AT 1968-6777		19680712		

GI For diagram(s), see printed CA Issue.

AB The title compds., I, useful as psychoseda-tives and anticonvulsants and with an unusually low toxicity, are prepared by arylating or heteroaryllating the N of a 1H-1,5-benzodiazepine-2,4-dione. Thus, 1 mole 7-chloro-1-methyl-1H-1,5-benzodiazepine-2,4-dione (II), 1.5 moles AcOEt, 1.6 moles o-bromopyridine, 180 g powdered Cu, and 1300 ml DMF was refluxed (160°) 15 hr to give 55% 7-chloro-1-methyl-5-(2-pyridyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione, (I), (R1 = Me, R2 = H, R3 = 2-pyridyl, R4 = 7-Cl), m. 231-3° (CH2Cl2-petroleum ether). 2-Nitro-4-chloro-N- methylaniline (2 moles) was refluxed with 330 g of Et O2CCH2COC1 in 150 ml C6H6 2-3 hr to give 590 g 2-nitro-4-chloro- N-methylmalonic ethyl ester anilide. This (200 g) was hydrogenated in MeOH over Raney Ni at 5 atm to give 137 g 2-amino-4-chloro-N-methylmalonicester anilide, m. 114-17°. This (872.2 g) was stirred into a solution of 81.5 g Na in 7.25 l. EtOH t o precipitate the Na salt of II, worked up to give 82.5% II, m. 215-17°. 1-Methyl- 7 - trifluoromethyl - 1,5- benzodiazepine-2,4- (3H,5H)-dione w as heated 1 hr at 150° with 350 g "o-chloro-benzene," 13 g. AcOK, and 1 g dry CuSO4 to give 80% 1-methyl-5 - (2 - nitrophenyl) - 7 - trifluoromethyl - 1,5 - benzodiazepine - 2,4-(3H,5H)-dione, m. 230- 2° (CH2Cl2-isoPr2O). The following I were similarly prepared (R1, R2, R3, R4, and m.p. given): Me, H, α -naphthyl, 7-Cl, 209-11°; Me, H, 2-thienyl, 7-Cl, 173-4 °; Me, H, 3-pyridyl, 7-Cl, 164-6°; Me, H, 3-chloro-2-pyridyl, 7-Cl, 216-17°; Me, H, 4-methyl-2-pyridyl, 7-Cl, 225-7°; Me, H, 2-ni-trophenyl, 7-Cl, 206-8°; Me, H, 2-cyanophenyl, 7-Cl, 209-10°; Me, H, 2-carbomethoxyphenyl, 7-Cl, 183-4°; Me, H, 2-acetyl-phenyl, 7-Cl, 205-6°; Pr, H, 2-pyridyl, 7-Cl, 177-8°; Me, H, Ph, 7-CO2Me, 145-7°; Me, H, 2-pyridyl, 7-Cl, 244-6°; Me, H, 2-pyridyl, 7-CF3, 164-8°; Et, H, 2-pyridyl, 8-Cl, 194-6°; Et, H, 2-pyridyl, 7-Cl, 194-6°; Et, H, 3-pyridyl, 7-Cl, 196-8°; Bu, H, 2-pyridyl, 7-Cl, 148-9°; Ph, H, 2-pyridyl, 8-Cl, 203-4°; Me, H, 2-pyridyl, 7-Br, 197-8°; Me, H, 2-pyrimidyl, 7-Cl, 243-5°; cyclohexyl, H, 2-pyridyl, 7-Cl, 190°; iso-Pr, H, 2-pyridyl, 7-Cl, 165-7°; Me, H, Ph, 7-Ac, 134-7°; 2-hydroxyethyl, H, 2-pyridyl, 7-Cl, 176-8°; Et, H, 2-pyridyl, 7-CF3, 153-5°; benzyl, H, 2-pyridyl, 7-Cl,

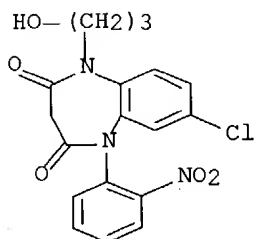
216-18°; 2-hydroxyethyl, H, 2-pyridyl, 7-CF₃, 149-51°; AcOCH₂CH₂, H, 2-pyridyl, 7-Cl, 196-8°; 3-hydroxypropyl, H, 2-nitrophenyl, 7-Cl, 162-3°; cyclohexyl, H, 2-nitrophenyl, 7-Cl, 182-3°; and Me, H, Ph, 7-CN, 260-2°. Pharmaceutical formulations are given.

IT **26412-29-3P 26440-45-9P 26440-64-2P**
26440-65-3P 26558-59-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

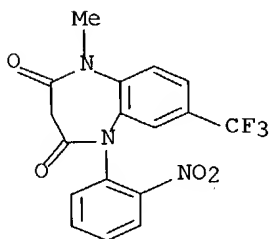
RN 26412-29-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-(3-hydroxypropyl)-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



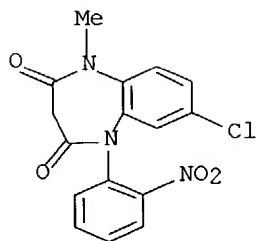
RN 26440-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



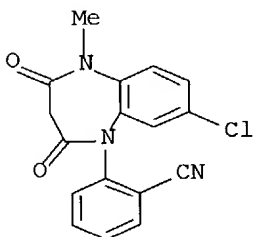
RN 26440-64-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



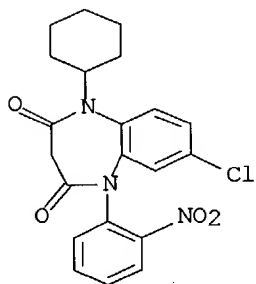
RN 26440-65-3 CAPLUS

CN Benzonitrile, 2-(8-chloro-2,3,4,5-tetrahydro-5-methyl-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 26558-59-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-cyclohexyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1970:100771 CAPLUS Full-text

DN 72:100771

TI 5-Aryl-1H-1,5-benzodiazepine-2,4(3H,5H)-diones

IN Weber, Karl Heinz; Merz, Herbert; Zeile, Karl

PA Boehringer, C. H., Sohn

SO Ger. Offen., 27 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1934607	A	19700122	DE 1969-1934607	19690708
	AT 286302	B	19701210	AT 1968-6777	19680712
	SU 374825	D	19730320	SU 1969-1345273	19690707
	CH 517103	A	19711231	CH 1969-517103	19690709
	ES 369347	A1	19710601	ES 1969-369347	19690710
	FI 49410	B	19750228	FI 1969-2049	19690710
	NL 6910679	A	19700114	NL 1969-10679	19690711
	DK 126942	B	19730903	DK 1969-3776	19690711
	SE 366749	B	19740506	SE 1969-9884	19690711
	CA 959055	A1	19741210	CA 1969-56763	19690711
	PL 80171	P	19750830	PL 1969-134743	19690711
	GB 1274029	A	19720510	GB 1969-1274029	19690714
PRAI	AT 1968-6777		19680712		
	AT 1968-6778		19680712		

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), are prepared by reacting benzodiazopinediones with aryl halides over a Cu catalyst. Thus, 1 mole 7-chloro-1-methyl-1H-1,5-benzodiazepine-2,4-(3H,5H)-dione, 1.5 mole Ca(OAc)₂, 1.6 mole o-bromopyridine, 180 g Cu powder and 1.3 l. HCONMe₂ was kept 15 hr at 160° to yield 50-5% 7-chloro-1-methyl-5-(2-pyridyl)-1H-1,5-benzodiazepine-2,4-(3H,5H)-dione, m. 231-3°. The following I were similarly prepared (R₁, R₂, R₃, R₄, and m.p. given): Me, H, Ph, 7-CF₃, 204-5°; Me, H, Ph, 7-Cl, 180-2°; Ph, H, Ph, 7-Cl, 255°; Me, H, 2-O₂NC₆H₄, 7-CF₃, 230-2°; Me, H, 2-naphthyl, 7-Cl, 209-11°; Me, H, 2-thienyl, 7-Cl, 173-4°; Me, H, 3-pyridyl, 7-Cl, 164-6°; Me, H, 3-chloro-2-pyridyl, 7-Cl, 216-17°; Me, H, 4-methyl-2-pyridyl, 7-Cl, 225-7°; Me, H, 4-tolyl, 7-Cl, 203-4°; Me, H, 2-tolyl, 7-Cl, 201-3°; Me, H, 2-(o-xylyl), 7-Cl, 200-2°; Me, H, 2-(m-xylyl), 7-Cl, 190-2°; Me, H, 2-EtC₆H₄, 7-Cl, 179-80°; Me, H, 2-MeOC₆H₄, H, 205-7°; Me, H, 3-MeOC₆H₄, H, 126-7°; Me, H, 4-MeOC₆H₄, H, 175-7°; Me, H, 2-ClC₆H₄, 7-Cl, 222-4°; Me, H, 3-ClC₆H₄, 7-Cl, 191-2°; Me, H, 4-ClC₆H₄, 7-Cl, 227-9°; Me, H, 2-(m-chlorotolyl), 7-Cl, 202-4°; Me, H, 3-F₃CC₆H₄, 7-Cl, 192-3°; Me, H, 2-O₂NC₆H₄, 7-Cl, 206-8°; Me, H, 2-NCC₆H₄, 7-Cl, 209-10°; Me, H, 2-MeO₂CC₆H₄, 7-Cl, 183-4°; Me, H, 2-Ac-C₆H₄, 7-Cl, 205-6°; Et, H, Ph, 7-Cl, 227-8°; Pr, H, Ph, 7-Cl, 197-8°; Pr, H, 2-pyridyl, 7-Cl, 177-8°; iso-Pr, H, Ph, 7-Cl, 143-5°; Bu, H, Ph, 7-Cl, 158-60°; CH₂:CHCH₂, H, Ph, 7-Cl, 203-6°; cyclohexyl, H, Ph, 7-Cl, 231-3°; 2-(m-xylyl), H, Ph, 8-Cl, 244-5°; 4-ClC₆H₄, H, Ph, 8-Cl, 243-5°; 4-MeOC₆H₄, H, Ph, H, 192-4°; Me, H, Ph, 8-CF₃, 130-1°; Me, H, Ph, 8-OMe, 162-4°; Me, H, Ph, 7-Me, 194-5°; Me, H, Ph, H, 170-2°; Me, H, Ph, 7-OMe, 132-4°; Me, H, Ph, 8-Cl, 161-2°; Me, H, Ph, 8-Me, 154-6°; Me, H, Ph, 6-Cl, 172-4°; Me, H, Ph, 7-F, 185-7°; Me, H, Ph, 7-Br, 202-4°; Me, H, Ph, 7-OAc, 146-7°; Me, Me, Ph, 7-Cl, 218-20°; Me, Me, 2-tolyl, 7-Cl, 195-7°; Et, H, 2-xylyl, 7-Cl, 201-3°; Et, H, Ph, 8-CF₃, 176-8°; Me, H, 2-pyridyl, 7-CF₃, 164-8°; benzyl, H, Ph, 7-Cl, 181-2°; Et, H, 2-pyridyl,

8-Cl, 194-6°; Et, H, 2-pyridyl, 7-Cl, 194-6°; Et, H, 3-pyridyl, 7-Cl, 196-8°; Bu, H, 2-pyridyl, 7-Cl, 148-9°; Ph, H, 2-pyridyl, 8-Cl, 203-4°; Me, H, 2-F3CC6H4, 7-CF3, 164-5°; Me, H, 2-F3CC6H4, 7-Cl, 204-5°; Me, H, 2-pyridyl, 7-Br, 242-3°; Me, H, 2-pyrimidyl, 7-Cl, 243-5°; cyclohexyl, H, 2-pyridyl, 7-Cl, 190°; cyclopropylmethyl, H, Ph, 7-Cl, 213-16°; HOCH2CH2, H, Ph, 7-Cl, 208-10°; MeOCH2CH2, H, Ph, 7-Cl, 175-8°; Me2NCH2CH2, H, Ph, 7-Cl, 148-50°; iso-Pr, H, 2-pyridyl, 7-Cl, 165-7°; Me, H, Ph, 7-Ac, 134-7°; Me, H, 2-BrC6H4, 7-Cl, 210-12°; Et, H, 2-MeOC6H4, H, 194-5°; Me, H, 2-MeOC6H4, 8-Cl, 221-2°; Et, Me, Ph, 7-Cl, 208-10°; Me, H, 4-ClC6H4, H, 190-2°; Me, H, 3-tolyl, H, 163-4°; Et, Me, 2-tolyl, 7-Cl, 173-4°; Pr, Me, Ph, 7-Cl, 155-7°; iso-Pr, Me, Ph, 7-Cl, 116°; Me, H, 2-(m-xylyl), H, 222-4°; Et, H, 2-ClC6H4, 7-Cl, 207-9°; iso-Pr, H, 2-ClC6H4, 7-Cl, 215-17°; Et, H, Ph, 7-Br, 201-3°; Me, H, 2-FC6H4, 7-Cl, 153-4°; Me2C:-CHCH2, H, Ph, 7-Cl, 154-6°; ClCH:CHCH2, H, Ph, 7-Cl, 153-4°; benzyl, H, Ph, 7-Cl, 197-9°; HOCH2CH(Me), H, Ph, 7-Cl, 192-4°; HOCH2CH2, H, 2-ClC6H4, 7-Cl, 197-9°; HOCH2CH(Me), H, 2-ClC6H4, 7-Cl, 156-8°; MeOCH2CH2, H, Ph, 7-Cl, 175-8°; MeOCH2, H, Ph, 7-Cl, 164-5°; EtOCH2CH2, H, Ph, 7-Cl, 135-7°; Et2NCH2CH2, H, Ph, 7-Cl, 145°; Cl(CH2)3, H, Ph, 7-Cl, 156-8°; Me, H, 2-ClC6H4, 7-CF3, 175-7°; Me, H, 2-BrC6H4, 7-CF3, 194-5°; Me, H, 2-BrC6H4, 7-Br, 205-8°; Me, H, 2-BrC6H4, 7-F, 190-2°; Me, H, 2-FC6H4, 7-Cl, 195-6°; Me, H, 2-FC6H4, 7-CF3, 184-6°; HO(CH2)3, H, Ph, 7-Cl, 211-13°; HO(CH2)3, H, Ph, 7-CF3, 157-9°; HO(CH2)2, H, Ph, 7-CF3, 153-4°; Et3N(CH2)2, H, 2-FC6H4, 7-Cl, 134-6°; 3-piperidinopropyl, H, Ph, 7-Cl, 142-4°; Me, H, 2-ClC6H4, 7-CF3, 175-7°; HO(CH2)2, H, 2-pyridyl, 7-Cl, 176-8°; Et, H, 2-pyridyl, 7-CF3, 153-5°; benzyl, H, 2-pyridyl, 7-Cl, 216-18°; HO(CH2)2, H, 2-pyridyl, 7-CF3, 149-51°; AcO(CH2)2, H, 2-pyridyl, 7-Cl, 196-8°; HO(CH2)3, H, 2-O2NC6H4, 7-Cl, 162-3°; cyclohexyl, H, 2-O2NC6H4, 7-Cl, 182-3°; and Me, H, Ph, 7-CN, 260-2°.

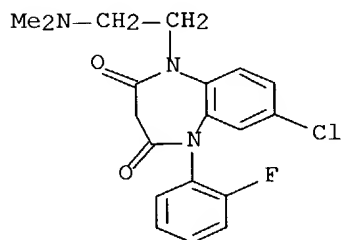
IT 26412-21-5P 26412-29-3P 26440-45-9P

26440-64-2P 26440-65-3P 26558-59-8P

RL: SPN (Synthetic preparation); PREP (Preparation)(preparation of)

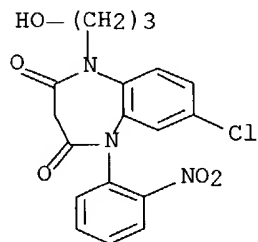
RN 26412-21-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-[2-(dimethylamino)ethyl]-5-(o-fluorophenyl)- (8CI) (CA INDEX NAME)



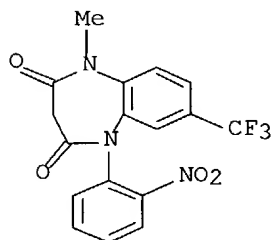
RN 26412-29-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-(3-hydroxypropyl)-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



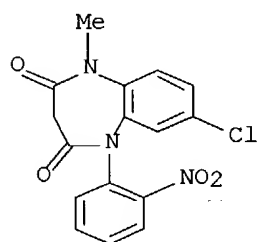
RN 26440-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



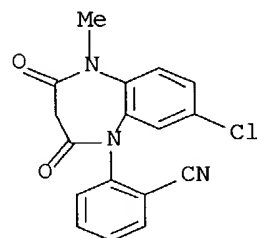
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CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



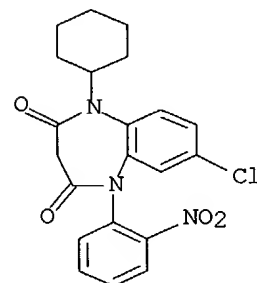
RN 26440-65-3 CAPLUS

CN Benzonitrile, 2-(8-chloro-2,3,4,5-tetrahydro-5-methyl-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)

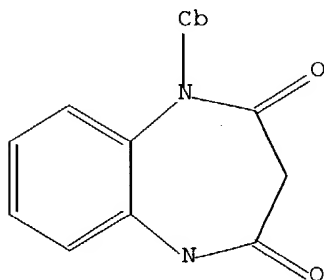


RN 26558-59-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-cyclohexyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



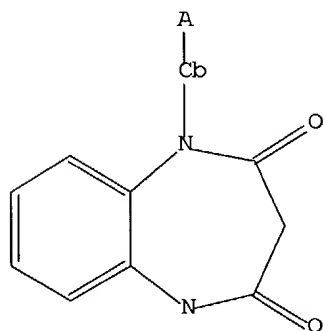
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 L1 STR



N

Structure attributes must be viewed using STN Express query preparation.

L4 HAS NO ANSWERS
 L4 STR



Structure attributes must be viewed using STN Express query preparation.

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 FILE 'REGISTRY' ENTERED AT 10:11:05 ON 23 JUN 2004
 L1 STRUCTURE UPLOADED
 L2 37 S L1
 L3 824 S L1 FUL
 FILE 'STNGUIDE' ENTERED AT 10:12:20 ON 23 JUN 2004
 FILE 'REGISTRY' ENTERED AT 10:13:03 ON 23 JUN 2004
 L4 STRUCTURE UPLOADED
 L5 8 S L4 SAM SUB=L3
 L6 214 S L4 FUL SUB=L3
 FILE 'CAPLUS' ENTERED AT 10:13:44 ON 23 JUN 2004
 L7 31 S L6

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	148.33	341.51
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-21.48	-21.48

STN INTERNATIONAL LOGOFF AT 10:14:55 ON 23 JUN 2004